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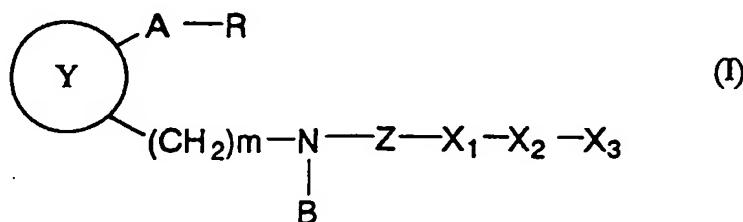
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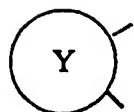
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(54) BICYCLIC AMINO DERIVATIVES AND PGD 2 ANTAGONIST CONTAINING THE SAME

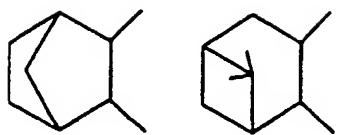
(57) A compound of the formula (I):



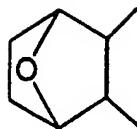
wherein



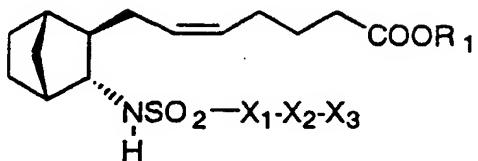
is



or

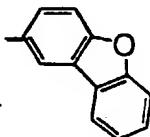


for example, a compound below:



wherein

R₁ is CH₃, H or Na; and X₁-X₂-X₃ is



or a salt or a hydrate thereof is useful as a PGD₂ antagonist and can be used as a drug for treating diseases in which mast cell dysfunction is involved, for example, systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and as an anti-inflammatory agent. It is particularly useful in the treatment of nasal occlusion.

Description**FIELD OF THE INVENTION**

5 The present invention relates to bicyclic amino derivatives and prostaglandin D₂ (hereinafter, referred to as PGD₂) antagonist containing them.

BACKGROUND OF THE INVENTION

10 Some bicyclic amino derivatives of the present invention are known to be useful as thromboxane A₂ (TXA₂) antagonists (Japanese Patent Publication (KOKOKU) No. 79060/1993). However, Japanese Patent Publication (KOKOKU) No. 79060/1993 only describes the compounds as useful as TXA₂ antagonists, and does not suggest usefulness thereof as PGD₂ antagonists as disclosed by the present invention.

15 Namely, TXA₂ is known to have activities such as action against platelet agglutination, thrombogenesis, etc. The TXA₂ antagonist has therefore been considered to be useful as an anti-thrombotic agent, and also in the treatment of myocardial infarction or asthma by antagonizing against TXA₂.

20 On the other hand, the PGD₂ antagonist of the present invention is useful in the improvement of conditions due to excessive production of PGD₂. Specifically, it is useful as a drug for treating diseases in which mast cell dysfunction is involved, for example, systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and inflammation.

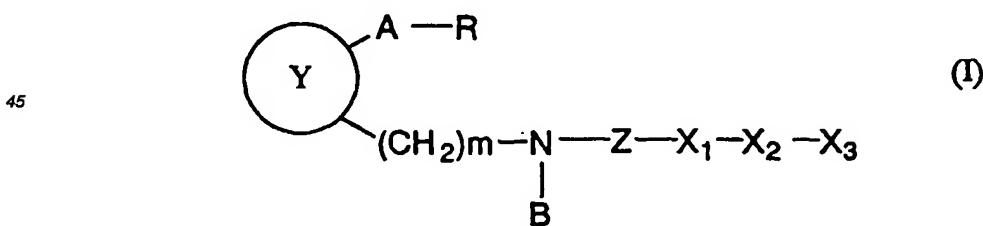
As is apparent from the above, the TXA₂ antagonist and the PGD₂ antagonist are completely different from each other in terms of the active site, mechanism of action, and application, and have quite different characteristics. Accordingly, it has never been expected that any compound could possess these activities simultaneously.

25 PGD₂ is produced through PGG₂ and PGH₂ from arachidonic acid by the action of cyclooxygenase activated by immunological or unimmunological stimulation and is the major prostanoid that is produced and released from mast cells. PGD₂ has various potent physiological and pathological activities. For example, PGD₂ can cause strong tracheal contraction, which leads to bronchial asthma, and, in a systemic allergic state, it can dilate the peripheral vessels, which leads to an anaphylactic shock. Especially, much attention has been paid to the idea that PGD₂ is one of the causal substances responsible for the onset of nasal occlusion in the allergic rhinitis. Therefore, it has been proposed to 30 develop an inhibitor against the biosynthesis of PGD₂ or an antagonist of PGD₂ receptor as a drug for the reduction of nasal occlusion. However, the inhibitor of PGD₂ biosynthesis possibly affects greatly the synthesis of prostaglandins in other organisms, and therefore, it is desirable to develop an antagonist (blocker) specific to PGD₂ receptor.

DISCLOSURE OF THE INVENTION

35 The present inventors have studied intensively to develop PGD₂ receptor antagonists (blockers) specific to PGD₂ receptor, and found that compounds of the formula (I) below or its salt possess a potent activity as PGD₂ receptor antagonists and are chemically and biochemically stable.

40 Accordingly, the present invention provides a PGD₂ antagonist which comprises a compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:

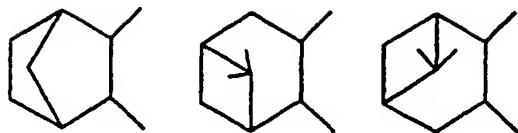


wherein

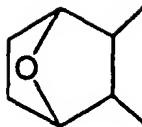
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is

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OR



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A is alkylene which optionally is intervened by a hetero atom or phenylene, contains oxo group, and/or has an unsaturated bond;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR₁, CH₂OR₂ or CON(R₃)R₄;

R₁ is hydrogen or alkyl;

R₂ is hydrogen or alkyl;

25 R₃ and R₄ each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

X₁ is a single bond, phenylene, naphthylene, thiophenediyl, indolediyl, or oxazolediyl;

X₂ is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-, -C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -(CH₂)_n- ethynylene, -N(R₅)-, -N(R₅₁)CO-, -N(R₅₂)SO₂-, -N(R₅₃)CON(R₅₄)-, -CON(R₅₅)-, -SO₂N(R₅₆)-, -O-, -S-, -SO-, -SO₂-, -CO-, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

30 X₃ is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolinylidenemethyl, thiazo-lidinylidenemethyl, -CH=NR₆ or -N=C(R₇)R₈;

R₅, R₅₁, R₅₂, R₅₃, R₅₄, R₅₅ and R₅₆ each are hydrogen or alkyl;

R₆ is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R₇ and R₈ each are independently alkyl, alkoxy or aryl;

35 n is 1 or 2;

Z is -SO₂- or -CO-; and

m is 0 or 1;

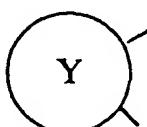
wherein a cyclic substituent may have one to three substituents selected from the group consisting of nitro, alkoxy, sul-famoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy.

THE BEST EMBODIMENT FOR PRACTICING THE INVENTION

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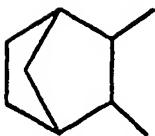
Specific examples of compounds usable as a PGD₂ antagonist above include a compound of the formula (I) wherein

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is

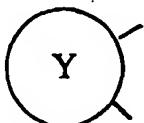
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m is 0; and when Z is SO₂, both X₁ and X₂ are a single bond; X₃ is alkyl, phenyl, naphthyl, styryl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from the group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or a salt or hydrate thereof.

Similarly, specific examples include a compound of the formula (I) wherein

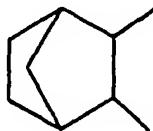
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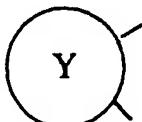


;

when m is 1, both X₁ and X₂ are a single bond; and X₃ is phenyl optionally substituted with halogen, or a salt or hydrate thereof.

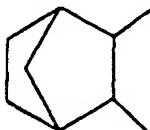
Similarly, specific examples include a compound of the formula (I) wherein

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is

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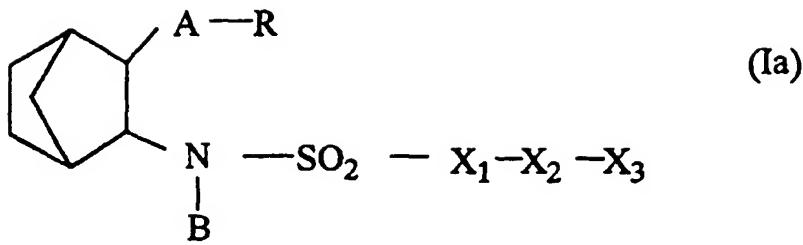
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when m is 1, X₁ is phenyl, X₂ is -CH₂- or -N=N- and X₃ is phenyl, or a salt or hydrate thereof.

50 Similarly, examples of compounds of the formula (I) include those of the formula (Ia):

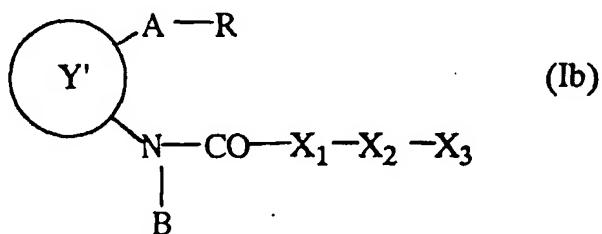
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wherein A, B, R, X₁, X₂ and X₃ are as defined above, or its salt or hydrate thereof, provided that those wherein (1) X₁ and X₂ are a single bond, and X₃ is substituted- or unsubstituted-phenyl, or naphthyl; and (2) A is 5-heptenylene, R is COOR₁ (R₁ is hydrogen or methyl), X₁ is 1,4-phenylene, X₂ is a single bond, and X₃ is phenyl are excluded.

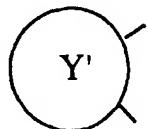
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Similarly, examples of compounds of the formula (I) include those of the formula (Ib):



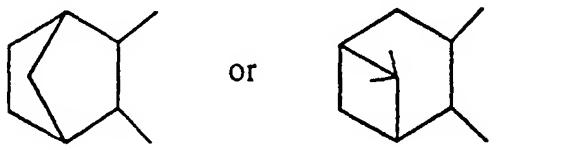
wherein

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is

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A, B, R, X₁, X₂ and X₃ are as defined above, or a salt or hydrate thereof, provided that those wherein X₁ and X₂ are a single bond, and X₃ is phenyl, and wherein X₁ is a single bond, X₂ is -O-, and X₃ is benzyl are excluded.

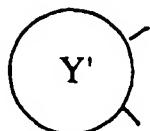
More specifically, examples of compounds of the formula (I) include those of the formula (Ia) wherein X₁ and X₂ are a single bond, X₃ is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxinyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoepinyl, dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl or dihydrobenzothiepinyl, or its salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein X₁ is a single bond, X₂ is phenylene, X₃ is alkenyl, alkynyl, -CH=NR₆ or -N=C(R₇)R₈, or a salt or hydrate thereof.

55 Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein R is COOR₁, X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=H-, -CH=CH-, -CONH-, -NHCO- or ethynylene and X₃ is phenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl or thienyl, or a salt or hydrate thereof.

More specifically, examples of the compound (I) of the present invention include those of the formula (Ib) wherein

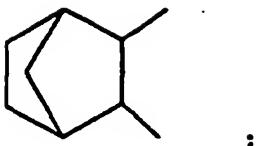
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is

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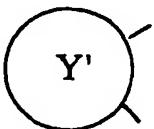
;

20 or a salt or hydrate thereof. Examples of more preferred compounds include those of the formula (lb) wherein R is COOR₁ (R₁ is as defined above) or a salt or hydrate thereof.

Similarly, examples of compound (l) include those of the formula (lb) wherein X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=H-, -CH=CH-, ethynylene, -O-, -S-, -CO-, -CON(R₅₅)- (R₅₅ is as defined above), -N(R₅₁)CO- (R₅₁ is as defined above) and X₃ is phenyl, or a salt or hydrate thereof.

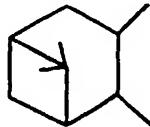
25 More specifically, examples of compound (l) include those of the formula (lb) wherein

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is

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or a salt or hydrate thereof. Examples of more preferred embodiments include those wherein B is hydrogen, both X₁ and X₂ are a single bond, X₃ is thienyl, thiazolyl, thiadiazolyl, isothiazolyl, pyrrolyl, pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl or a salt or hydrate thereof. Similarly, examples include 45 those wherein X₁ is phenylene, thiophenediyl, indolediyl or oxazolediyl, X₂ is a single bond, -N=H-, -CH=CH-, ethynylene, -S- or -O-, and X₃ is aryl or heterocyclic group, or a salt or hydrate thereof.

The compounds of the general formula (la) and (lb) are novel compounds synthesized by the present inventors.

The terms used throughout the present specification are as defined below.

The term "alkylene" means C₁ - C₉ straight or branched chain alkylene, for example, methylene, methyl/methylene, 50 dimethylmethylene, methylethyl/methylene, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethylene, nonamethylene, or the like. The alkylene above can be intervened by a hetero atom(s) (oxygen, sulfur, nitrogen atom, or the like) or phenylene (e.g., 1,4-phenylene, 1,3-phenylene, 1,2-phenylene, or the like), contain an oxo group, and/or have one or more double- or triple-bonds at any positions on the chain. Examples include -(CH₂)₂O-CH₂-, -(CH₂)₂O-(CH₂)₂-, -(CH₂)₂O-(CH₂)₃-, -(CH₂)₂O-(CH₂)₄-, -(CH₂)₂O-(CH₂)₅-, -(CH₂)₂O-(CH₂)₆-, -(CH₂)₂S-(CH₂)₂-, -(CH₂)₃S-(CH₂)₂-, -CH₂S-CH₂-, -CH₂S-(CH₂)₄-, -CH₂N(CH₃)-CH₂-, -CH₂-NH-(CH₂)₂-, -(CH₂)₂N(CH₂CH₃)-(CH₂)₃-, -(CH₂)₂1,4-phenylene-CH₂-, -(CH₂)₂O-1,3-phenylene-CH₂-, -(CH₂)₂O-1,2-phenylene-CH₂-, -(CH₂)₂O-1,4-phenylene-CH₂-, -CH=CH-S-CH₂-1,4-phenylene-CH₂-, -CH=CH-S-1,3-phenylene-(CH₂)₂-, 2-oxopropylene, 3-oxopentylene, 5-oxohexylene, vinylene, 1-propenylene, 2-propenylene, 1-but enylene, 2-but enylene, 3-but e-

nylene, 1,2-butadienylene, 1,3-butadienylene, 1-pentenylene, 2-pentenylene, 3-pentenylene, 4-pentenylene, 1,2-pentadienylene, 1,3-pentadienylene, 1,4-pentadienylene, 2,3-pentadienylene, 2,4-pentadienylene, 1-hexenylene, 2-hexenylene, 3-hexenylene, 4-hexenylene, 5-hexenylene, 1,2-hexadienylene, 1,3-hexadienylene, 1,4-hexadienylene, 1,5-hexadienylene, 2,3-hexadienylene, 2,4-hexadienylene, 2,5-hexadienylene, 3,4-hexadienylene, 3,5-hexadienylene,
 5 4,5-hexadienylene, 1,1-dimethyl-4-hexenylene, 1-heptenylene, 2-heptenylene, 3-heptenylene, 4-heptenylene, 5-heptenylene, 2,2-dimethyl-5-heptenylene, 6-heptenylene, 1,2-heptadienylene, 1,3-heptadienylene, 1,4-heptadienylene, 1,5-heptadienylene, 1,6-heptadienylene, 2,3-heptadienylene, 2,4-heptadienylene, 2,5-heptadienylene, 2,6-heptadienylene, 3,4-heptadienylene, 3,5-heptadienylene, 3,6-heptadienylene, 4,5-heptadienylene, 4,6-heptadienylene or 5,6-heptadienylene, 1-propynylene, 3-butynylene, 2-pentyne, 5-hexynylene, 6-heptyne, -(CH₂)-CH=CH-O-(CH₂)₂, -CH₂-S-(CH₂)₃, -CH₂-cis-CH=CH-1,2-phenylene-CH₂-, -CH=CH-1,4-phenylene-(CH₂)₂, -4-oxo-4,5-hexenylene-, and the like.

The term "alkyl" means C₁ - C₂₀ straight or branched chain alkyl, for example, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, i-pentyl, neopentyl, t-pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, octadecyl, nonadecyl, icosyl, and the like.

15 The term "aryl" means C₆ - C₁₄ monocyclic or condensed ring, for example, phenyl, naphthyl (e.g., 1-naphthyl, 2-naphthyl), anthryl (e.g., 1-anthryl, 2-anthryl, 9-anthryl), phenanthryl (e.g., 2-phenanthryl, 3-phenanthryl, 9-phenanthryl), fluorenyl (e.g., 2-fluorenyl), and the like. Phenyl is especially preferred.

20 The term "aralkyl" means a group formed by substituting an alkyl as defined above with an aryl above at any substitutable positions on the alkyl. Examples include benzyl, phenethyl, phenylpropyl (e.g., 3-phenylpropyl), naphthylmethyl (e.g., α-naphthylmethyl), anthrylmethyl (e.g., 9-anthrylmethyl), phenanthrylmethyl (e.g., 3-phenanthrylmethyl), and the like.

The term "acyl" means C₁ - C₉ acyl derived from aliphatic carboxylic acid, for example, formyl, acetyl, propionyl, butyryl, valeryl, and the like.

25 The term "alkylsulfonyl" means a group formed by substituting a sulfonyl with an alkyl above, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, and the like.

The term "alkenyl" is C₂ - C₂₀ straight or branched chain alkenyl, which corresponds to an alkyl above containing one or more double bonds. Examples include vinyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1,2-butadienyl, 1-pentenyl, 1,2-pentadienyl, 2-hexenyl, 1,2-hexadienyl, 3-heptenyl, 1,5-heptadienyl, and the like.

30 The term "alkynyl" is C₂ - C₂₀ straight or branched chain, alkynyl, which corresponds to an alkyl above containing one or more triple bonds. Examples include ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, and the like.

The term "heterocyclic group" means 5 - 7 membered cyclic group containing one or more hetero atoms selected independently from the group consisting of oxygen, sulfur and/or nitrogen atom on the ring, and is optionally condensed with a carbon ring or other heterocyclic group at any substitutable positions. Examples include pyrrolyl (e.g., 1-pyrrolyl, 3-pyrrolyl), indolyl (e.g., 2-indolyl, 3-indolyl, 6-indolyl), carbazolyl (e.g., 2-carbazolyl, 3-carbazolyl), imidazolyl (e.g., 1-imidazolyl, 4-imidazolyl), pyrazolyl (e.g., 1-pyrazolyl, 3-pyrazolyl), benzimidazolyl (e.g., 2-benzimidazolyl, 5-benzimidazolyl), indazolyl (e.g., 3-indazolyl), indolizinyl (e.g., 6-indolizinyl), pyridyl (e.g., 2-pyridyl, 3-pyridyl, 4-pyridyl), quinolyl (e.g., 8-quinolyl), isoquinolyl (e.g., 3-isoquinolyl), acridyl (e.g., 1-acridyl), phenanthrydiny (e.g., 2-phenanthrydiny, 3-phenanthrydiny), pyridazinyl (e.g., 3-pyridazinyl), pyrimidinyl (e.g., 4-pyrimidinyl), pyrazinyl (e.g., 2-pyrazinyl), cinnolinyl (e.g., 3-cinnolinyl), phthaladinyl (e.g., 5-phthaladiny), quinazolinyl (e.g., 2-quinazolinyl), isoazolyl (e.g., 3-isoazolyl, 4-isoazolyl), benzisoxazolyl (e.g., 1,2-benzisoxazol-4-yl, 2,1-benzisoxazol-3-yl), oxazolyl (e.g., 2-oxazolyl, 4-oxazolyl, 5-oxazolyl), benzoxazolyl (e.g., 2-benzoxazolyl), benzoxadiazolyl (e.g., 4-benzoxadiazolyl), isothiazolyl (e.g., 3-isothiazolyl, 4-isothiazolyl) benzisothiazolyl (e.g., 1,2-benzisothiazol-3-yl, 2,1-benzisothiazol-5-yl), thiazolyl (e.g., 2-thiazolyl), benzothiazolyl (e.g., 2-benzothiazolyl), thiadiazolyl (e.g., 1,2,3-thiadiazol-4-yl), oxadiazolyl (e.g., 1,3,4-oxadiazol-2-yl), dihydroxadiazolyl (e.g., 4,5-dihydro-1,2,4-oxadiazol-3-yl), furyl (e.g., 2-furyl, 3-furyl), benzofuryl (e.g., 3-benzofuryl), isobenzofuryl (e.g., 1-isobenzofuryl), thiienyl (e.g., 2-thienyl, 3-thienyl), benzothienyl (1-benzothiophen-2-yl, 2-benzothiophen-1-yl), tetrazolyl (e.g., 5-tetrazolyl), benzodioxolyl (e.g., 1,3-benzodioxol-5-yl), dibenzofuryl (e.g., 2-dibenzofuryl, 3-dibenzofuryl), dibenzoxepinyl (e.g., dibenz[b,f]oxepin-2-yl), dihydronbenzoxepinyl (e.g., dihydronbenz[b,f]oxepin-2-yl), chromenyl (e.g., 2H-chromen-3-yl, 4H-chromen-2-yl), dibenzothiepinyl (e.g., dibenzo[b,f]thiepin-3-yl, dihydronbenzo[b,f]thiepin-3-yl), morpholinyl (e.g., 1,4-morpholin-4-yl), phenothiadiny (2-phenothiadiny),
 45 50 cyclopentathienyl (e.g., cyclopenta[b]thiophen-3-yl), cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl), and the like.

The term "cycloalkyl" means C₃ - C₈ cyclic alkyl, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like.

The term "cycloalkenyl" means C₃ - C₈ cyclic alkenyl, for example, cyclopropenyl (e.g., 1-cyclopropenyl), cyclobutenyl (e.g., 2-cyclobuten-1-yl), cyclopentenyl (1-cyclopenten-1-yl), cyclohexenyl (1-cyclohexen-1-yl), and the like.

The term "alkoxy" means C₁ - C₆ alkoxy, for example, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, and the like.

55 Examples of the substituted amino in the definition of "substituted- or un-substituted-amino" include mono- or di-substituted amino such as methylamino, ethylamino, dimethylamino, cyclohexylamino, phenylamino, diphenylamino, or

cyclic amino such as piperidino, piperadino or morpholino.

The term "acyloxy" means an acyloxy derived from the "acyl" above, for example, acetoxy, propionyloxy, butyryloxy, valeryloxy, and the like.

The term "halogen" means fluorine, chlorine, bromine and iodine.

5 The term "alkoxycarbonyl" means an alkoxycarbonyl group derived from the "alkoxy" above, for example, methoxycarbonyl, ethoxycarbonyl, phenyloxycarbonyl, and the like.

The term "aralkyloxycarbonyl" means an aralkyloxycarbonyl group derived from the "aralkyl" above, for example, benzoyloxycarbonyl, phenethyloxycarbonyl, and the like.

10 The term "aryloxycarbonyl" means an aryloxycarbonyl group derived from the "aryl" above, for example, phenyloxycarbonyl, naphthyoxy carbonyl, and the like.

The term "alkenyloxy" means an alkenyloxy group derived from the "alkenyl" above, for example, vinyloxy, 1-propenoxy, 2-butenoxy, and the like.

The term "hydroxalkyl" means a hydroxalkyl group derived from the "alkyl" above, for example, hydroxymethyl, hydroxyethyl, hydroxypropyl, and the like.

15 The term "alkylthio" means an alkylthio group derived from the "alkyl" above, for example, methylthio, ethylthio, propylthio, and the like.

The term "alkylenedioxy" means C₁ - C₃ alkylenedioxy, for example, methylenedioxy, ethylenedioxy, propylenedioxy, and the like.

20 In the case of "phenylene", "naphthylene", "thiophenediyl", "indolediyl", "oxazolediyl", "oxadiazolediyl" and tetrazolediyl", the said group can bind to the neighboring groups at any two substitutable sites.

In the definitions above, when a substituent(s) is cyclic, it may be substituted by one to three substituents selected from nitro, alkoxy, sulfamoyl, substituted- or un-substituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkyloxycarbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxalkyl, trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy. The substituent(s) may bind to any substitutable positions on the ring.

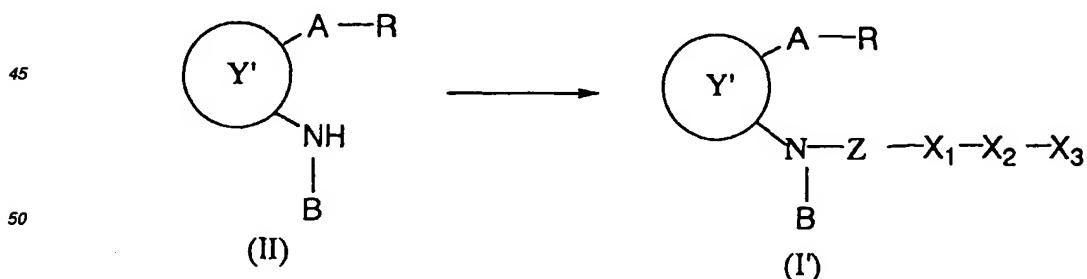
25 Examples of salts of the compound (I) include those formed with an alkali metal (e.g., lithium, sodium or potassium), an alkaline earth metal (e.g., calcium), an organic base (e.g., tromethamine, trimethylamine, triethylamine, 2-aminobutane, t-butylamine, diisopropylethylamine, n-butylmethylamine, cyclohexylamine, dicyclohexylamine, N-isopropylcyclohexylamine, furfurylamine, benzylamine, methylbenzylamine, dibenzylamine, N,N-dimethylbenzylamine, 2-chlorobenzylamine, 4-methoxybenzylamine, 1-naphthylmethyamine, diphenylbenzylamine, triphenylamine, 1-naphthylamine, 1-aminoanthracene, 2-aminoanthracene, dehydroabiethylamine, N-methylmorpholine or pyridine), an amino acid (e.g., lysine, or arginine), and the like.

The term "hydrate" means a hydrate of the compound of the formula (I) or its salt. Examples include mono- and dihydrates.

30 35 The present compounds are shown by the formula (I) and are inclusive of the form of any types of stereoisomers (e.g., diastereomer, epimer, enantiomer) and racemic compounds.

Among the compounds of the general formula (I), those wherein m=1, especially, those shown in Tables 3b and 3c below are known compounds described in Japanese Patent Publication (KOKAI) No. 180862/1990.

40 Among the compounds of the general formula (I), those wherein m=0, [i.e., those shown by the general formula (I')], can be prepared by reacting an amino compound of the general formula (II) with a reactive derivative of sulfonic acid or carboxylic acid corresponding to the partial structure: Z-X₁-X₂-X₃ as shown below.



55 Wherein A, B, R, X₁, X₂, X₃, Y and Z are as defined above.

A sulfonic acid corresponding to the partial structure: Z-X₁-X₂-X₃ is a compound of the general formula X₃-X₂-X₁-SO₃OH and a carboxylic acid corresponding to the said partial structure is a compound of the general formula X₃-X₂-X₁-COOH. Reactive derivative of these sulfonic or carboxylic acids means a corresponding halide (e.g., chloride, bro-

mide, iodide), acid anhydride (e.g., mixed acid anhydride with formic acid or acetic acid), active ester (e.g., succinimidyl ester), and examples thereof generally include acylating agents used for the acylation of amino group. The carboxylic acid $X_3\text{-}X_2\text{-}X_1\text{-COOH}$ can be used in the reaction as it is without converting into a reactive derivative, in the presence of a condensing agent (e.g., dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, N,N'-carbonyldiimidazole) which are used in the condensing reaction between amine and carboxylic acid.

The reaction can be conducted under the conditions generally used for the acylation of amino groups. For example, in the case of condensation using an acid halide, the reaction is carried out using a solvent such as an ether solvent (e.g., diethylether, tetrahydrofuran, dioxane), benzene solvent (e.g., benzene, toluene, xylene), halogenated hydrocarbon solvent (e.g., dichloromethane, dichloroethane, chloroform), ethyl acetate, dimethylformamide, dimethyl sulfoxide, acetonitrile, or the like, if necessary, in the presence of a base (e.g., organic base such as triethylamine, pyridine, N,N-dimethylaminopyridine, N-methylmorpholine; inorganic base such as sodium hydroxide, potassium hydroxide, potassium carbonate, or the like) under cooling, at room temperature or under heating, preferably at temperature ranging from -20°C to a temperature under cooling, or from room temperature to a refluxing temperature of the reaction system, for several min to several hr, preferably for 0.5 hr to 24 hr, more preferably, for 1 hr to 12 hr.

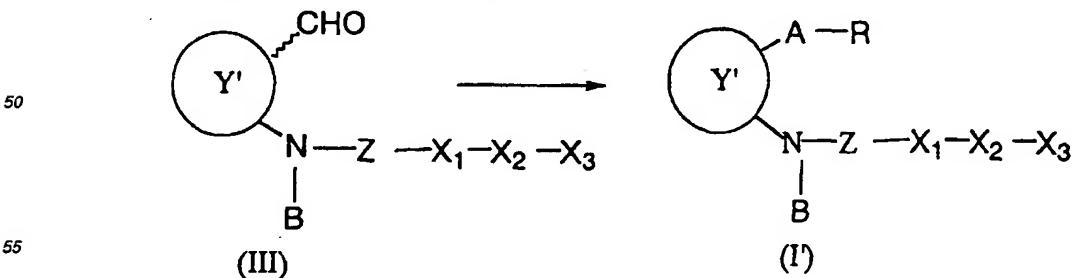
15 The reaction conditions for the reaction between other reactive derivative or a free acid and an amine (II) can be determined in a conventional manner depending on the characteristics of the respective reactive derivative or free acid.

The reaction product can be purified by conventional purification methods, for example, the extraction with a solvent, chromatography, recrystallization, or the like.

Specific examples of the compound (II) as a starting material for the present method are as follows. Examples of 3-amino[2.2.1]bicyclic compound include 7-(3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-2,2-dimethyl-5-heptenoic acid, 7-(N-methyl-3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 6-(3-aminobicyclo[2.2.1]hept-2-yl)-5-hexenoic acid. Specific examples of 2-amino-6,6-dimethyl[3.1.1]bicyclic compound include 7-(2-amino-6,6-dimethylbicyclo[3.1.1]hept-3-yl)-5-heptenoic acid. In these starting compounds, the heptenoic acid chain may be saturated to form heptanoic acid chain, intervened by a hetero atom(s) or a hetero group(s) such as -O-, -S-, -NH-, or a phenylene(s), or substituted with an oxo group. Examples of such compounds include 7-(3-aminobicyclo[2.2.1]hept-2-yl)heptanoic acid, 4-[2-(2-aminobicyclo[3.1.1]hept-3-yl)ethoxyphenylacetic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-6-oxo-heptanoic acid. These starting compounds are either described in the Japanese Patent Publication (KOKOKU) No. 79060/1993 or 23170/1991, or can be prepared according to the method described therein.

Sulfonic acid $X_3\text{-}X_2\text{-}X_1\text{-SO}_2\text{OH}$ and carboxylic acid $X_3\text{-X}_2\text{-X}_1\text{-COOH}$ corresponding to the partial structure $Z\text{-X}_1\text{-X}_2\text{-X}_3$ mean a sulfonic acid or carboxylic acid having substituents corresponding to the Xs above. That is, examples include alkane-sulfonic acid or -carboxylic acid, alkene-sulfonic acid or -carboxylic acid, alkyne-sulfonic acid or -carboxylic acid, cycloalkane-sulfonic acid or -carboxylic acid, cycloalkene-sulfonic acid or -carboxylic acid, aryl-sulfonic acid or -carboxylic acid, aralkyloxy-sulfonic acid or -carboxylic acid, heterocyclic-substituted-sulfonic acid or -carboxylic acid, heteroarylalkyl-sulfonic acid or -carboxylic acid, and substituted-amino-sulfonic acid or -carboxylic acid. Each of sulfonic and carboxylic acids may have a substituent(s) above. These sulfonic acids and carboxylic acids are commercially available or can be easily synthesized from a known compound(s) in accordance with a known method. Upon reaction, the sulfonic or carboxylic acid can be converted into the corresponding reactive derivative above, if necessary. For example, when an acid halide is needed, the compound is reacted with thionyl halide (e.g., thionyl chloride), phosphorous halide (e.g., phosphorous trichloride, phosphorous pentachloride) or oxalyl halide (e.g., oxalyl chloride) in accordance with a known method such as those described in the literature (e.g., Shin-Jikken-Kagaku-Koza, vol. 14, pp. 1787 (1978); Synthesis, 852-854 (1986); Shin-Jikken-Kagaku-Koza, vol. 22, pp. 115 (1992)). The other reactive derivatives can also be prepared in accordance with known methods.

Among the objective compounds (I), those wherein the side chain A contains an unsaturated bond, especially a double bond, can also be prepared by reacting an aldehyde derivative of the general formula (III) below with an ylide compound corresponding to the rest of the side chain A-R under the conditions of the Wittig reaction:



wherein A, B, R, X₁, X₂, X₃, Y and Z are as defined above.

The starting compound (III) can be prepared in accordance with a method described in, for example, Japanese Patent Publication (KOKAI) No. 256650/1990. Further, an ylide compound corresponding to the rest of the side chain A-R can be synthesized by reacting triphenylphosphine with a corresponding halogenated alcanoic acid, or an ester derivative, ether derivative or amide derivative thereof in the presence of a base according to a known method.

Among the objective compounds (I), those wherein R is COOH can be converted into a corresponding ester derivative, alcohol derivative, ether derivative, amide derivative, if desired. For example, ester derivatives can be prepared by esterifying a carboxylic acid in a conventional manner. An ester derivative, when reduced, gives an alcohol derivative, and amidated, gives an amide derivative. An ether derivative can be obtained by O-alkylating an alcohol derivative.

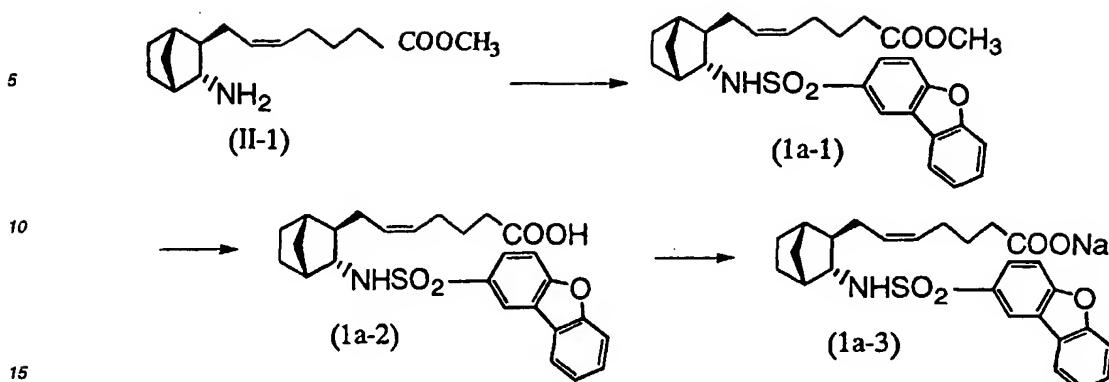
The compound (I) of the present invention shows antagonistic effect against PGD₂ in vitro through the binding to PGD₂ receptor, and is useful as a drug for treating diseases in which mast cell dysfunction due to excessive production of PGD₂ is involved. For example, the compound (I) is useful as a drug for treating diseases, such as systemic mastocytosis and disorder of systemic mast cell activation, and also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and inflammation. The compound (I) shows preventive effect on nasal occlusion in vivo, and therefore is especially useful as a drug for treating that.

When using a compound (I) of the present invention in treatment, it can be formulated into ordinary formulations for oral and parenteral administration. A pharmaceutical composition containing a compound (I) of the present invention can be in the form for oral and parenteral administration. Specifically, it can be formulated into formulations for oral administration such as tablets, capsules, granules, powders, syrup, and the like; those for parenteral administration such as injectable solutions or suspensions for intravenous, intramuscular or subcutaneous injection, inhalant, eye drops, nasal drops, suppositories, or percutaneous formulations such as ointments.

In preparing the formulations, carriers, excipients, solvents, and bases known to one ordinary skilled in the art may be used. In case of tablets, they are prepared by compressing or formulating an active ingredient together with auxiliary components. Examples of usable auxiliary components include pharmaceutically acceptable excipients such as binders (e.g., cornstarch), fillers (e.g., lactose, microcrystalline cellulose), disintegrants (e.g., starch sodium glycolate) or lubricants (e.g., magnesium stearate). Tablets may be coated appropriately. In the case of liquid formulations such as syrups, solutions, or suspensions, they may contain suspending agents (e.g., methyl cellulose), emulsifiers (e.g., lecithin), preservatives, and the like. In the case of injectable formulations, it may be in the form of solution or suspension, or oily or aqueous emulsion, which may contain suspension-stabilizing agent or dispensing agent, and the like. In the case of an inhalant, it is formulated into a liquid formulation applicable to an inhaler. In the case of eye drops, it is formulated into a solution or a suspension. Especially, in the case of nasal drug for treating nasal occlusion, it can be used as a solution or suspension prepared by a conventional formulating method, or as a powder formulated using a powdering agent (e.g., hydroxypropyl cellulose, carbopore), which are administered into the nasal cavity. Alternatively, it can be used as an aerosol after filling into a special container together with a solvent of low boiling point.

Although an appropriate dosage of the compound (I) varies depending on the administration route, age, body weight, sex, or condition of the patient, and the kind of drug(s) used together, if any, and should be determined by the physician in the end, in the case of oral administration, the daily dosage can generally be between about 0.01 - 100 mg, preferably about 0.01 - 10 mg, more preferably about 0.1 - 10 mg, per kg body weight. In the case of parenteral administration, the daily dosage can generally be between about 0.001 - 100 mg, preferably about 0.001 - 1 mg, more preferably about 0.01 - 1 mg, per kg body weight. The daily dosage can be administered in 1 - 4 divisions.

The following Examples are provided to further illustrate the present invention and are not to be construed as limiting the scope thereof.

Example 1

(Z)-7-[(1S,2R,3R,4R)-3-(2-Dibenzofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1a-2) (453 mg, 0.97 mmol) was dissolved in methanol (5 ml). After addition of 1 N sodium methoxide/methanol (1.034 N, 0.937 ml, 0.97 mmol), the mixture was allowed to warm up to room temperature and to react for 1 hr. The solvent was removed by distillation to yield the sodium salt (1a-3) (457 mg, 0.933 mmol). Yield 96 %. Amorphous powder.

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Elemental analysis (C ₂₆ H ₂₈ NO ₅ SnA 0.6H ₂ O)					
Calcd.(%) :	C,62.41;	H,5.88;	N,2.80;	S,6.41;	Na,4.59
Found (%) :	C,62.45;	H,5.92;	N,2.99;	S,6.49;	Na,4.46

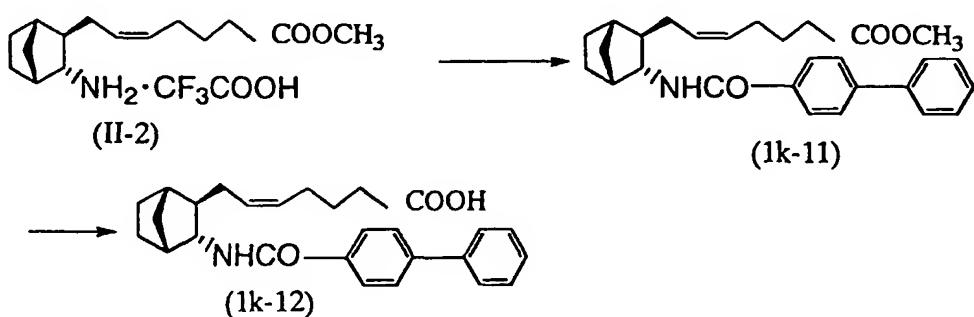
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IR (KBr) : 434, 3280, 3074, 3007, 2952, 2873, 1566, 1467, 1444, 1417, 1344, 1315, 1270, 1248, 1200, 1189, 1154, 1124, 1107, 1075, 1058, 895, 842, 818 /cm.
¹H NMR(CD₃OD): 1.02-2.05(16H, m), 2.16-2.23(1H, m), 2.94-3.00(1H, m), 4.98-5.05(2H, m), 7.41-7.48(1H, m), 7.53-7.62(1H, m), 7.66(1H, d, J=8.4Hz), 7.77(1H, d, J=8.4Hz), 8.57(1H, d, J=2.1Hz).
[α]_D=-15.2° (CH₃OH, c=1.07%, 22°C).

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Example 2

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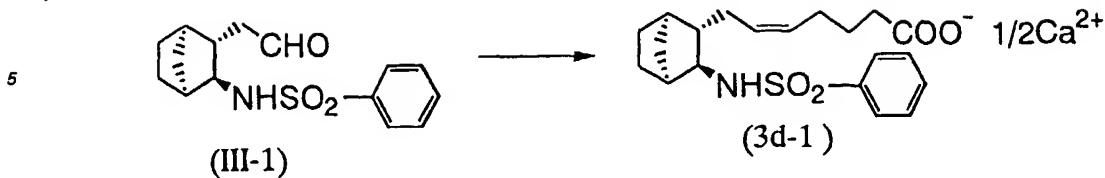
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Methyl (Z)-7-[(1S,2R,3R,4R)-3-aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate trifluoroacetate (II-2) (232 mg, 0.636 mmol), which was prepared by the method described in Reference Example 4 of the Japanese Patent Publication (KOKOKU) No. 79060/1993, was dissolved in methylene chloride (5 ml). To the solution were added triethylamine (0.279 ml, 2.00 mmol) and 4-biphenylcarbonyl chloride under ice-cooling and stirred for 7 hr at the same temperature. The reaction mixture was purified by column chromatography on silica gel (ethyl acetate/n-hexane (1:4)) to yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(4-biphenyl)carbonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1k-11) (221 mg, 0.512 mmol). The compound (1k-11) (190 mg, 0.440 mmol) was dissolved in methanol (6 ml). To the solution was added 1 N KOH (1.10 ml, 1.10 mmol) under ice-cooling and stirred for 15 hr at room temperature. The reaction mixture was concentrated in vacuo. The residue, after the addition of water (20 ml) and 1 N HCl (2 ml), was extracted with ethyl acetate. The organic layer was washed with saturated brine, dried over anhydrous sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (ethyl acetate/hexane (1:1) containing 0.3 % acetic acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(4-biphenyl)carbonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1k-12) (172 mg, 0.412 mmol). Yield 94 %.

The following compounds can also be prepared in the following manner.

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Example 3

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To a suspension of 4-carboxybutyltriphenylphosphonium bromide (14.8 g, 33.3 mmol) and tetrahydrofuran (80 ml) was added potassium t-butyrate (7.55 g, 67.3 mmol) at room temperature under a nitrogen atmosphere. After stirring for 1 hr at room temperature, the mixture was cooled to -20°C and a solution of N-[(1S,2S,3S,4R)-3-formylmethylbicyclo[2.2.1]hept-2-yl]benzenesulfonamide (III-1) (Japanese Patent Publication (KOKAI) No. 256650/1990, Reference Example 2) (3.25 g, 11.1 mmol) in tetrahydrofuran (20 ml) was added slowly. After stirring for about 1 hr at -20 °C, the ice bath was removed and the mixture was further stirred for 1 hr. To the reaction solution was added 2 N HCl and the mixture was extracted with ethyl acetate, washed with water and brine, and concentrated. After the addition of toluene and 1 N sodium hydroxide to the resultant crude product, aqueous layer was separated. The organic layer was washed with water again and the washing was combined with the previously obtained aqueous layer. After the addition of 2 N HCl, the aqueous solution was extracted with ethyl acetate. The extract was washed with water and brine, dried over sodium sulfate, and concentrated. The residue was purified by column chromatography on silica gel to obtain calcium (Z)-7-[(1R,2S,3S,4S)-3-phenylsulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1d-1) (3.29 g, yield 79 %, mp 62°C).

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Elemental analysis (C ₂₀ H ₂₇ NO ₄ S)				
Calcd. (%) :	C, 63.63;	H, 7.21;	N, 3.71;	S, 8.49
Found (%) :	C, 63.56;	H, 7.21;	N, 3.83;	S, 8.43

35 [α]_D=+ 5.3 ± 0.5° (CHCl₃, c=1.003 %, 22°C)
[α]_D=+27.1 ± 0.7° (MeOH, c=1.015 % 24 °C)
IR(Nujol) 3282, 3260, 3300, 2400, 1708, 1268, 1248, 1202, 1162, 1153, 1095, 1076/cm.
¹H NMR δ 0.88-2.10(m, 14H), 2.14(br S, 1H), 2.34(t, J=7.2Hz, 2H), 2.95-3.07(m, 1H), 5.13-5.35(m, 3H), 7.45-7.64(m, 3H), 7.85-7.94(m, 2H), 9.52(brS, 1H).

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Compounds prepared in accordance with a method described in Examples above are shown in Tables below.

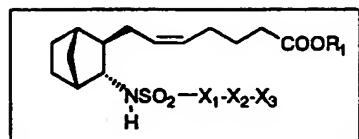
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Table 1a

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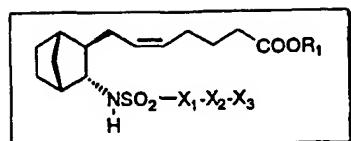
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No.	R ₁	X ₁ -X ₂ -X ₃
1a-1	CH ₃	
1a-2	H	
1a-3	Na	
1a-4	CH ₃	
1a-5	H	
1a-6	CH ₃	
1a-7	H	
1a-8	CH ₃	
1a-9	H	
1a-10	CH ₃	
1a-11	H	
1a-12	CH ₃	
1a-13	H	
1a-14	CH ₃	
1a-15	H	
1a-16	CH ₃	
1a-17	H	
1a-18	CH ₃	
1a-19	H	
1a-20	CH ₃	
1a-21	H	
1a-22	H	
1a-23	H	

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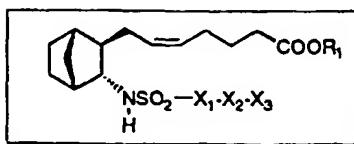
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No.	R ₁	X ₁ --X ₂ --X ₃
1a-24	CH ₃	
1a-25	H	
1a-26	Na	
1a-27	CH ₃	
1a-28	H	
1a-29	Na	
1a-30	CH ₃	
1a-31	H	
1a-32	CH ₃	
1a-33	H	
1a-34	CH ₃	
1a-35	CH ₃	
1a-36	H	
1a-37	CH ₃	
1a-38	H	
1a-39	CH ₃	
1a-40	H	
1a-41	H	
1a-42	CH ₃	
1a-43	H	
1a-44	CH ₃	
1a-45	H	

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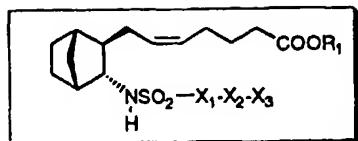
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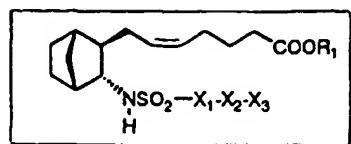
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No.	R ₁	X ₁ -X ₂ -X ₃
1a-46	CH ₃	
1a-47	H	
1a-48	Na	
1a-49	CH ₃	
1a-50	H	
1a-51	CH ₃	
1a-52	H	
1a-53	CH ₃	
1a-54	H	
1a-55	CH ₃	
1a-56	H	
1a-57	CH ₃	
1a-58	H	
1a-59	CH ₃	
1a-60	H	
1a-61	CH ₃	
1a-62	H	
1a-63	CH ₃	
1a-64	H	
1a-65	CH ₃	
1a-66	H	
1a-67	CH ₃	
1a-68	H	



	No.	R ₁	X ₁ -X ₂ -X ₃
10	1a-69	CH ₃	
	1a-70	H	
15	1a-71	CH ₃	
	1a-72	H	
20	1a-73	CH ₃	
	1a-74	H	
25	1a-75	CH ₃	
	1a-76	H	
30	1a-77	CH ₃	
	1a-78	H	
35	1a-79	H	
	1a-80	CH ₃	
40	1a-81	H	
	1a-82	CH ₃	
45	1a-83	H	
	1a-84	H	
50	1a-85	H	
	1a-86	H	
55	1a-87	H	



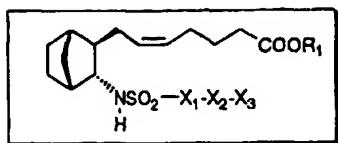
	No.	R ₁	X ₁ -X ₂ -X ₃
10	Ia-88	CH ₃	
	Ia-89	H	
15	Ia-90	CH ₃	
	Ia-91	H	
20	Ia-92	CH ₃	
	Ia-93	H	
25	Ia-94	H	
	Ia-95	H	
30	Ia-96	H	
	Ia-97	H	
35	Ia-98	H	
	Ia-99	Na	

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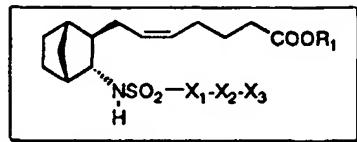


No.	R ₁	X ₁ -X ₂ -X ₃
10		
la-100	CH ₃	
la-101	H	
15		
la-102	CH ₃	
20		
la-103	CH ₃	
la-104	H	
25		
la-105	CH ₃	
la-106	H	
30		
la-107	CH ₃	
la-108	H	
35		
la-109	CH ₃	
la-110	H	
40		
la-111	CH ₃	
la-112	H	
45		
la-113	CH ₃	
la-114	H	

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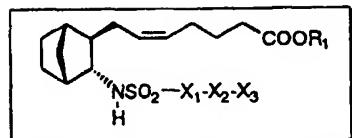
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No.	R ₁	X ₁ -X ₂ -X ₃
1a-115	CH ₃	
1a-116	H	
1a-117	Na	
1a-118	i-Pr	
1a-119	CH ₃	
1a-120	Na	
1a-121	H	
1a-122	CH ₃	
1a-123	H	
1a-124	CH ₃	
1a-125	CH ₃	
1a-126	H	
1a-127	CH ₃	
1a-128	H	
1a-129	CH ₃	
1a-130	CH ₃	
1a-131	H	
1a-132	CH ₃	
1a-133	H	
1a-134	H	
1a-135	CH ₃	
1a-136	H	
1a-137	CH ₃	
1a-138	H	
1a-139	CH ₃	
1a-140	H	

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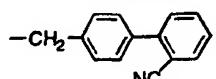


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No.

R₁X₁—X₂—X₃

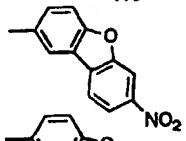
15

1a-141
1a-142CH₃
H

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1a-143

H



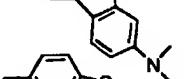
1a-144

H



1a-145

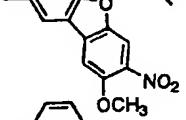
H



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1a-146

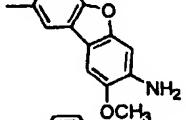
H



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1a-147

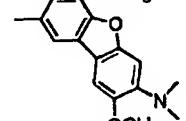
H



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1a-148

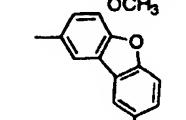
H



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1a-149

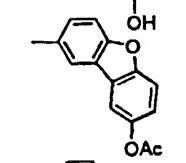
H



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1a-150

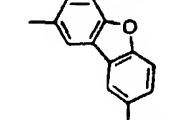
H



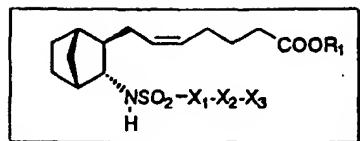
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1a-151

H

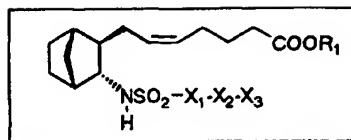


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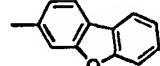


No.	R ₁	X ₁ -X ₂ -X ₃
10		
1a-152	H	
15		
1a-153	H	
20		
1a-154	H	
25		
1a-155	H	
30		
1a-156	H	
35		
1a-157	H	
40		
1a-158	H	
45		
1a-159	H	
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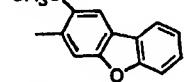
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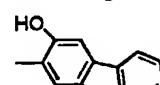
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1a-161**R₁****X₁-X₂-X₃**

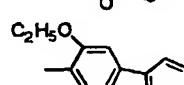
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1a-162**H**

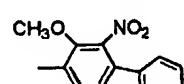
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1a-163**H**

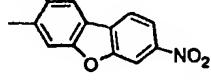
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1a-164**H**

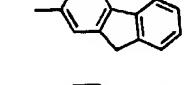
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1a-165**H**

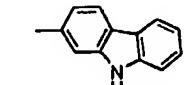
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1a-166**H**

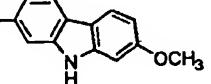
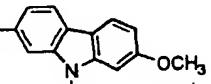
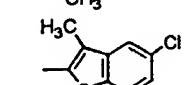
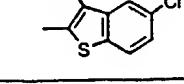
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1a-167**H**

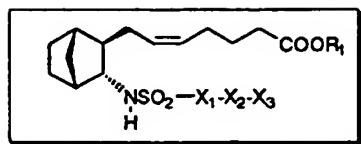
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1a-168**H**

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1a-169**H****1a-170****H****1a-171****CH₃****1a-172****H**

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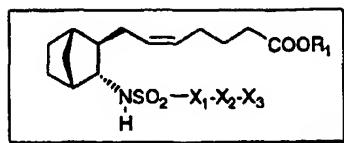
	No.	R ₁	X ₁ -X ₂ -X ₃
10	1a-173	H	
15	1a-174	H	
20	1a-175	CH ₃	
	1a-176	H	
25	1a-177	CH ₃	
	1a-178	H	
30	1a-179	CH ₃	
	1a-180	H	
35	1a-181	H	
	1a-182	CH ₃	
	1a-183	H	

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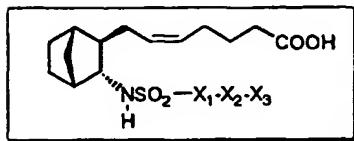
	No.	R ₁	X ₁ -X ₂ -X ₃
10	la-184	H	
15	la-185	H	
20	la-186 la-187	CH ₃ H	
25	la-188 la-189	CH ₃ H	
30	la-190 la-191	CH ₃ H	
35	la-192 la-193	CH ₃ H	

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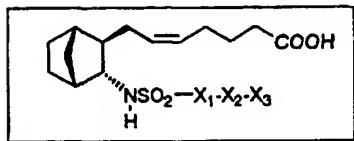


No.	X ₁ -X ₂ -X ₃
10	
1a-194	
15	
1a-195	
20	
1a-196	
25	
1a-197	
30	
1a-198	
35	
1a-199	
40	
1a-200	
45	
1a-0201	
1a-202	
1a-203	

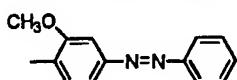
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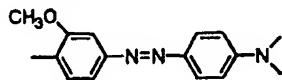


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No.
1a-204

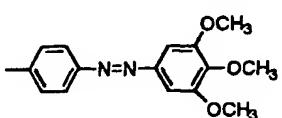
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1a-205



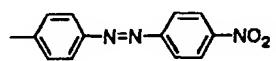
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1a-206



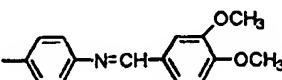
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1a-207



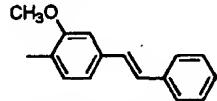
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1a-208



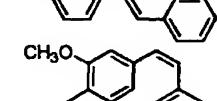
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1a-210



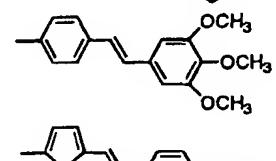
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1a-211



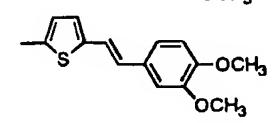
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1a-212



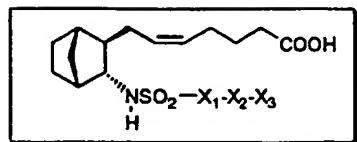
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1a-213

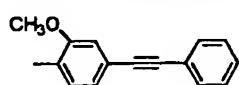


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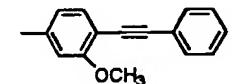
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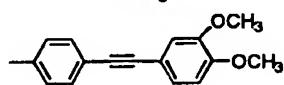
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1a-214

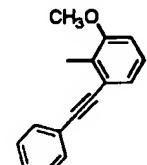
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1a-215

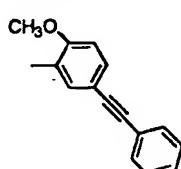
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1a-216

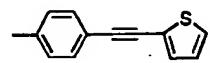
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1a-217

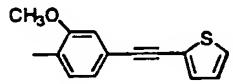
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1a-218

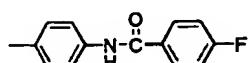
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1a-219

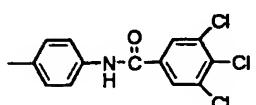
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1a-220

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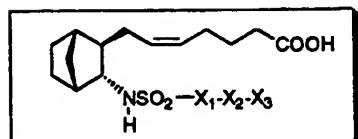
1a-221

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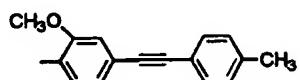
1a-222

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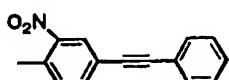
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 $X_1-X_2-X_3$

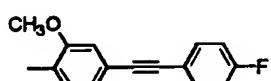
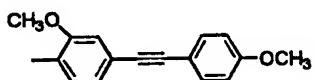
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1a-224

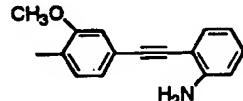
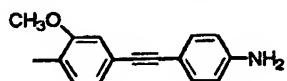
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1a-225

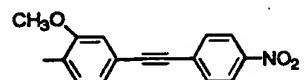
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1a-226**1a-227**

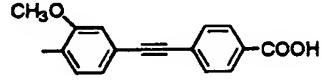
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1a-228**1a-229**

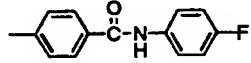
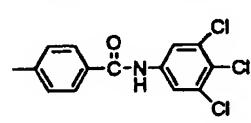
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1a-230

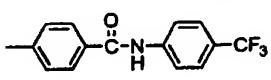
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1a-231

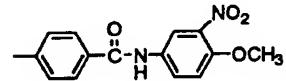
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1a-232**1a-233**

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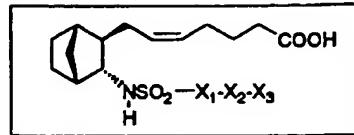
1a-234

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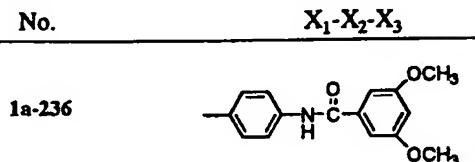
1a-235

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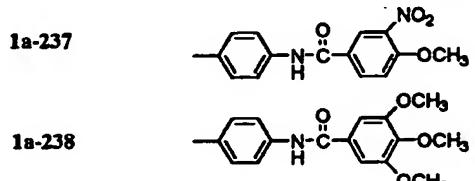
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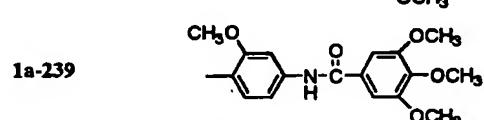
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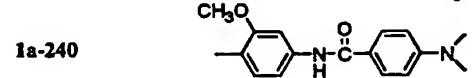
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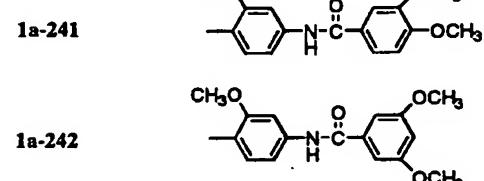
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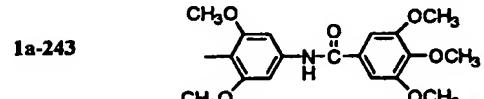
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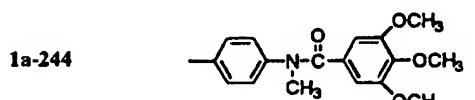
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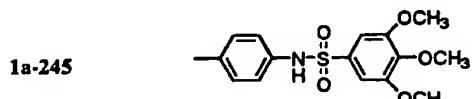
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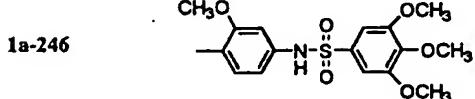
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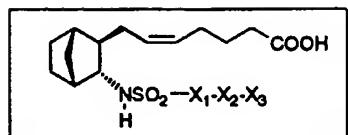


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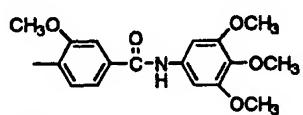
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 $X_1\text{-}X_2\text{-}X_3$

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1a-247



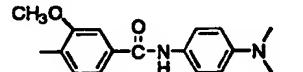
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1a-248



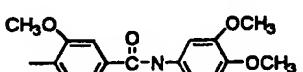
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1a-249



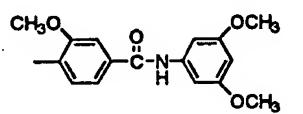
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1a-250



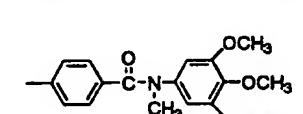
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1a-251



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1a-252

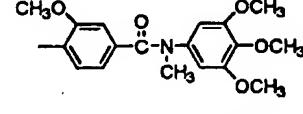


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1a-253

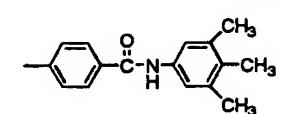
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1a-254

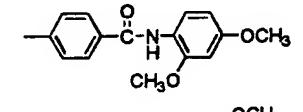


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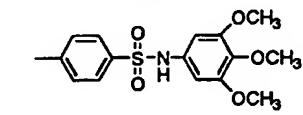
1a-255



1a-256

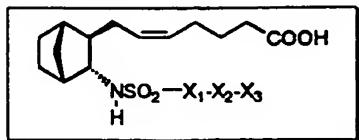


1a-257



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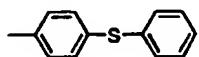
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No.

 $X_1 \cdot X_2 \cdot X_3$

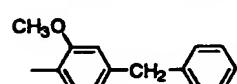
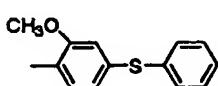
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1a-258



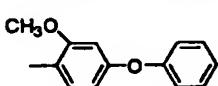
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1a-259



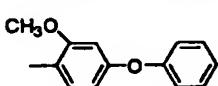
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1a-260



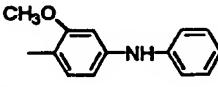
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1a-261



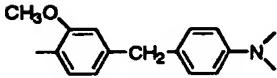
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1a-262



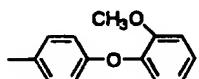
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1a-263

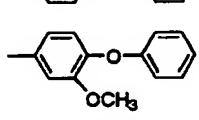


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1a-264

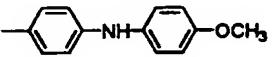


1a-265

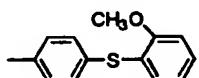


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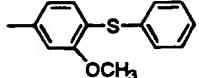
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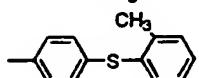
1a-267



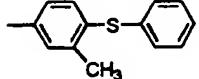
1a-268



1a-269

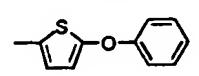


1a-270

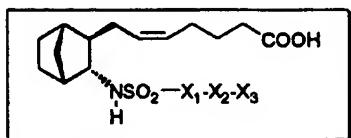


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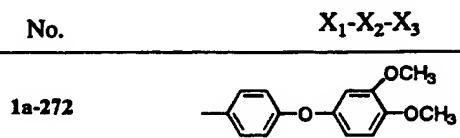
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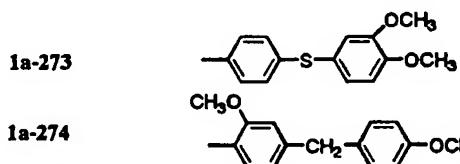
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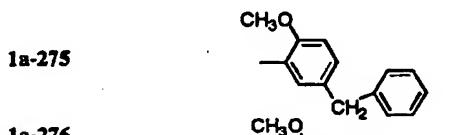
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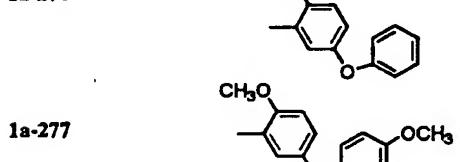
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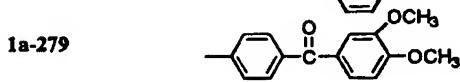
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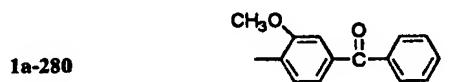
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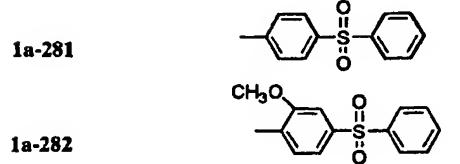
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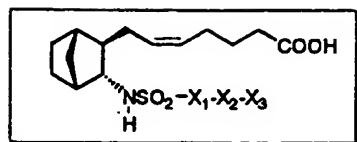


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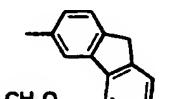
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No.

 $X_1 \cdot X_2 \cdot X_3$

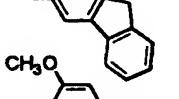
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1a-284

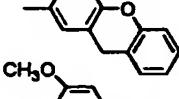


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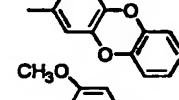
1a-285



1a-286

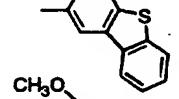


1a-287



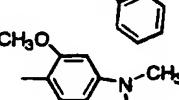
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1a-288



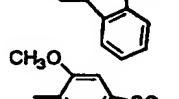
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1a-289

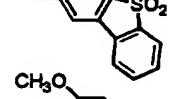


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1a-290

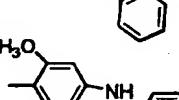


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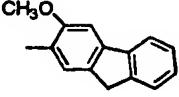
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1a-292



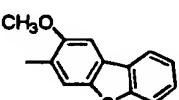
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1a-293



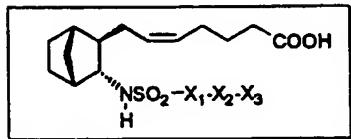
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1a-294



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No.	X ₁ -X ₂ -X ₃
1a-295	
1a-296	
1a-297	
1a-298	
1a-299	
1a-300	
1a-301	
1a-302	
1a-303	
1a-304	
1a-305	

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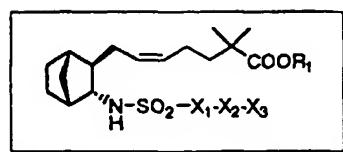
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Table 1b

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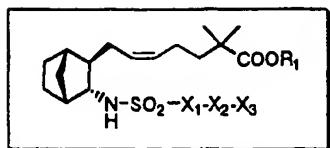
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No.	R ₁	X ₁ -X ₂ -X ₃
1b-1	CH ₃	
1b-2	CH ₃	
1b-3	H	
1b-4	H	
1b-5	H	
1b-6	H	
1b-7	H	
1b-8	H	
1b-9	H	
1b-10	H	

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No.	R ₁	X ₁ -X ₂ -X ₃
1b-11	H	
1b-12	H	
1b-13	H	
1b-14	H	
1b-15	H	

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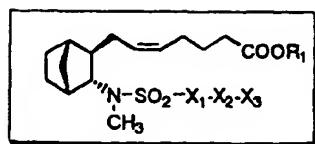
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Table 1c



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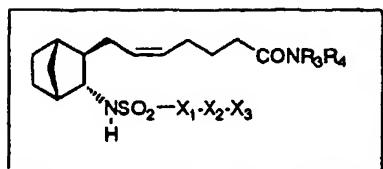
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No.	R ₁	X ₁ -X ₂ -X ₃
1c-1	CH ₃	
1c-2	CH ₃	
1c-3	K	
1c-4	H	
1c-5	H	
1c-6	H	
1c-7	H	
1c-8	H	
1c-9	H	
1c-10	H	
1c-11	H	
1c-12	H	

Table 1d



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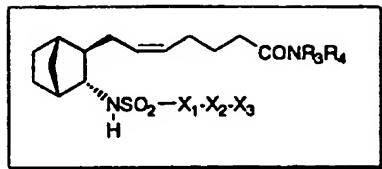
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No.	R ₃	R ₄	X ₁ ·X ₂ ·X ₃
1d-1	H	SO ₂ CH ₃	
1d-2	H	H	
1d-3	H	OH	
1d-4	H	SO ₂ CH ₃	
1d-5	H	SO ₂ CH ₃	
1d-6	H	SO ₂ CH ₃	
1d-7	H	SO ₂ CH ₃	
1d-8	H	SO ₂ CH ₃	
1d-9	H	SO ₂ CH ₃	
1d-10	H	SO ₂ CH ₃	



No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
10			
1d-11	H	SO ₂ CH ₃	
15			
1d-12	H	SO ₂ CH ₃	
20			
1d-13	H	SO ₂ CH ₃	
25			
1d-14	H	SO ₂ CH ₃	
30			
1d-15	H	SO ₂ CH ₃	

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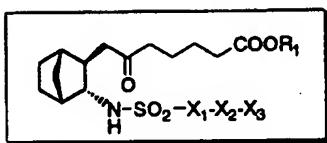
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Table 1e



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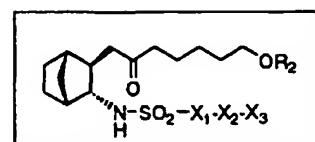
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No.	R ₁	X ₁ -X ₂ -X ₃
1e-1	H	
1e-2	H	
1e-3	H	
1e-4	H	
1e-5	H	
1e-6	H	
1e-7	H	
1e-8	H	
1e-9	H	
1e-10	H	

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Table If

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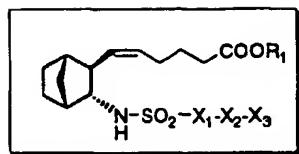


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No.	R_2	$\text{X}_1-\text{X}_2-\text{X}_3$
15	1f-1	H
20	1f-2	H
25	1f-3	H
30	1f-4	H
35	1f-5	H
40	1f-6	H
45	1f-7	H
50	1f-8	H
55	1f-9	H
	1f-10	H

Table 1g

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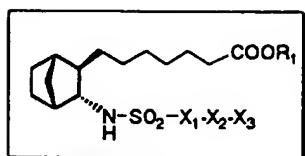
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No.	R ₁	X ₁ -X ₂ -X ₃
1g-1	H	
1g-2	H	
1g-3	H	
1g-4	H	
1g-5	H	
1g-6	H	
1g-7	H	
1g-8	H	
1g-9	H	
1g-10	H	
1g-11	H	

Table 1b



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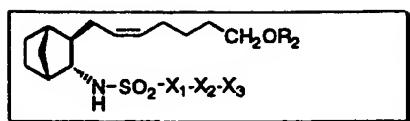
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	No.	R ₁	X ₁ -X ₂ -X ₃
	1b-1	H	
	1b-2	H	
	1b-3	H	
	1b-4	H	
	1b-5	H	
	1b-6	H	
	1b-7	H	
	1b-8	H	
	1b-9	H	
	1b-10	H	

Table 1i



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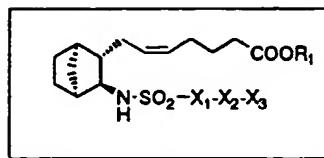
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No.	R ₂	X ₁ -X ₂ -X ₃
1i-1	H	
1i-2	H	
1i-3	H	
1i-4	H	
1i-5	H	
1i-6	H	
1i-7	H	
1i-8	H	
1i-9	H	
1i-10	H	
1i-11	H	
1i-12	H	

Table 1j

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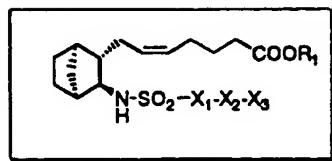
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No.	R ₁	X ₁ -X ₂ -X ₃
1j-1	CH ₃	
1j-2	H	—C ₆ H ₄ —CH ₂ —C ₆ H ₄ —
1j-3	Na	
1j-4	H	—C ₆ H ₄ —N=N—C ₆ H ₄ —
1j-5	CH ₃	
1j-6	CH ₃	—C ₆ H ₄ —O—C ₆ H ₄ —
1j-7	H	
1j-8	CH ₃	—C ₆ H ₄ —O—C ₆ H ₄ —
1j-9	CH ₃	—C ₆ H ₄ —C(=O)—C ₆ H ₄ —
1j-10	H	
1j-11	CH ₃	—C ₆ H ₄ —C(=O)—C ₆ H ₄ —
1j-12	H	
1j-13	CH ₃	—C ₆ H ₄ —C(=O)—C ₆ H ₄ —
1j-14	H	
1j-15	CH ₃	—C ₆ H ₄ —C≡C—C ₆ H ₄ —
1j-16	H	

5



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No.	R ₁	X ₁ -X ₂ -X ₃
1j-17	H	

15

1j-18	CH ₃	
1j-19	H	

20

1j-20	CH ₃	
1j-21	H	

25

1j-22	H	
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1j-23	CH ₃	
1j-24	H	

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1j-25	CH ₃	
1j-26	H	

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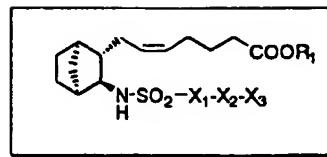
1j-27	H	
1j-28	CH ₃	

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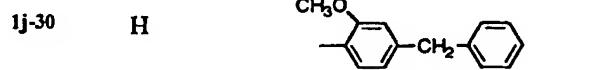
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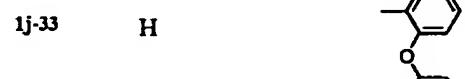
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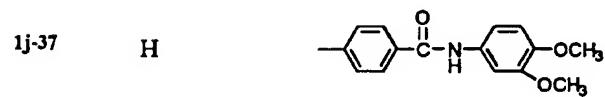
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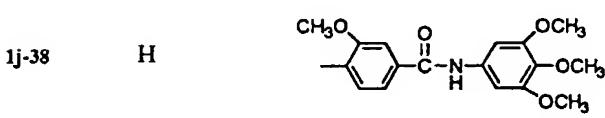
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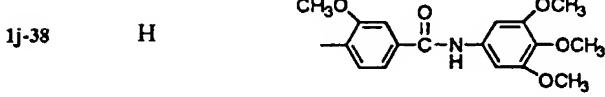
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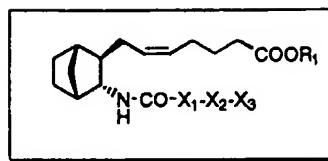
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Table 1k

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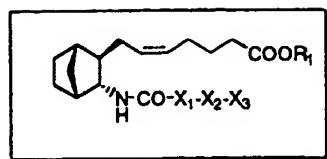
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No.	R ₁	X ₁ -X ₂ -X ₃
15		
1k-1	H	
1k-2	CH ₃	
1k-3	H	
20		
1k-4	H	
1k-5	H	
25		
1k-6	H	
1k-7	H	
30		
1k-8	H	
1k-9	H	
35		
1k-10	H	
40		
1k-11	CH ₃	
1k-12	H	

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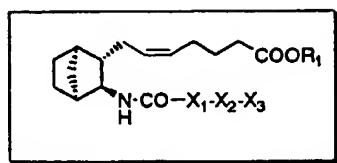


	No.	R ₁	X ₁ -X ₂ -X ₃
10	1k-13	H	
15	1k-14	H	
20	1k-15	H	
25	1k-16	H	
30	1k-17	H	
35	1k-18	H	
40	1k-19	H	
45	1k-20	H	

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Table 1m



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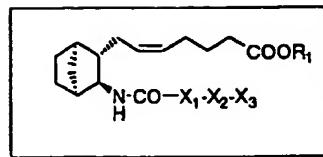
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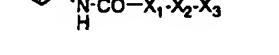
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	No.	R ₁	X ₁ -X ₂ -X ₃
	1m-1	CH ₃	
	1m-2	H	
15	1m-3	CH ₃	
	1m-4	H	
20	1m-5	CH ₃	
	1m-6	H	
25	1m-7	CH ₃	
	1m-8	H	
30	1m-9	CH ₃	
	1m-10	H	
35	1m-11	CH ₃	
	1m-12	H	
40	1m-13	CH ₃	
	1m-14	H	
45	1m-15	CH ₃	
	1m-16	H	
50	1m-17	CH ₃	
	1m-18	H	



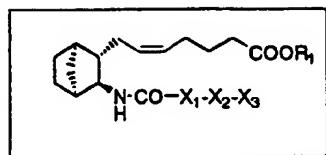
		
No.	R ₁	X ₁ -X ₂ -X ₃
10	1m-19	CH ₃
	1m-20	H
15	1m-21	H
	1m-22	H
20	1m-23	CH ₃
	1m-24	H
25	1m-25	CH ₃
	1m-26	H
30	1m-27	CH ₃
	1m-28	H
35	1m-29	CH ₃
	1m-30	H
40	1m-31	H
	1m-32	H
45	1m-33	H

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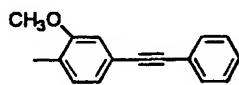


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No.	R ₁	X ₁ -X ₂ -X ₃
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1m-34

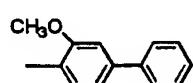
H



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1m-35

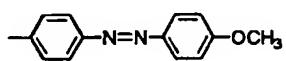
H



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1m-36

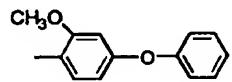
H



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1m-37

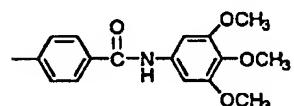
H



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1m-38

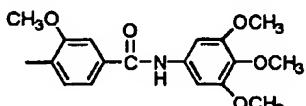
H



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1m-39

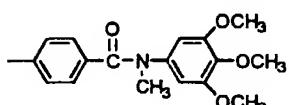
H



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1m-40

H



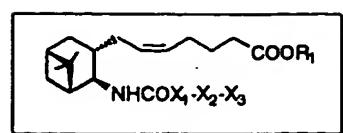
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Table 2a

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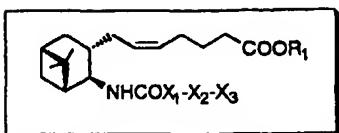
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	No.	R ₁	X ₁ -X ₂ -X ₃
	2a-1	CH ₃	
	2a-2	H	
	2a-3	CH ₃	
	2a-4	H	
	2a-5	Na	
	2a-6	CH ₃	
	2a-7	H	
	2a-8	CH ₃	
	2a-9	H	
	2a-10	CH ₃	
	2a-11	H	
	2a-12	CH ₃	
	2a-13	H	
	2a-14	CH ₃	
	2a-15	H	
	2a-16	CH ₃	
	2a-17	H	
	2a-18	CH ₃	
	2a-19	H	
	2a-20	CH ₃	
	2a-21	H	
	2a-22	Na	
	2a-23	CH ₃	
	2a-24	H	

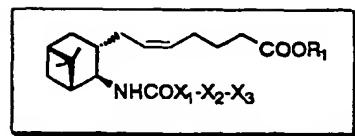
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	No.	R ₁	X ₁ -X ₂ -X ₃
10	2a-25	CH ₃	
	2a-26	H	
15	2a-27	CH ₃	
	2a-28	H	
20	2a-29	CH ₃	
	2a-30	H	
25	2a-31	CH ₃	
	2a-32	CH ₃	
30	2a-33	H	
	2a-34	CH ₃	
35	2a-35	H	
	2a-36	CH ₃	
40	2a-37	H	
	2a-38	CH ₃	
45	2a-39	H	
	2a-40	CH ₃	
50	2a-41	H	
	2a-42	CH ₃	
55	2a-43	H	
	2a-44	CH ₃	
56	2a-45	H	
	2a-46	CH ₃	
57	2a-47	H	

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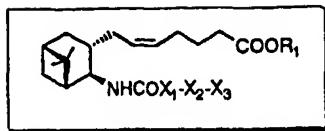
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No.	R ₁	X ₁ -X ₂ -X ₃
2a-48	CH ₃	
2a-49	H	
2a-50	CH ₃	
2a-51	H	
2a-52	CH ₃	
2a-53	H	
2a-54	CH ₃	
2a-55	H	
2a-56	CH ₃	
2a-57	H	
2a-58	CH ₃	
2a-59	H	
2a-60	CH ₃	
2a-61	H	
2a-62	CH ₃	
2a-63	H	
2a-64	CH ₃	
2a-65	H	
2a-66	CH ₃	
2a-67	H	

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No.	R ₁	X ₁ -X ₂ -X ₃
2a-68	CH ₃	
2a-69	H	

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2a-70	CH ₃	
2a-71	H	

20

2a-72	CH ₃	
2a-73	H	

25

2a-74	CH ₃	
2a-75	H	

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2a-76	CH ₃	
2a-77	H	

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2a-78	CH ₃	
2a-79	H	

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2a-80	CH ₃	
2a-81	H	

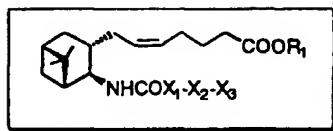
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2a-82	CH ₃	
2a-83	H	

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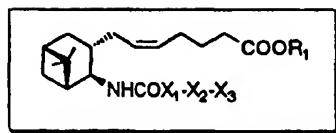
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No.	R ₁	X ₁ -X ₂ -X ₃
2a-88	CH ₃	
2a-89	H	
2a-90	CH ₃	
2a-91	H	
2a-92	CH ₃	
2a-93	H	
2a-94	CH ₃	
2a-95	H	
2a-96	Na	
2a-97	Ca ^{1/2}	
2a-98	CH ₃	
2a-99	H	
2a-100	CH ₃	
2a-101	H	
2a-102	CH ₃	
2a-103	H	
2a-104	CH ₃	
2a-105	H	
2a-106	CH ₃	
2a-107	H	
2a-108	CH ₃	
2a-109	H	
2a-110	Na	
2a-111	CH ₃	
2a-112	H	

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No.	R ₁	X ₁ -X ₂ -X ₃
2a-113	CH ₃	
2a-114	H	-C ₆ H ₄ -CF ₃

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2a-115	CH ₃	
2a-116	H	-C ₆ H ₄ -CH ₃

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2a-117	CH ₃	
2a-118	H	-C ₆ H ₂ (O)-C ₆ H ₄ -O-

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2a-119	H	
2a-120	H	-C ₆ H ₄ -OAc

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2a-121	H	
2a-122	H	-C ₆ H ₄ -OH

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2a-123	H	
2a-124	H	-CH ₂ -C ₆ H ₄ -O-

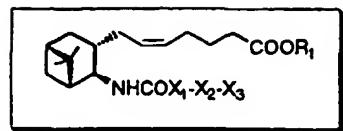
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2a-125	H	
2a-126	H	-CH ₂ -C ₆ H ₄ -OH

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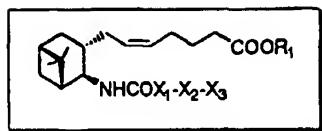
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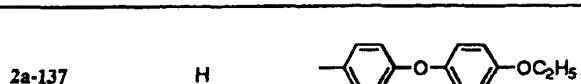
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No.	R ₁	X ₁ -X ₂ -X ₃
2a-126	H	
2a-127	H	
2a-128	H	
2a-129	H	
2a-130	H	
2a-131	H	
2a-132	H	
2a-133	H	
2a-134	H	
2a-135	H	
2a-136	H	

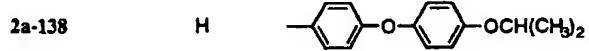
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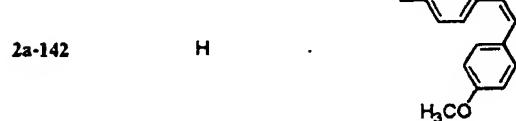
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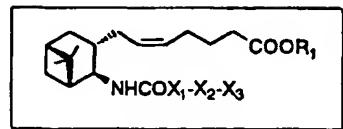


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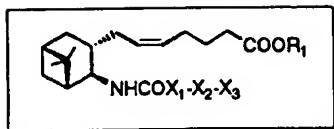
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No.	R ₁	X ₁ -X ₂ -X ₃
2a-148	H	
2a-149	H	
2a-150	H	
2a-151	H	
2a-152	H	
2a-153	H	
2a-154	H	
2a-155	H	
2a-156	H	
2a-157	H	
2a-158	H	
2a-159	H	

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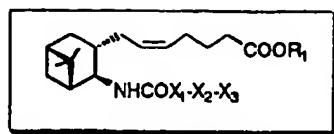
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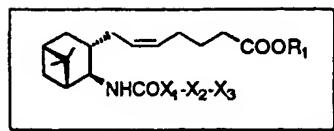
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No.	R ₁	X ₁ -X ₂ -X ₃
2a-160	H	
2a-161	H	
2a-162	H	
2a-163	H	
2a-164	H	
2a-165	H	
2a-166	H	
2a-167	H	
2a-168	H	
2a-169	H	
2a-170	H	



No.	R ₁	X ₁ -X ₂ -X ₃
10		
2a-171	H	
15		
2a-172	H	
20		
2a-173	H	
25		
2a-174	H	
30		
2a-175	H	
35		
2a-176	H	
40		
2a-177	H	
45		
2a-178	H	
50		
2a-179	H	
2a-180	H	
2a-181	H	
2a-182	H	

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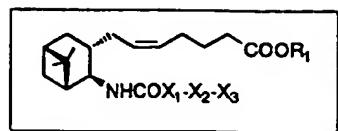
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No.	R ₁	X ₁ -X ₂ -X ₃
2a-183	H	
2a-184	H	
2a-185	H	
2a-186	H	
2a-187	H	
2a-188	H	
2a-189	H	
2a-190	H	
2a-191	H	
2a-192	H	
2a-193	H	

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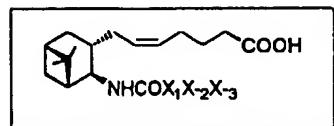


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No.	R ₁	X ₁ -X ₂ -X ₃
2a-194	H	
2a-195	H	
2a-196	H	
2a-197	H	
2a-198	H	
2a-199	H	
2a-200	H	
2a-201	H	
2a-202	H	
2a-203	H	

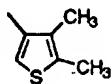
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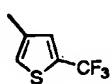
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2a-204



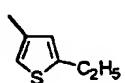
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2a-205



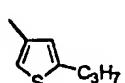
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2a-206



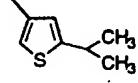
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2a-207



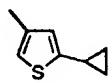
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2a-208



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2a-209

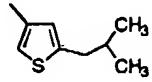


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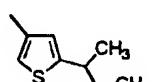
2a-210

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2a-211

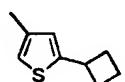


2a-212



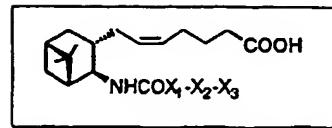
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2a-213

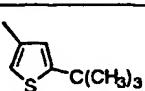


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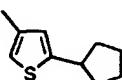
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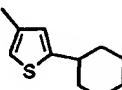
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2a-214

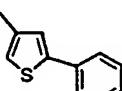
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2a-215

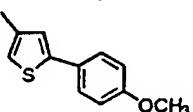
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2a-216

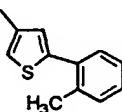
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2a-217

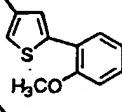
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2a-218

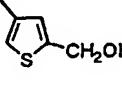
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2a-219

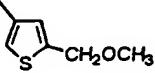
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2a-220

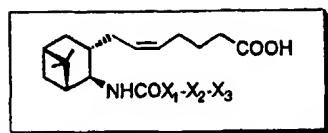
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2a-221

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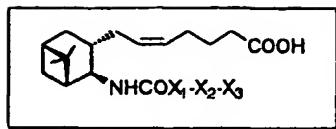
2a-222

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No.	X ₁ -X ₂ -X ₃
10	
2a-224	
15	
2a-225	
20	
2a-226	
25	
2a-227	
30	
2a-228	
35	
2a-229	
40	
2a-230	
45	
2a-231	
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55	
2a-232	
2a-233	

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No.	$X_1-X_2-X_3$
2a-234	
2a-235	
2a-236	
2a-237	
2a-238	
2a-239	
2a-240	
2a-241	
2a-242	
2a-243	

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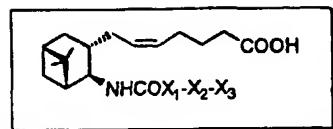
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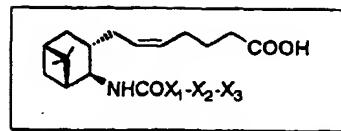


No.	X_1 - X_2 - X_3
10	
	2a-244
15	
	2a-245
20	
	2a-246
25	
	2a-247
30	
	2a-248
35	
	2a-249
40	
	2a-250
45	
	2a-251

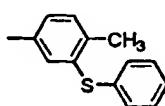
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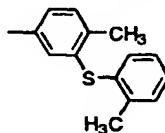
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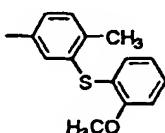
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2a-252

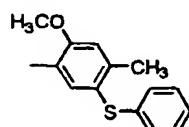
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2a-253

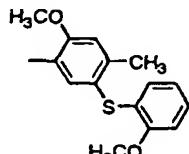
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2a-254

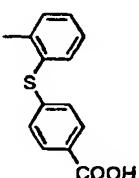
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2a-255

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2a-256

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2a-257

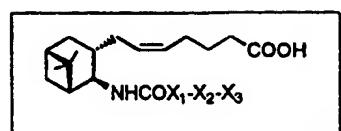
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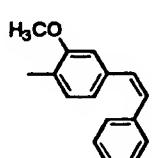
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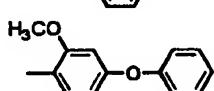
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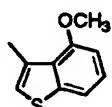
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2a-258

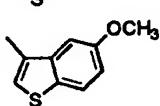
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2a-259

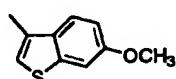
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2a-260

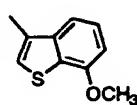
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2a-261

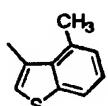
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2a-262

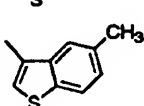
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2a-263

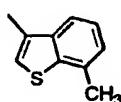
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2a-264

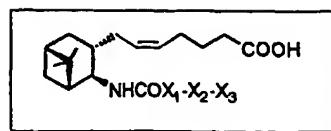
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2a-265

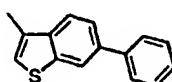
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2a-267

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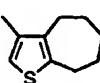
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2a-268

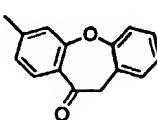
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2a-269

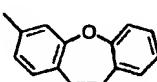
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2a-270

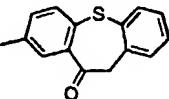
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2a-271

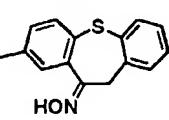
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2a-273

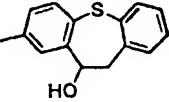
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2a-274

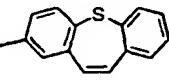
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2a-275

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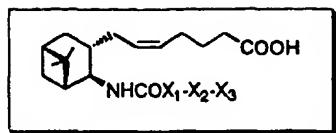
2a-276

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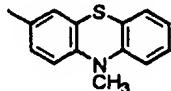
2a-277

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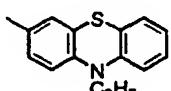
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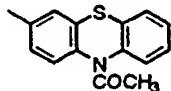
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2a-278

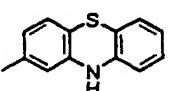
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2a-279

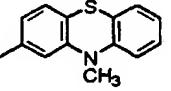
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2a-280

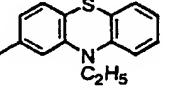
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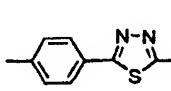
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2a-282

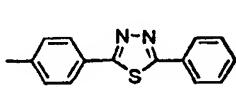
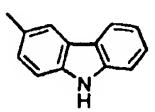
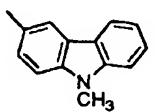
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2a-283

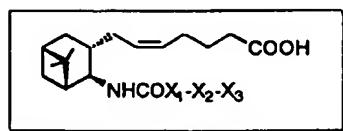
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2a-284

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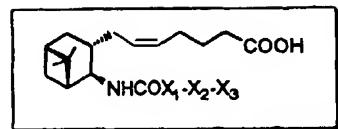
2a-285**2a-286****2a-287**

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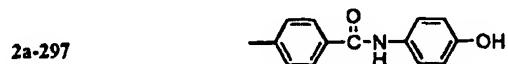


No.	X ₁ -X ₂ -X ₃
10	
2a-288	
15	
2a-289	
20	
2a-290	
25	
2a-291	
30	
2a-292	
35	
2a-293	
40	
2a-294	
45	
2a-295	
50	
2a-296	

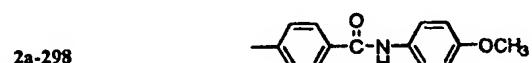
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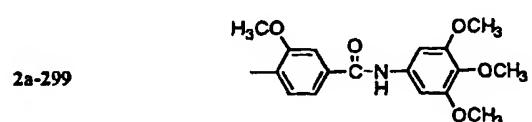
10



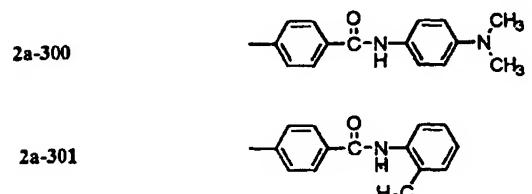
15



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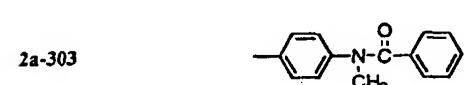
25



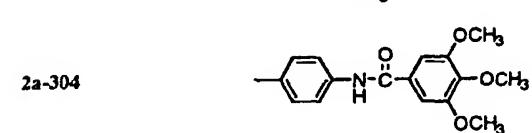
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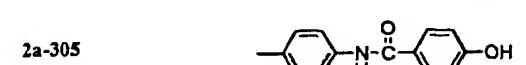
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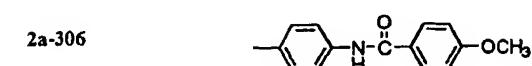
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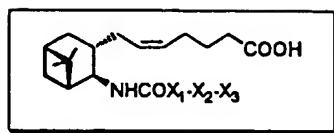


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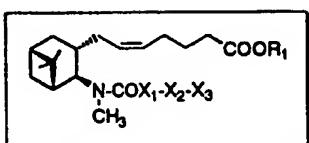
45

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No.	$X_1\text{-}X_2\text{-}X_3$
2a-307	
2a-308	
2a-309	
2a-310	
2a-311	
2a-312	
2a-313	
2a-314	
2a-315	

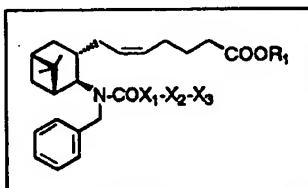
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Table 2b



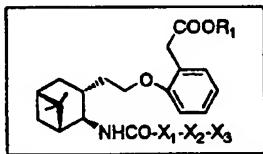
No.	R ₁	X ₁ -X ₂ -X ₃
10 2b-1	H	-C ₆ H ₅ -
15 2b-2	H	-C ₆ H ₄ -S-

Table 2c



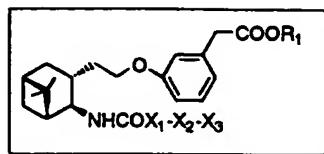
No.	R ₁	X ₁ -X ₂ -X ₃
25 2c-1	H	-C ₆ H ₅ -
30 2c-2	H	-C ₆ H ₁₁ -
35 2c-3	H	-C ₆ H ₄ -O-C ₆ H ₅ -

Table 2d



No.	R ₁	X ₁ -X ₂ -X ₃
45 2d-1	H	-C ₆ H ₄ -O-C ₆ H ₅ -
50 2d-2	H	-C ₆ H ₅ -
55 2d-3	H	-C ₆ H ₄ -S-

Table 2e



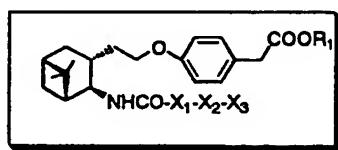
5

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No.	R ₁	X ₁ -X ₂ -X ₃
2e-1	H	
15	H	
2e-3	H	

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Table 2f



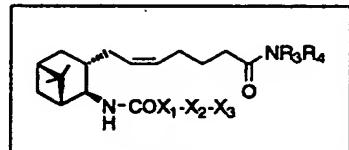
25

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No.	R ₁	X ₁ -X ₂ -X ₃
2f-1	H	
2f-2	H	
35	H	

35

Table 2g



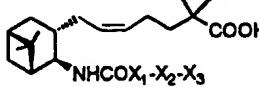
45

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No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
2g-1	H	SO ₂ CH ₃	

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Table 2h



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No.

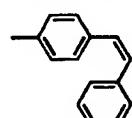
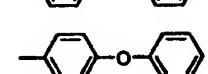
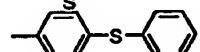
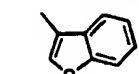
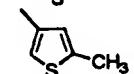
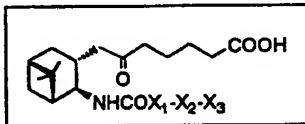
X₁-X₂-X₃

Table 2i



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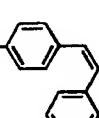
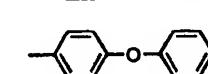
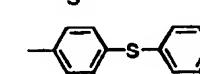
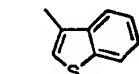
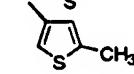
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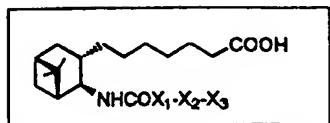
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No.

X₁-X₂-X₃

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Table 2j



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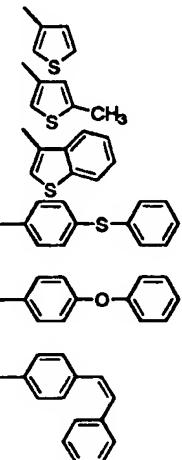
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25

No.

 $X_1-X_2-X_3$

2j-1



2j-2

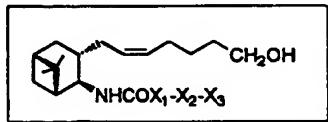
2j-3

2j-4

2j-5

2j-6

Table 2k



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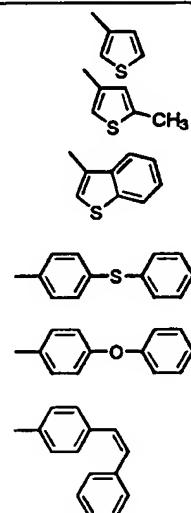
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No.

 $X_1-X_2-X_3$

2k-1



2k-2

2k-3

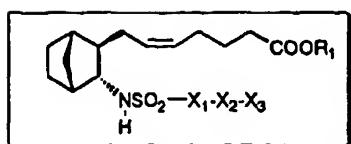
2k-4

2k-5

2k-6

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Table 3a



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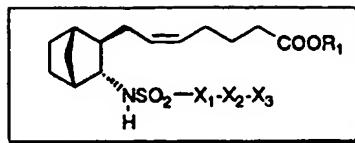
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No.	R ₁	X ₁ -X ₂ -X ₃
3a-1	CH ₃	
3a-2	H	
3a-3	CH ₃	
3a-4	H	
3a-5	H ₃ N ⁺ C(CH ₂ OH) ₃	
3a-6	Na	
3a-7	1/2 Ca	
3a-8	H	
3a-9	H	
3a-10	CH ₃	
3a-11	H	
3a-12	CH ₃	
3a-13	H	
3a-14	CH ₃	
3a-15	CH ₃	
3a-16	H	
3a-17	CH ₃	
3a-18	H	

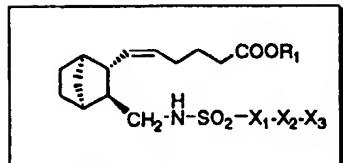


	No.	R ₁	X ₁ -X ₂ -X ₃
10	3a-19	CH ₃	
	3a-20	H	
15	3a-21	CH ₃	
	3a-22	H	
20	3a-23	CH ₃	
	3a-24	H	
25	3a-25	H	
	3a-26	CH ₃	
30	3a-27	H	
	3a-28	CH ₃	
35	3a-29	H	
	3a-30	CH ₃	
40	3a-31	CH ₃	
	3a-32	H	
45	3a-33	Na	
	3a-34	H	
	3a-35	Na	

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Table 3b



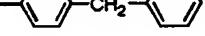
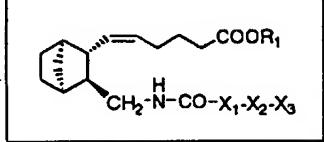
No.	R_1	$X_1-X_2-X_3$
10		
15	3b-1	CH_3 
20	3b-2	H 
	3b-3	H 
	3b-4	H 

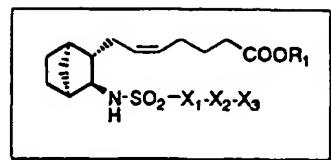
Table 3c



No.	R ₁	X ₁ -X ₂ -X ₃
35	3c-1	H

Table 3d

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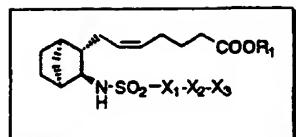
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No.	R ₁	X ₁ -X ₂ -X ₃
3d-1	1/2 Ca	
3d-2	Na	
3d-3	Na	
3d-4	Na	
3d-5	CH ₃	
3d-6	H	
3d-7	CH ₃	
3d-8	H	
3d-9	Na	
3d-10	CH ₃	
3d-11	H	
3d-12	Na	
3d-13	1/2 Ca	
3d-14	H	
3d-15	Na	

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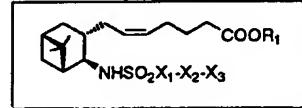
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No.	R ₁	X ₁ -X ₂ -X ₃	
3d-16	H	-C ₆ H ₄ -I	
3d-17	H	-C ₆ H ₄ -(CH ₂) ₄ CH ₃	
3d-18	H	-C ₆ H ₄ -(CH ₂) ₃ CH ₃	
3d-19	CH ₃		
3d-20	H	-NHCH ₃	
3d-21	CH ₃	-C ₆ H ₄ -C ₆ H ₅	
3d-22	H	-C ₆ H ₄ -C ₆ H ₄ N	
3d-23	H	-C ₆ H ₄ -Br	
3d-24	H	-C ₆ H ₄ -C ₆ H ₄ -N	
3d-25	H	-C ₆ H ₄ -C ₆ H ₅	racemic compound
3d-26	Na	-C ₆ H ₄ -C ₆ H ₅	racemic compound
3d-27	H	-C ₆ H ₄ -C ₆ H ₅	racemic compound
3d-28	Na	-C ₆ H ₄ -C ₆ H ₅	racemic compound
3d-29	H	-C ₆ H ₄ -Br	racemic compound
3d-30	Na	-C ₆ H ₄ -Br	racemic compound

Table 3e



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Physicochemical properties of compounds above are shown below. The compound number below corresponds to that described in Tables above.

No.	R ₁	X ₁ -X ₂ -X ₃
3e-1	1/2Ca	-C ₆ H ₄ -CH ₃

No.1a — 4

 $[\alpha]_D = -11.5^\circ$ (CHCl₃, c=1.01, 23.5°C).

5 No.1a — 5

 $[\alpha]_D = -10.0^\circ$ (CHCl₃, c=1.01, 25.0°C).

No.1a — 6

10

CDCl₃ 300MHz
 0.93-1.96(14H,m), 2.20-2.26(3H,m), 3.03(1H,m), 3.67(3H,s), 4.99(1H,d,J=6.6Hz)
 7.51(3H,m), 7.54-7.64(3H,m), 7.76-7.88(2H,m), 8.11(1H,m).
 IR (CHCl₃): 3384, 3278, 3026, 2952, 2874, 1727, 1436, 1411, 1324, 1155, 1097 /cm.
 [α]_D = -9.0° (CHCl₃, c=1.04, 22.0°C).

15

No.1a — 7

CDCl₃ 300MHz
 20 0.93-2.00(14H,m), 2.18(1H,m), 2.28(2H,t,J=7.2Hz), 3.04(1H,m), 5.15-5.25(2H,m),
 7.50(3H,m), 7.54-7.63(3H,m), 7.76-7.89(2H,m), 8.12(1H,m).
 IR(CHCl₃): 3268, 3028, 2952, 2872, 1708, 1452, 1410, 1324, 1155, 1097 /cm.
 [α]_D = -9.1° (CHCl₃, c=1.01, 24.0°C).

25

No.1a — 8

CDCl₃ 300MHz
 0.94-1.99(14H,m), 2.21-2.29(3H,m), 3.05(1H,m), 3.67(3H,s), 4.92(1H,d,J=6.3Hz),
 7.78(6H,m), 7.96-8.01(2H,m).
 30 IR(CHCl₃): 3376, 3272, 3018, 2946, 2868, 1727, 1616, 1435, 1388, 1324, 1162, 1130, 1069 /cm.
 [α]_D = +1.6° (CHCl₃, c=1.01, 24.0°C). mp. 117-119°C.

No.1a — 9

35 CDCl₃ 300MHz
 0.95-2.08(14H,m), 2.19(1H,m), 2.32(2H,t,J=7.2Hz), 3.06(1H,m), 5.20-5.30(2H,
 7.78(6H,m), 7.96-8.03(2H,m).
 IR(CHCl₃): 3260, 3020, 2950, 2868, 1708, 1389, 1324, 1162, 1130, 1069 /cm.
 [α]_D = +13.3° (CHCl₃, c=1.05, 24.0°C).
 40 mp. 118-120°C

No.1a — 10

CDCl₃ 300MHz
 45 0.96-1.98(14H,m), 2.15-2.32(3H,m), 3.04(1H,m), 3.66(3H,s), 5.12-5.26(5H,m), 7.67-7.78(4H,m), 7.93-8.07(4H,m).
 IR(CHCl₃): 3276, 3018, 2946, 2868, 1726, 1595, 1435, 1341, 1162, 1095 /cm.
 [α]_D = -1.5° (CHCl₃, c=1.01, 25.0°C).
 mp. 133-139°C.

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No.1a — 11

CD₃OD 300MHz
 1.05-1.98(14H,m), 2.13-2.22(3H,m), 2.97(1H,m), 5.09-5.22(2H,m), 7.85-7.92(4H,m), 7.95-8.05(4H,m).
 55 IR(KBr): 3385, 3261, 3069, 3003, 2954, 2872, 1708, 1596, 1428, 1413, 1378, 1343, 1326, 1236, 1186, 1160, 1096 /cm.
 mp. 144-146°C.

No.1a — 12

5 CDCl_3 300MHz
 0.96-1.96(14H,m),2.22-2.27(3H,m),3.03(1H,m),3.66(3H,s),3.87(3H,s),4.86(1 H,d,J=6.9Hz),5.18-5.24(2H,m),6.99-
 7.02(2H,m),7.55-7.66(2H,m),7.66-7.69(2 H,m),7.89-7.92(2H,m).
 IR(CHCl_3):3374,3270,3016,2948,2870,1726,1608,1518,1487,1458,1437,1248, 1157,1037.
 $[\alpha]_D=+4.2^\circ$ (CHCl_3 ,c=1.01,24°C).
 mp.85-87°C.

10 No.1a — 13

15 CDCl₃ 300MHz
0.97-1.99(1H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.04(1H,m),3.86(3H,s),5.1 8(1H,d,J=5.7Hz),5.23-
5.26(2H,m),6.99-7.02(2H,m),7.55-7.58(2H,m),7.66-7.68(2H,m),7.89-7.92(2H,m).
IR(CHCl₃):3380,3260,3020,2948,2868,1708,1608,1519,1487,1458,1306,1293, 1248,1156 /cm.
[α]_D=+18.3° (CHCl₃,c=1.00,25.5°C) .

No.1a — 14

20 CDCl₃ 300MHz
 0.98-2.00(14H,m),2.20(1H,m),2.25(2H,t,J=7.2Hz),3.02(1H,m),3.67(3H,s),4.8 5(1H,d,J=6.3Hz),5.19-
 5.25(2H,m),7.13(1H,dd,J=4.8,3.6Hz),7.39(1H,d,J=4.8 Hz),7.40(1H,d,J=3.6Hz),7.71-7.74(2H,m),7.86-7.89(2H,m).
 IR(CHCl₃):3374,3270,3018,2946,2868,1727,1593,1434,1322/cm.
 [α]_D= +5.6° (CHCl₃,c=1.01,24°C).
 mp.69-71°C.

25

No.1a — 15

30 CDCl₃ 300MHz
0.95-2.00(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.03(1H,m),5.20(1H,d,J=6.9Hz),5.24-
5.28(2H,m),7.13(1H,dd,J=4.8,3.3Hz),7.38(1H,d,J=4.8Hz),7.43(1H,d,J=3.3Hz),7.73(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz).
IR(CHCl₃):3260,3022,2948,2868,1709,1593,1404,1321,1154/cm.
[α]_D= +20.8° (CHCl₃,c= 1.07,23°C).
35 mp.71-73°C.

No.1a — 16

40 CDCl₃ 300MHz
 0.98-2.00(14H,m),2.27(2H,t,J=7.5Hz),2.28(1H,m),3.13(1H,m),3.66(3H,s),4.9
 5.29(2H,m),7.40-7.65(6H,m),7.76(1H,d,J=8.4Hz),7.90-8.02(4H,m).
 IR(CHCl₃):3376,3276,3018,2946,2868,1726,1593,1435,1394,1322,1159/cm.
 [α]_D= +7.0° (CHCl₃,c=1.07,24°C).

45 No.1a — 17

CDCl₃ 300MHz
 1.02-2.07(14H,m),2.25(1H,m),2.34(2H,t,J=6.6Hz),3.14(1H,m),5.28-5.33(3H,
 m),7.39-7.57(4H,m),7.62-
 7.65(2H,m),7.76(1H,d,J=8.1Hz),7.89-8.02(4H,m).
 IR(CHCl₃):3260,2948,2868,1709,1593,1394,1324,1157/cm.
 [α]_D=+20.2° (CHCl₃,c=1.02,24°C).

No.1a — 18

55 CDCl₃ 300MHz
 1.05-1.97(14H,m),2.25(2H,t,J=7.2Hz),2.33(1H,m),3.12(1H,m),3.67(3H,s),4.9 1(1H,d,J=6.6Hz),5.24-
 5.29(2H,m),7.24(1H,d,J=3.9Hz),7.39-7.45(3H,m),7.56(1H,d,J=3.9Hz),7.59-7.62(2H,m).
 IR(CHCl₃):3372,3272,,3018,2946,2868,1727,1433,1331,1152/cm.

$[\alpha]_D = -5.7^\circ$ ($\text{CHCl}_3, c=1.01, 23^\circ\text{C}$).

No.1a — 19

5 CDCl_3 300MHz
 1.05-2.05(14H,m), 2.28-2.33(3H,m), 3.13(1H,m), 5.18(1H,d,J=6.3Hz), 5.27-5.31 (2H,m), 7.24(1H,d,J=4.2Hz), 7.39-
 7.42(3H,m), 7.56(1H,d,J=4.2Hz), 7.58-7.62(2 H,m).
 IR(CHCl_3): 3372, 3254, 3018, 2948, 2868, 1707, 1431, 1328, 1151/cm.
 $[\alpha]_D = +4.5^\circ$ ($\text{CHCl}_3, c=1.01, 21.5^\circ\text{C}$).

10 No.1a — 20

15 CDCl_3 300MHz
 1.05-2.00(14H,m), 2.26(2H,t,J=7.5Hz), 2.33(1H,m), 3.11(1H,m), 3.68(3H,s), 4.9
 2(1H,d,J=6.0Hz), 5.27(2H,m), 7.05(1H,m), 7.10(1H,d,J=3.6Hz), 7.25(1H,m), 7.3 2(1H,m), 7.49(1H,d,J=3.6Hz).
 IR(CHCl_3): 3372, 3272, 3018, 2946, 2686, 1727, 1438, 1417, 1333, 1151/cm.
 $[\alpha]_D = -9.2^\circ$ ($\text{CHCl}_3, c=1.01, 25^\circ\text{C}$).

No.1a — 21

20 CDCl_3 300MHz
 1.02-2.01(14H,m), 2.28-2.34(3H,m), 3.13(1H,m), 5.12(1H,d,J=6.9Hz), 5.28-5.32
 (2H,m), 7.06(1H,m), 7.10(1H,d,J=3.9Hz), 7.25(1H,m), 7.32(1H,m), 7.50(1H,d,J =3.9Hz).
 IR(CHCl_3): 3350, 3250, 2948, 1709, 1440, 1420, 1330, 1151.
 $[\alpha]_D = +2.5^\circ$ ($\text{CHCl}_3, c=1.00, 25^\circ\text{C}$).

No.1a — 22

30 CDCl_3 300MHz
 0.96-2.05(14H,m), 2.25(1H,m), 2.35(2H,t,J=7.0Hz), 3.11(1H,m), 5.20-5.34(2H, m), 5.41(1H,d,J=6.6Hz), 7.31-
 7.49(5H,m)7.62(1H,d,J=7.8Hz)8.11(1H,d,d,J= 1.8 and 7.8Hz),8.35(1H,d,J=1.8Hz).
 IR(CHCl_3): 3384, 3271, 3025, 2958, 1708, 1608, 1559, 1537, 1357, 1168/cm.
 $[\alpha]_D = +18.3^\circ$ ($\text{CHCl}_3, c=0.31, 22^\circ\text{C}$).

35 No.1a — 23

40 CDCl_3 300MHz
 0.97-2.07(14H,m), 2.24(1H,m), 2.35(2H,t,J=6.9Hz), 3.09(1H,m), 3.86(3H,s), 5.2
 4-
 5.35(2H,m), 5.44(1H,d,J=6.3Hz), 6.97-7.00(2H,m), 7.26-7.28(2H,m), 7.59(1H, d,J=8.1Hz), 8.06(1H,d,d,J=2.1 and
 8.1Hz), 8.29(1H,d,J=2.1Hz).
 IR(CHCl_3): 3384, 3270, 2959, 1709, 1609, 1535, 1519, 1357, 1302, 1255, 1226, 1169/cm.
 $[\alpha]_D = +17.0^\circ$ ($\text{CHCl}_3, c=1.00, 21^\circ\text{C}$).

No.1No.1a — 24

45 CDCl_3 300MHz
 0.95-2.00(14H,m), 2.20-2.25(1H,m), 2.26(2H,t,J=7.2Hz), 3.02-3.10(1H,m), 3.66(3H,s), 4.92(1H,d,J=6.6Hz), 5.16-
 5.31(2H,m), 7.52-7.60(3H,m), 7.94-8.06(6H,m).
 IR(CHCl_3): 3376, 3202, 2946, 2868, 1726, 1436, 1366, 1298, 1164, 1090, 890/cm.
 $[\alpha]_D = +11.2 \pm 0.5^\circ$ ($\text{CHCl}_3, c=1.04, 23.5^\circ\text{C}$)
 mp. 101-103°C

No.1a — 25

55 CDCl_3 300MHz
 0.95-2.08(14H,m), 2.15-2.22(1H,m), 2.33(2H,t,J=6.9Hz), 3.02-3.10(1H,m), 5.21-
 5.31(2H,m), 5.34(1H,d,J=6.3Hz), 7.51-7.59(3H,m), 7.92-8.07(6H,m).
 IR(CHCl_3): 3258, 3022, 2948, 2868, 1707, 1399, 1328, 1298, 1163, 1089, 1051, 892/cm.

$[\alpha]_D = +29.8 \pm 0.7^\circ$ (CHCl₃, c=1.05, 25°C)
mp. 158-160°C

No.1a — 26

Anal. Calcd for C₂₆H₃₀N₃O₄SNa 0.8H₂O: C, 60.29; H, 6.15; N, 8.11; S, 6.19; Na, 4.44; Found:
C, 60.15; H, 6.19; N, 8.15; S, 6.03; Na, 4.98.
 $[\alpha]_D = -16.6^\circ$ (CHCl₃, c=1.04, 25.0°C).

No.1a — 27

CDCl₃ 300MHz
0.92-1.98(14H,m), 2.20(1H,m), 2.26(2H,t,J=7.5Hz), 3.03(1H,m), 3.12(6H,s), 3.6-6(3H,s), 4.87(1H,d,J=6.6Hz), 5.16-5.32(2H,m), 6.73-6.80(2H,m), 7.88-8.00(6H, m).
IR(CHCl₃): 3376, 3020, 2946, 1726, 1601, 1518, 1442, 1419, 1362, 1312, 1163, 1133, 1088 /cm.
 $[\alpha]_D = +55.3^\circ$ (CHCl₃, c=0.53, 24.0°C).
mp. 158-168°C

No.1a — 28

CDCl₃+CD₃OD 300MHz
0.99-2.14(14H,m), 2.21(1H,m), 2.31(2H,t,J=7.2Hz), 2.94(1H,m), 3.12(6H,s), 5.22-5.38(2H,m), 6.73-6.81(2H,m), 7.87-8.00(6H, m).
IR(KBr): 3434, 3309, 2946, 1708, 1604, 1520, 1442, 1416, 1366, 1312, 1252, 1164, 1 155, 1134, 1091 /cm.
 $[\alpha]_D$ not measurable (colored, insufficient energy)
mp. 193-196°C

No.1a — 29

CD₃OD 300MHz
1.02-1.96(14H,m), 2.10(2H,t,J=7.8Hz), 2.16(1H,m), 2.98(1H,m), 3.11(6H,s), 5.07-5.27(2H,m), 6.80-6.87(2H,m), 7.84-8.00(6H, m).
IR(KBr): 3433, 3087, 3004, 2949, 2871, 1604, 1565, 1520, 1444, 1420, 1364, 1312, 1 253, 11638, 1136, 1090 /cm.
 $[\alpha]_D$ not measurable

No.1a — 30

CDCl₃ 300MHz
0.95-1.99(14H,m), 2.22(1H,m), 2.26(2H,t,J=7.2Hz), 2.35(3H,s), 3.06(1H,m), 3.6-6(3H,s), 4.95(1H,d,J=6.9Hz), 5.15-5.30(2H,m), 7.26-7.32(2H,m), 7.97-8.06(6H, m).
IR(CHCl₃): 3374, 2996, 2946, 2868, 1763, 1728, 1591, 1495, 1435, 1368, 1299, 1228, 1192, 1163, 1139 /cm.
 $[\alpha]_D = +12.9^\circ$ (CHCl₃, c=1.04, 26.0°C).

No.1a — 31

CDCl₃ 300MHz
0.93-2.01(14H,m), 2.19(1H,m), 2.31(2H,t,J=7.2Hz), 2.35(3H,s), 3.06(1H,m), 5.17-5.32(2H,m), 7.25-7.32(2H,m), 7.96-8.07(6H, m).
IR(CHCl₃): 3267, 3028, 2952, 2874, 1759, 1708, 1592, 1495, 1368, 1328, 1299, 1163, 1138, 1088, 1050, 1008/cm.
 $[\alpha]_D = +21.7^\circ$ (CHCl₃, c=0.51, 22°C).

No.1a — 32

CDCl₃ 300MHz
0.93-1.99(14H,m), 2.21(1H,m), 2.27(2H,t,J=7.2Hz), 3.05(1H,m), 3.67(3H,s), 4.9 2(1H,d,J=6.6Hz)5.15-5.30(2H,m), 6.72(1H,s), 6.96-7.00(2H,m), 7.86-8.04(6H, m).
IR(CHCl₃): 3374, 3276, 3018, 2946, 2686, 1725, 1605, 1589, 1502, 1433, 1396, 1330, 1271, 1164, 1135, 1089 /cm. $[\alpha]_D = +18.6^\circ$ (CHCl₃, c=1.00, 26.0°C).

No.1a — 33

5 $\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz
 0.98-2.08(14H,m), 2.20(1H,m), 2.28(2H,t,J=7.2Hz), 2.98(1H,m), 5.18-5.32(2H,
 8.02(6H,m).
 IR(KBr): 3385, 3248, 2948, 2876, 1717, 1601, 1505, 1430, 1399, 1296, 1280, 1219, 1 165, 1136, 1092 /cm.
 $[\alpha]_D = -16.0^\circ$ (CH_3OH , c=1.08, 26.0°C).
 mp.208-210°C

10 No.1a — 34

mp.82-83°C $[\alpha]_D = +10.6^\circ$ (CHCl_3 , c=1.01, 23.5°C).

15 No.1a — 35

mp.80-82°C $[\alpha]_D = -1.8^\circ$ (CHCl_3 , c=1.07, 22.0°C).

No.1a — 36

20 TLC Rf=0.25 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a — 37

25 CDCl_3 300MHz
 0.92-1.96(14H,m), 2.21(1H,m), 2.27(2H,t,J=7.4Hz), 3.01(1H,m), 3.66(3H,s), 4.7
 5.29(2H,m), 7.12(1H,d,J=16.2Hz), 7.24(1H,d,J=16.2Hz),
 7.56(2H,m), 7.62(2H,d,J=8.7Hz), 7.85(2H,d,J=8.7Hz).
 IR(CHCl_3): 3384, 3283, 3023, 2954, 2876, 1730, 1595, 1494, 1317, 1163, 1147 /cm.
 $[\alpha]_D = +10.5^\circ$ (CHCl_3 , c=1.01, 24°C).
 mp 116-117 °C.

No.1a — 38

35 CDCl_3 300MHz
 0.92-1.99(14H,m), 2.17(1H,m), 2.32(2H,t,J=7.2Hz), 3.02(1H,m), 5.23-5.29(3H,
 m), 7.11(1H,d,J=16.2Hz), 7.23(1H,d,J=16.2Hz), 7.28-7.41(3H,m), 7.52-7.55(2H,
 m), 7.61(2H,d,J=8.7Hz), 7.86(2H,d,J=8.7Hz).
 IR(CHCl_3): 3515, 3384, 3270, 3022, 3015, 2957, 2876, 2669, 1708, 1595, 1496, 1320, 1157 /cm.
 $[\alpha]_D = +27.1^\circ$ (CHCl_3 , c=1.02, 24°C).

40 No.1a — 39

45 CDCl_3 300MHz
 0.92-1.99(14H,m), 2.15(1H,m), 2.28(2H,t,J=7.4Hz), 3.01(1H,m), 3.68(3H,s), 4.9
 5.32(2H,m), 6.60(1H,d,J=12.0Hz), 6.74(1H,d,J=12.0Hz), 7.16-7.23(5H,m), 7.35(2H,d,J=8.4Hz), 7.72(2H,d,J=8.4Hz).
 IR(CHCl_3): 3384, 3283, 3023, 3015, 2954, 2876, 1730, 1595, 1493, 1324, 1163, 1147 /cm.
 $[\alpha]_D = +13.7^\circ$ (CHCl_3 , c=1.00, 24°C).

No.1a — 40

50 CDCl_3 300MHz
 0.90-2.16(14H,m), 2.12(1H,m), 2.34(2H,t,J=7.2Hz), 3.02(1H,m), 5.16(1H,d,J=6.
 5.34(2H,m), 6.60(1H,d,J=12.3Hz), 6.74(1H,d,J=12.3Hz), 7.14-7.24(5H,m), 7.35(2H,d,J=8.1Hz), 7.72(2H,d,J=8.1Hz).
 IR(CHCl_3): 3515, 3384, 3269, 3025, 3021, 3014, 2957, 2876, 2668, 1709, 1595, 1322, 1162, 1147 /cm.
 $[\alpha]_D = +26.4^\circ$ (CHCl_3 , c=1.00, 24°C).

No.1a — 41

5 CDCl_3 300MHz
 0.98-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.00(1H,m),3.84(3H,s),
 6.95(2H,m),6.98(1H,d,J=16.2Hz),7.17(1H,d,J= 16.2Hz),7.46-7.49(2H,m),7.58(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz).
 IR(CHCl_3):3258,3018,3002,2950,1709,1590,1509,1457,1404,1302,1250,1153 /cm.
 $[\alpha]_D = +30.2^\circ$ (CHCl_3 ,c=1.00,23°C).
 mp.99-100 °C

10 No.1a — 42

10 CDCl_3 300MHz
 1.01-1.99(14H,m),2.28(2H,t,J=7.2Hz),2.30(1H,m),3.10(1H,m),3.66(3H,s),5.0
 7.04(2H,m),7.16(1H,d,J=16.2Hz),7.28-7.37(3 H,m),7.47-7.50(3H,m).
 15 IR(CHCl_3):3372,3276,3020,2946,2870,1727,1491,1433,1331,1152 /cm.
 $[\alpha]_D = -11.5^\circ$ (CHCl_3 ,c=1.07,21.5°C).

No.1a — 43

20 CDCl_3 300MHz
 0.98-2.00(14H,m),2.11-2.36(3H,m),3.12(1H,m),5.10(1H,d,J=6.6Hz),5.29-5.32(2H,m),6.99-
 7.04(2H,m),7.23(1H,d,J=21.6Hz),7.32-7.49(6H,m).
 IR(CHCl_3):3380,3248,3020,2948,2868,1709,1491,1430,1329,1151/cm.
 $[\alpha]_D = +3.4^\circ$ (CHCl_3 ,c=1.03,25°C).

25 No.1a — 44

30 CDCl_3 300MHz
 1.00-2.00(14H,m),2.13(1H,m),2.29(2H,t,J=7.4Hz),2.90-3.13(5H,m),3.68(3H,s)
 5.30(2H,m),7.18-7.29(7H,m),7.76(2H,d,J=8.1Hz).
 IR(CHCl_3):3384,3282,3063,3028,3023,3016,2953,2876,1730,1599,1496,1319, 1157 /cm.
 $[\alpha]_D = +2.3^\circ$ (CHCl_3 ,c=1.00,25°C).
 mp.85.0-86.0°C

35 No.1a — 45

35 CDCl_3 300MHz
 0.90-2.05(14H,m),2.09(1H,m),2.35(2H,t,J=6.9Hz),2.90-3.13(5H,m),5.18(1H,
 d,J=6.6Hz),5.24-5.34(2H,m),7.10-
 7.27(7H,m),7.76(2H,d,J=8.4Hz).
 40 IR(CHCl_3):3510,3384,3270,3087,3063,3026,3018,3014,2955,2876,2670,1708, 1599,1496,1318,1157/cm.
 $[\alpha]_D = +8.5^\circ$ (CHCl_3 ,c=1.01,25°C).

No.1a — 46

45 $[\alpha]_D = +6.8^\circ$ (CHCl_3 ,c=1.05,25°C). mp.99-100°C.

No.1a — 47

50 CDCl_3 300MHz
 0.97-2.01(14H,m),2.14(1H,m),2.36(2H,t,J=7.2Hz),3.02(1H,m),5.23(1H,d,J=5.
 7.39(3H,m),7.54-7.58(2H,m),7.63-7.66(2H,m),7.8 5-7.88(2H,m).
 IR(CHCl_3):3375,3260,3022,2948,2212,1707,1596,1497,1396,1322,1160/cm.
 $[\alpha]_D = +25.0^\circ$ (CHCl_3 ,c=1.02,24°C). mp.117-118°C.

55 No.1a — 48

55 CD_3OD 300MHz
 1.05-1.93(14H,m),2.10-2.15(3H,m),2.96(1H,m),5.08-5.28(2H,m),7.38-7.40(3
 H,m),7.554-

7.56(2H,m), 7.69(1H,d,J=8.4Hz), 7.87(1H,d,J=8.4Hz).

No.1a — 49

⁵ CDCl₃ 300MHz
0.96-1.97(14H,m),2.24(1H,m),2.31(2H,t,J=6.9Hz),3.05(1H,m),3.69(3H,s),5.1 5(1H,d,J=6.6Hz),5.25-5.27(2H,m),7.40-7.43(3H,m),7.61-7.64(2H,m),7.85(1H, d,J=8.1Hz),8.07(1H,dd,J=8.1,1.8Hz),8.58(1H,d,J=1.8Hz).
IR(CHCl₃):3374,3020,2948,2870,2212,1726,1606,1530,1493,1437,1345,1167/cm.
[α]_D=+2.4° (CHCl₃,c=1.03,25°C). mp.77-79°C.

No. 1a — 50

15 CDCl₃ 300MHz
 1.00-2.02(14H,m),2.20(1H,m),2.34(2H,t,J=6.6Hz),3.08(1H,m),5.26-5.29(2H, m),5.41(1H,d,J=6.9Hz),7.40-7.43(3H,m),7.61-7.64(2H,m),7.84(1H,d,J=8.1Hz),8.07(1H,dd,J=8.4,1.8Hz),8.57(1H,dd,J=1.8Hz).
 IR(CHCl₃):3380,3254,2952,2880,2212,1707,1606,1531,1493,1409,1344,1166.
 [α]_D=+23.4° (CHCl₃,c=1.00,25°C).

No.1a — 51

CDCl₃ 300MHz
 0.95-1.98(14H,m),2.23(1H,m),2.30(2H,t,J=7.2Hz),3.00(1H,m),3.66(3H,s),4.5-6(2H,br),4.70(1H,d,J=6.9Hz),5.20-5.29(2H,m),7.15(1H,dd,J=7.8,1.8Hz),7.23 (1H,d,J=1.8Hz),7.36-7.39(3H,m),7.46(1H,d,J=7.8Hz),7.53-7.56(2H,m).
 IR(CHCl₃):3494,3386,3028,2952,2874,1725,1611,1559,1497,1422,1317,1162/cm.

No.1a — 52

CDCl₃ 300MHz
 0.96-2.04(16H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),2.99(1H,m),5.17(1H,d,J=6. 3Hz),5.28-
 5.31(2H,m),7.18(1H,dd,J=9.6,1.8Hz),7.25(1H,m),7.36-7.39(3H,m). 7.46(1H,d,J=7.8Hz),7.52-7.56(2H,m).
 IR(CHCl₃):3482,3378,3260,3022,2948,2868,1708,1612,1495, 1422, 1317/cm.
 [α]_D=+15.0° (CHCl₃,c=1.00,24°C).

No.1a — 53

35 CDCl₃ 300MHz
 1.01-2.05(15H,m),2.31(2H,t,J=7.2Hz),3.10(1H,m),3.67(3H,s),5.02(1H,br),5.2
 5.33(2H,m),7.18(1H,d,J=4.2Hz),7.36-7.39(3H,m),7.48(1H,d,J=4.2Hz),7.51-7.55(2H,m).
 40 IR(CHCl₃):3372,3270,3018,3004,2946,2868,2202,1726,1486,1433,1336,1115 4/cm.
 [α]_D=+0.6° (CHCl₃,c=1.11,25°C), [α]_{D25}+17.8° (CHCl₃,c=1.11,25°C).

No 1a — 54

45 CDCl_3 300MHz
 0.99-2.11(14H,m),2.27(1H,m),2.37(2H,t,J=7.5Hz),3.13(1H,m),5.16(1H,d,J=6.
 5.35(2H,m),7.18(1H,d,J=3.6Hz),7.37-7.39(3H,m),7.50(1H,d,J=3.6 Hz),7.52-7.55(2H,m).
 IR(CHCl_3):3484,3370,3246,2948,2868,2202,1708,1486,1429,1335,1153/cm.
 $[\alpha]_D=-17.8^\circ$ (CHCl_3 ,c=1.00,24°C). mp, 95-96°C

50 No 1a - 55

CDCl₃ 300MHz
 0.95-1.92(14H,m),2.15(1H,m),2.24(2H,t,J=7.5Hz),3.00(1H,m),3.66(3H,s),5.1
 7.60(7H,m),7.70(1H,d,J=7.8Hz),8.08(1H,d,J=8.1Hz).
 (CHCl₃):3356,3020,2948,2868,2210,1727,1490,1458,1437,1341,1165/cm. [α]_D=-58.4° (CHCl₃,c=1.00,26°C).
 mp.84-85°C.

No.1a — 56

5 CDCl_3 300MHz
 0.95-1.95(14H,m),2.10(1H,m),2.27(2H,t,J=6.9Hz),3.00(1H,m),5.17-5.21(2H,
 m),5.38(1H,d,J=6.9Hz),7.39-
 7.60(7H,m),7.70(1H,dd,J=7.8,1.5Hz),8.07(1H,J =6.6,1.5Hz).
 IR(CHCl_3):3364,3026,2952,2874,2212,1707,1597,1491,1458,1411,1341,1164/cm.
 $[\alpha]_D=-43.1^\circ$ (CHCl_3 ,c=1.00,25°C).

No.1a — 57

10 CDCl_3 300MHz
 0.99-1.97(14H,m),2.23-2.30(3H,m),3.01(1H,m),3.67(3H,s),5.17-5.26(3H,m),7.
 7.56(3H,m),7.60(1H,m),7.83(1H,m),8.05(1H,m).
 IR(CHCl_3):3376,3020,2946,2870,1727,1598,1491,1437,1412,1330,1245,1163/cm.
 $[\alpha]_D=-12.7^\circ$ (CHCl_3 ,c=1.00,24°C).

No.1a — 58

20 CDCl_3 300MHz
 0.97-1.98(14H,m),2.20(1H,m),2.33(2H,t,J=6.9Hz),3.02(1H,m),5.19-5.28(3H,
 m),7.36-7.38(3H,m),7.47-
 7.55(3H,m),7.69(1H,m),7.83(1H,m),8.04(1H,m).
 IR(CHCl_3):3376,3260,3022,3002,2948,2868,2220,1708,1598,1490,1455,1412,1327,1162/cm.
 $[\alpha]_D=-8.6^\circ$ (CHCl_3 ,c=1.01,24°C).

No.1a — 59

25 CDCl_3 300MHz
 0.95-1.99(24H,m),2.20(1H,m),2.28(2H,t,J=7.8Hz),2.53(1H,s),2.96(1H,m),3.6
 9(3H,s),4.99(1H,d,J=6.6Hz),5.18-
 5.20(2H,m),7.53(2H,d,J=8.4Hz),7.82(2H,d, J=8.4Hz).
 IR(CHCl_3):3583,3376,3002,2936,2852,1725,1591,1490,1437,1393,1325,1160/cm.
 $[\alpha]_D=-8.8^\circ$ (CHCl_3 ,c=1.00,24°C).

No.1a — 60

35 CDCl_3 300MHz
 0.96-2.05(24H,m),2.22(1H,m),2.33(2H,m),2.88(1H,m),5.22-5.26(2H,m),5.30(
 1H,d,J=5.7Hz),7.50(2H,d,J=8.7Hz),7.80(2H,d,J=8.7Hz).
 IR(CHCl_3):3376,3260,3022,2936,2852,1710,1592,1491,1452,1395,1325,1159/cm.
 $[\alpha]_D=-8.9^\circ$ (CHCl_3 ,c=1.06,24°C).
 mp.88-91°C

No.1a — 61

45 CDCl_3 300MHz
 0.95-2.24(23H,m),2.29(2H,m),2.99(1H,m),3.69(3H,s),4.76(1H,d,J=6.3Hz),5.2
 7.53(2H,m),7.77-7.80(2H,m).
 IR(CHCl_3):3374,3270,3018,2942,2868,2196,1726,1589,1490,1435,1324,1158/cm.
 $[\alpha]_D=+7.7^\circ$ (CHCl_3 ,c=1.02,24°C), mp.93-95°C

No.1a — 62

50 CDCl_3 300MHz
 0.96-2.45(23H,m),2.36(2H,d,J=6.9Hz),2.99(1H,m),5.24(1H,d,J=6.3Hz),5.24-5.32(2H,m),6.28(1H,m),7.50-
 7.53(2H,m),7.78-7.81(2H,m).
 IR(CHCl_3):3468,3
 374,3260,3020,2942,2868,2196,1598,1490,1455,1398,1322,1157/cm.
 $[\alpha]_D=+19.4^\circ$ (CHCl_3 ,c=1.03,24°C).

No.1a — 63

5 CDCl_3 300MHz
 0.93-1.95(25H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),2.43(2H,t,J=6.9Hz),2.94(1H,m),3.69(3H,s),4.95(1H,d,J=6.9Hz),5.21-5.24(2H,m),7.49(2H,d,J=8.7Hz),7.79(2H,J=8.7Hz).
 IR(CHCl_3):3376,3018,2946,2866,2222,1727,1592,1456,1435,1325,1158/cm.
 $[\alpha]_D=+3.7^\circ$ (CHCl_3 ,c=1.00,25°C).

No.1a — 64

10 CDCl_3 300MHz
 0.93-1.97(26H,m),2.35(2H,t,J=7.2Hz),2.43(2H,t,J=7.2Hz),3.00(1H,m),5.08(1H,m),7.49(2H,d,J=8.7Hz),7.78(2H,d,J=8.7Hz).
 IR(CHCl_3):3260,3020,2948,2864,2222,1708,1592,1489,1456,1397,1324,1156/cm.
 15 $[\alpha]_D=+14.4^\circ$ (CHCl_3 ,c=1.00,25°C) mp.70-71°C.

No.1a — 65

20 CDCl_3 300MHz
 0.95-1.98(14H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.00(1H,m),3.67(3H,s),4.85(2H,m),5.25(2H,m),5.54(1H,br),6.82-6.85(2H,m),7.42-7.45(2H,m),7.59-7.62(2H,m),7.82-7.85(2H,m).
 IR(CHCl_3):3576,3374,3018,2946,2868,2208,1725,1607,1587,1514,1435,1325,1270,1162,1133/cm.
 $[\alpha]_D=+9.1^\circ$ (CHCl_3 ,c=1.03,24°C), mp.111-112°C

No.1a — 66

25 CDCl_3 300MHz
 0.97-2.03(14H,m),2.15(1H,m),2.35(2H,t,J=7.5Hz),3.00(1H,m),5.17(1H,d,J=6.6Hz),5.26-5.30(2H,m),6.82-6.85(2H,m),7.42-7.45(2H,m),7.59-7.62(2H,m),7.82-7.85(2H,m).
 30 IR(CHCl_3):3260,2948,2870,2208,1709,1607,1587,1514,1396,1325,1270,1162,1133/cm.
 $[\alpha]_D=-21.0^\circ$ (CHCl_3 ,c=1.00,23°C), mp.161-162°C

No.1a — 67

35 CDCl_3 300MHz
 0.95-1.98(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.01(1H,m),3.67(3H,s),4.85(2H,m),7.05-7.10(2H,m),7.51-7.56(2H,m),7.61-7.64(2H,m),7.84-7.87(2H,m).
 IR(CHCl_3):3374,3280,3020,2946,2868,2214,1727,1589,1509,1435,1327,1233,1161,1134/cm.
 $[\alpha]_D=+6.7^\circ$ (CHCl_3 ,c=1.01,24°C), mp.84-85°C

No.1a — 68

40 CDCl_3 300MHz
 0.96-2.01(14H,m),2.15(1H,m),2.34(2H,t,J=6.9Hz),3.02(1H,m),5.23-5.27(3H,m),7.04-7.10(2H,m),7.51-7.56(2H,m),7.61-7.64(2H,m),7.85-7.88(2H,m).
 45 IR(CHCl_3):3374,3258,3020,2948,2868,2214,1708,1589,1509,1455,1398,1322,1156/cm.
 $[\alpha]_D=+22.6^\circ$ (CHCl_3 ,c=1.02,24°C), mp.135-136°C

No.1a — 69

50 CDCl_3 300MHz
 0.95-1.98(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),2.39(3H,s),3.01(1H,m),3.69(3H,s),4.80(1H,d,J=6.6Hz),5.20-5.29(2H,m),7.18(2H,d,J=8.1Hz),7.44(2H,d,J=8.1Hz),7.62(2H,d,J=8.4Hz),7.84(2H,d,J=8.4Hz).
 IR(CHCl_3):3374,3022,2946,2868,2210,1727,1589,1511,1436,1323,1161,1133/cm.
 55 $[\alpha]_D=+9.2^\circ$ (CHCl_3 ,c=1.02,24°C).
 mp.116-118°C

No.1a — 70

5 CDCl_3 300MHz
 1.15-2.00(14H,m),2.13(1H,m),2.33-2.38(5H,m),3.04(1H,m),5.14(1H,d,J=6.6Hz),5.25-
 5.30(2H,m),7.17(2H,d,J=7.8Hz),7.44(2H,d,J=7.8Hz),7.62(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).
 IR(CHCl₃):3380,3260,3020,2948,2868,2210,1708,1590,1511,1396,1324,1160, 1133/cm.
 $[\alpha]_D=+24.6^\circ$ (CHCl₃,c=1.00,24°C).

No.1a — 71

10 CDCl_3 300MHz
 0.95-1.96(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),3.00(1H,m),3.20(1H,s),3.6 5(3H,s),4.81(1H,d,J=6.6Hz),5.20-
 5.27(2H,m),7.46-7.54(4H,m),7.62-7.65(2H, m),7.85-7.88(2H,m).
 IR(CHCl₃):3374,3290,3018,3002,2946,2868,2212,2110,1726,1591,1507,1435, 1401,1324,1161/cm.
 $[\alpha]_D=+9.6^\circ$ (CHCl₃,c=1.01,24°C), mp.136-138°C,

No.1a — 72

20 CDCl_3 300MHz
 0.96-2.01(14H,m),2.14(1H,m),2.35(2H,t,J=7.2Hz),3.05(1H,m),3.20(1H,s),5.1 6(1H,d,J=7.2Hz),5.26-
 5.29(2H,m),7.45-7.53(4H,m),7.63(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz).
 IR(CHCl₃):3462,3374,3290,3024,2948,2868,2212,2110,1708,1591,1508,1455, 1401,1321,1274,1160,1132/cm.
 $[\alpha]_D=+24.3^\circ$ (CHCl₃,c=1.03,24°C), mp.96-99°C

25 No.1a — 73

25 CDCl_3 300MHz
 0.95-1.98(14H,m),2.19(1H,m),2.27-2.32(5H,m),3.01(1H,m),3.67(3H,s),4.80(1 H,d,J=6.6Hz),5.20-
 5.27(2H,m),7.12(2H,m),7.56(2H,m),7.63(2H,m),7.84(2H, m).
 IR(CHCl₃):3374,3276,3018,2946,2868,2214,1762,1730,1589,1506,1435,1368, 1161/cm.
 $[\alpha]_D=+7.8^\circ$ (CHCl₃,c=1.02,24°C), mp.102-104°C

No.1a — 74

35 CDCl_3 300MHz
 0.95-2.05(14H,m),2.15(1H,m),2.32-2.37(5H,m),3.02(1H,m),5.14(1H,d,J=6.6Hz),5.26-5.30(2H,m),7.10-
 7.13(2H,m),7.54-7.57(2H,m),7.62-7.64(2H,m),7.84 -7.87(2H,m).
 IR(CHCl₃):3482,3250,3022,2946,2868,2214,1716,1709,1589,1507,1454,1396, 1368,1322,1195,1161/cm.
 $[\alpha]_D=+15.0^\circ$ (CHCl₃,c=1.00,24°C) mp.129-131°C

40 No.1a — 75

40 CDCl_3 300MHz
 0.95-1.99(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),3.02(1H,m),3.67(3H,s),3.9 4(3H,s),4.79(1H,d,J=6.6Hz),5.19-
 5.29(2H,m),7.60-7.63(2H,m),7.65-7.67(2H, m),7.86-7.89(2H,m),8.04-8.06(2H,m).
 IR(CHCl₃):3378,3018,2946,2880,1720,1604,1435,1307,1276,1161,1106 /cm.
 $[\alpha]_D=+7.3^\circ$ (CHCl₃,c=1.01,25°C), mp.132-133°C

No.1a — 76

50 $\text{CDCl}_3+\text{CD}_3\text{OD}$ 300MHz
 1.04-2.05(14H,m),2.19(1H,m),2.32(2H,t,J=6.9Hz),2.93(1H,m)5.27-5.31(2H, m),7.60-7.63(2H,m),7.65-
 7.68(2H,m),7.86-7.89(2H,m),8.05-8.07(2H,m).
 IR(CHCl₃):3402,3299,2955,2876,2665,2549,1455,1422,1313,1281,1164 /cm.
 $[\alpha]_D=-21.1^\circ$ (CH₃OH,c=1.03,23°C), mp.227-229(dec.)

No.1a — 77

5 CDCl_3 300MHz
 0.96-1.99(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),3.02(1H,m),3.68(3H,s),4.8 8(1H,d,J=6.3Hz),5.19-
 5.29(2H,m),7.67-7.72(4H,m),7.89-7.91(2H,m),8.24-8.27(2H,m).
 IR(CHCl_3):3376,3276,3020,2946,2870,2214,1726,1594,1519,1455,1435,1389,1344,1161/cm.
 $[\alpha]_D=+7.7^\circ$ (CHCl_3 ,c=1.02), mp.87-89°C

No.1a — 78

10 CDCl_3 300MHz
 0.98-2.00(14H,m),2.18(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.24-5.28(2H, m),5.32(1H,d,J=5.7Hz),7.67-
 7.72(4H,m),7.89-7.92(2H,m),8.23-8.26(2H,m).
 IR(CHCl_3):3374,3260,2948,2214,1708,1595,1344,1160/cm.
 $[\alpha]_D=+23.3^\circ$ (CHCl_3 ,c=1.00), mp.102-103°C.

15 No.1a — 79 CDCl_3 300MHz
 0.93-2.02(14H,m),2.13(1H,m),2.36(2H,t,J=7.1Hz),3.05(1H,m),3.84(3H,s),5.1 8(1H,br),5.27-5.31(2H,m),6.88-
 6.91(2H,m),7.48-7.50(2H,m),7.60-7.63(2H,m) 7.83-7.85(2H,m).
 IR(CHCl_3):3380,3252,3020,2950,2868,2208,1708,1589,1511,1457,1396,1321, 1286,1160/cm.
 $[\alpha]_D=+26.7^\circ$ (CHCl_3 ,c=1.00). mp.75-77°C

No.1a — 80

20 CDCl_3 300MHz
 0.96-1.99(14H,m),2.21(1H,m),2.30(2H,t,J=7.8Hz),3.02(1H,m),3.68(3H,s),4.8 0(1H,d,J=6.6Hz),5.19-
 5.28(2H,m),7.51-7.77(5H,m),7.87-7.90(2H,m),8.13(1H, m).
 IR(CHCl_3):3374,3270,3018,2946,2868,2216,1726,1607,1567,1527,1495,1456, 1436,1344,1296,1161/cm.
 $[\alpha]_D=+7.4^\circ$ (CHCl_3 ,c=1.00,22°C), mp.68-70°C

25 No.1a — 81

30 CDCl_3 300MHz
 0.97-2.01(14H,m),2.16(1H,m),2.34(2H,t,J=7.2Hz),3.01(1H,m),5.22-5.28(3H, m),7.51(1H,m),7.65(1H,m)7.70-
 7.76(3H,m),7.88-7.91(2H,m),8.12(1H,dd,J=6. 9Hz,1.5Hz).
 IR(CHCl_3):3480,3382,3262,3026,2952,2872,2218,1708,1607,1567,1526,1396, 1343,1225,1160/cm.
 $[\alpha]_D=+22.0^\circ$ (CHCl_3 ,c=1.00), mp.92-94°C

No.1a — 82

35 CDCl_3 300MHz
 0.95-1.98(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.01(1H,m),3.67(3H,s),4.3 0(2H,br),4.79(1H,d,J=6.9Hz),5.20-
 5.29(2H,m),6.71-6.76(2H,m),7.18(1H,m),7. 37(1H,dd,J=7.8,1.2Hz),7.61-7.65(2H,m),7.83-7.87(2H,m).
 IR(CHCl_3):3376,3020,2946,2868,2202,1725,1613,1589,1484,1454,1315,1253, 1161/cm.
 $[\alpha]_D=+8.9^\circ$ (CHCl_3 ,c=1.00,22°C). mp.68-70°C

No.1a — 83

40 CDCl_3 300MHz
 0.97-1.99(14H,m),2.17(1H,m),2.33(2H,t,J=6.9Hz),2.99(1H,m),5.20-5.28(2H,
 m),5.37(1H,d,J=6.9Hz),6.45(2H,br),6.71-6.76(2H,m),7.19(1H,dd,J=7.8,6.6Hz
),7.37(1H,m),7.62(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).
 IR(CHCl_3):3478,3378,3260,3022,2950,2868,2204,1708,1613,1589,1484,1454, 1396,1316,1160/cm.
 $[\alpha]_D=+17.1^\circ$ (CHCl_3 ,c=1.01).

45 No.1a — 84

50 CDCl_3 300MHz

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9-

1.00-2.08(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.06(1H,m),3.86(3H,s),5.2
5.33(2H,m),5.45(1H,d,J=6.6Hz),6.91-6.94(2H,m),7.56-7.59(2H,m),7.81(1H,
d,t,J=8.1Hz),8.04(1H,d,d,J=8.1&1.8Hz),8.57(1H,d,J=2.1Hz).

IR(CHCl₃):3492,3254,3028,2954,2202,1708,1597,1512,1344,1291,1250/cm.
[α]_D=+27.4° (CHCl₃,c=0.53,23°C).

5

No.1a — 85

CDCl₃ 300MHz

10 0.96-2.05(14H,m),2.20(1H,m),2.35(2H,t,J=6.9Hz),2.99(1H,m),3.84(3H,s),5.2
5.31(3H,m),6.89(2H,d,J=8.7Hz),7.19(1H,brs),7.29(1H,brs),7.45-7.50(3H,m)

IR(CHCl₃):3478,3378,3020,2950,2868,2202,1708,1606,1511,1421,1311,1287,1248,1155/cm.
[α]_D=+17.1° (CHCl₃,c=1.00,23°C).

15 No.1a — 86

CDCl₃ 300MHz

1.03-2.05(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.04(1H,m),5.29-5.33(2H,
6.87(2H,m)7.50-7.53(2H,m),7.79(1H,d,J=8.1Hz),8.03(1H,d,d,J=1.5and8.1Hz),8.57(1H,d,J=1.5Hz).

20 IR(CHCl₃):3250,3024,2950,2868,2200,1707,1515,1344,1271,1166,1143/cm.
[α]_D=+21.2° (CHCl₃,c=0.26,22°C).

No.1a — 87

CD₃OD 300MHz

1.04-2.00(14H,m),2.18(1H,m),2.26(2H,t,J=5.4Hz),2.93(1H,m),5.19-5.24(2H,
6.80(2H,m),7.05(1H,d,d,J=2.1and8.1Hz),7.22(1H,d,J=2.1Hz),7.38-7.42(3H,m).
IR(CHCl₃):3377,2952,2873,2204,1705,1607,1515,1425,1312,1267,1222,1153/cm.
[α]_D=-15.6° (CH₃OH,c=1.02,22°C).

30 No.1a — 88

CDCl₃ 300MHz

0.90-1.96(14H,m),2.22-2.31(3H,m),2.95(1H,m),3.65(3H,s),4.87(1H,d,J=6.6H
7.62(3H,m),7.82-7.89(4H,m),7.90-7.96(2H,m),8.42(1H,brs).
IR(CHCl₃):3376,3016,2946,2868,1720,1677,1592,1514,1498,1429,1376,1314,1241,1156,1094 /cm.
[α]_D= -10.7° (CHCl₃,c=1.04,22.0°C) mp.134-136°C

No.1a — 89

CDCl₃+CD₃OD 300MHz

0.96-2.08(14H,m),2.23(1H,m),2.28(2H,t,J=7.2Hz),2.89(1H,m),5.20-5.32(2H,
7.97(6H,m).
IR(KBr):3272,3007,2952,2874,1708,1660,1592,1527,1498,1433,1400,1317,1260,1152,1094 /cm.
[α]_D= -24.4° (CH₃OH,c=1.02,25.0°C).

No.1a — 90

CDCl₃ 300MHz

50 0.89-1.96(14H,m),2.23-2.33(3H,m),2.92(1H,m),3.67(3H,s),4.85(1H,d,J=6.3H
7.90(4H,m),8.10-8.18(2H,m),8.31-8.40(2H,m),8.77(1H,s).
IR(CHCl₃):3372,3018,2946,2868,1718,1685,1592,1527,1436,1397,1346,1318,1256,1154,1099 /cm.
[α]_D= -16.1° (CHCl₃,c=1.00,23.0°C).

55 No.1a — 91

CDCl₃+CD₃OD 300MHz

0.94-2.02(14H,m),2.18-2.36(3H,m),2.87(1H,m),5.15-5.30(2H,m),7.82-7.92(4

H,m),8.09-8.16(2H,m),8.30-

8.37(2H,m).

IR(KBr):3284,3112,3006,2952,2874,1707,1593,1528,1498,1399,1348,1320,1 259,1153,1093 /cm.
 $[\alpha]_D = -26.3^\circ$ (CH₃OH,c=1.01,22°C).

5 No.1a — 92

CDCl₃ 300MHz

0.93-1.95(14H,m),2.22-2.31(3H,m),2.98(1H,m),3.68(3H,s),5.07(1H,d,J=6.9H
 5.24(2H,m),7.18(1H,m),7.35-7.43(2H,m),7.70(2H,d,J=7.8Hz),7.88-8. 05(4H,m),8.50(1H,brs),
 10 IR(CHCl₃):3382,3008,2952,1720,1675,1599,1525,1499,1438,1321,1253,1161, 1087 /cm.
 $[\alpha]_D = -16.6^\circ$ (CHCl₃,c=1.03,24.0°C) mp.100-101°C

No.1a — 93

15 CDCl₃+CD₃OD 300MHz

0.96-2.00(14H,m),2.18-2.35(3H,m),2.90(1H,m),5.15-5.30(2H,m),7.18(1H,m),
 7.74(2H,m),7.90-8.08(4H,m).
 IR(KBr):3347,3194,3011,2955,2875,1706,1650,1602,1544,1499,1443,1325, 1265,1165,1091 /cm.
 $[\alpha]_D = -19.4^\circ$ (CH₃OH,c=1.00,24.0°C) mp.158-159°C

20 No.1a — 94

CD₃OD 300MHz

1.05-2.00(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.98(1H,m),3.80(3H,s),5.1 3-5.27(2H,m),6.88-6.98(2H,m),7.54-
 25 7.64(2H,m),7.94-8.12(4H,m).
 IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1
 302,1248,1162,1107,1090,1032/cm.
 $[\alpha]_D = -19.1^\circ$ (CH₃OH,c=1.01,24°C).

30 No.1a — 95

CD₃OD 300MHz

1.04-2.02(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.93-3.02(7H,m),5.13-5.27
 7.59(2H,m),7.95-8.02(2H,m),8.04-8.11(2H,m).
 35 IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1
 302,1248,1162,1107,1090,1032/cm.
 $[\alpha]_D = -17.6^\circ$ (CH₃OH,c=1.01,24°C).

No.1a — 96

40 CD₃OD 300MHz

1.05-2.02(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.98(1H,m),5.13-5.27(2H,
 7.52(2H,m),7.94-8.12(4H,m).
 IR(KBr):3339,3197,2953,2875,1707,1644,1606,1541,1514,1446,1325,1293,1 259,1240,1225,1161,1091/cm.
 45 $[\alpha]_D = -18.7^\circ$ (CH₃OH,c=1.00,24°C). mp.193-196°C

No.1a — 97

d₆-DMSO 300MHz

50 1.05-2.08(15H,m),2.15(2H,t,J=7.5Hz),2.89(1H,m),5.18-5.28(2H,m),6.78-7.12
 (3H,m),7.73(1H,d,d,J=1.4and7.8Hz),7.91-7.95(3H,m),8.14(2H,d,J=8.4Hz),9. 71(1H,s).
 IR(KBr):3407,3191,2953,1711,1646,1614,1603,1537,1457,1326,1162,1151/cm.
 $[\alpha]_D = -20.7^\circ$ (CH₃OH,c=1.01,21°C).

55 No.1a — 98

CDCl₃ 300MHz

0.93-2.00(14H,m),2.21(1H,m),2.31(2H,t,J=7.2Hz),2.93(1H,m),3.84(3H,s),3.8
 5(6H,s),5.15-

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5.30(2H,m),5.45(1H,d,J=6.3Hz),7.04(2H,s),7.78-7.86(2H,m),7.9 0-7.98(2H,m),8.58(1H,s).
IR(CHCl₃):3264,3008,2954,2874,1707,1670,1607,1537,1506,1451,1421,1308, 1158,1129,1086/cm.
[α]_D= -7.2° (CHCl₃,c=1.01,23.5°C). mp.147-149°C.

5 No.1a — 99

CD₃OD 300MHz
1.04-1.98(14H,m),2.21(1H,m),2.10(2H,t,J=7.2Hz),2.95(1H,m),3.76(3H,s),3.8 6(6H,s),5.07-
5.24(2H,m),7.19(2H,s),7.99(2H,d,J=8.7Hz),8.13(1H,d,J=8.7Hz).
10 IR(KBr):3354,3002,2950,2874,1656,1607,1570,1508,1452,1413,1314,1233,1 185,1157,1127,1092/cm.
[α]_D= -20.3° (CH₃OH,c=1.00,23.5°C).

No.1a — 100

15 CDCl₃ 300MHz
1.14-1.97(14H,m),2.19(1H,m),2.28(2H,t,J=7.4Hz),3.04(1H,m),3.69(3H,s),5.0 3(1H,d,J=6.9Hz),5.15-
5.29(2H,m)7.65(2H,d,J=8.4Hz),7.87(1H,s),7.98(2H,d, J=8.4Hz).
IR(CHCl₃):3386,3271,3025,3015,2955,2877,1755,1712,1608,1331,1162/cm.
[α]_D= -29.4° (CH₃OH,c=1.01,25°C).

20 No.1a — 101

d₆-DMSO
1.00-2.20(17H,m),2.84(1H,m),5.00-5.20(2H,m),7.78(2H,d,J=8.2Hz),7.84(1H, s),7.89-7.95(3H,m).
25 IR(KBr):3269,3065,3008,2952,2874,2763,1746,1707,1607,1322,1157 /cm.
[α]_D= -26.2° (CH₃OH,c=1.01,25°C).

No.1a — 102

30 CD₃OD
1.00-2.25(17H,m),2.92(1H,s),3.64(3H,s),5.07-5.21(2H,m),7.53(1H,s),7.77(2H, d,J=8.6Hz),7.90(2H,d,J=8.6).
IR(KBr):3430,3277,3006,2952,2873,1720,1687,1620,1571,1438,1312,1156 /cm.
[α]_D= -27.3° (CH₃OH,c=0.51,26°C), mp 230-232°C.

35 No.1a — 103

CDCl₃ 300MHz
0.94-1.96(14H,m),2.19(1H,m),2.28(2H,t,J=7.2Hz),3.04(1H,m),3.69(3H,s),5.1 1(1H,d,J=6.6Hz),5.15-
5.28(2H,m),7.60(2H,d,J=8.4Hz),7.67(1H,s),7.98(2H,d, J=8.4Hz).
40 IR(CHCl₃):3381,3021,2955,2876,1735,1605,1437,1411,1325,1231,1177 /cm.
[α]_D= +8.6° (CHCl₃,c=1.00,23°C).

No.1a — 104

45 CDCl₃ 300MHz
0.94-1.96(14H,m),2.21(1H,m),2.31(2H,t,J=6.8Hz),2.99(1H,m),5.18-5.28(2H,
m),5.45(1H,d,J=6.6Hz),7.61(2H,d,J=8.7Hz),7.67(1H,s),7.99(2H,d,J=8.7Hz).
IR(CHCl₃):3382,3222,3028,3019,2957,2876,1736,1709,1604,1412,1322,1301, 1286,1179,1162 /cm.
[α]_D= +10.4° (CHCl₃,c=1.00,23°C).

50 No.1a — 105

CDCl₃ 300MHz
0.92-1.98(14H,m),2.17(1H,m),2.26(2H,d,J=7.5Hz),3.01(1H,m),3.69(3H,s),4.0 1(3H,s),4.84(1H,d,J=6.3Hz),5.14-
5.30(2H,m),7.71(2H,d,J=8.7Hz),7.87(2H,d, J=8.7Hz),8.09(1H,s).
55 IR(CHCl₃):3385,3284,3025,3015,2954,2877,2821,1730,1598,1459,1438,1403, 1341,1160,1052 /cm.
[α]_D= +3.6° (CHCl₃,c=1.00,26°C).

No.1a — 106

5 CDCl_3 300MHz
 0.92-2.08(14H,m),2.14(1H,m),2.34(2H,d,J=7.2Hz),3.02(1H,m),4.01(3H,s),5.1
 5.32(2H,m),7.71(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz),8.09(1H,s).
 IR(CHCl_3):3510,3384,3268,3028,3021,3014,2957,2877,2821,2667,2821,2666,
 1707,1598,1459,1404,1341,1324,1160,1052 /cm.
 $[\alpha]_D = +11.8^\circ$ (CHCl_3 ,c=1.01,25°C). mp 95-96°C

10 No.1a — 107

15 CDCl_3 300MHz
 0.92-1.97(14H,m),1.34(3H,t,J=7.2Hz),2.18(1H,m),2.28(2H,d,J=7.4Hz),3.01(1H,m),3.68(3H,s),4.26(2H,q,J=7.2Hz),4.86(1H,d,J=6.6Hz),5.15-5.29(2H,m),7.71(2H,d,J=8.7Hz),7.87(2H,d,J=8.7Hz),8.09(1H,s).
 IR(CHCl_3):3385,3282,3025,3026,3015,2954,2877,1729,1599,1480,1458,1438,1403,1338,1161 /cm.
 $[\alpha]_D = +4.4^\circ$ (CHCl_3 ,c=1.00,25°C).

20 No.1a — 108

25 CDCl_3 300MHz
 0.90-2.04(14H,m),1.34(3H,t,J=7.2Hz),2.14(1H,m),2.34(2H,d,J=7.1Hz),3.01(1H,m),4.27(2H,q,J=7.2Hz),5.20(1H,d,J=6.6Hz),5.21-5.35(2H,m),7.71(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz),8.10(1H,s).
 IR(CHCl_3):3514,3384,3270,3025,3015,3015,2957,2877,1708,1599,1458,1403,1324,1324,1160,1050 /cm.
 $[\alpha]_D = +12.7^\circ$ (CHCl_3 ,c=1.00,25°C).

No.1a — 109

30 $[\alpha]_D = +8.5^\circ$ (CHCl_3 ,c=1.00,25°C).mp109.0-111.0°C

No.1a — 110

35 $\text{CDCl}_3:\text{CD}_3\text{OD}(95:5)$
 0.92-2.06(14H,m),2.20(1H,m),2.30(2H,d,J=7.2Hz),2.99(1H,m),5.22-5.33(2H,m),7.66(3H,m),8.07(2H,d,J=9.0Hz),8.12-8.20(2H,m),8.29(2H,d,J=9.0Hz)
 IR(Nujol):3270,2956,2924,2854,1716,1548,1485,1319,1167/cm.
 $[\alpha]_D = +17.0^\circ$ (CHCl_3 ,c=1.00,25°C). mp.166.5-168°C

40 No.1a — 111

45 $[\alpha]_D = +2.6^\circ$ (CHCl_3 ,c=1.00,24°C).mp120.0-121.0°C

No.1a — 112

45 CDCl_3 300MHz
 0.96-2.04(14H,m),2.19(1H,m),2.33(2H,d,J=7.1Hz),3.07(1H,m),5.28-5.31(2H,m),5.33(1H,d,J=6.6Hz),7.54-7.63(3H,m),8.05(2H,d,J=8.4Hz),8.18-8.23(2H,m),8.41(2H,d,J=8.4Hz).
 IR(CHCl_3):3384,3269,3025,3015,2957,2877,1708,1598,1496,1457,1417,1326,1164 /cm.
 $[\alpha]_D = +12.2^\circ$ (CHCl_3 ,c=1.00,24°C). mp.163-164°C

No.1a — 113

55 $[\alpha]_D = +22.1^\circ$ (CHCl_3 ,c=1.05,25°C). mp.90-92°C

No.1a — 114

55 $[\alpha]_D = +2.2^\circ$ (CHCl_3 ,c=1.02,25°C).

No.1a — 115

CDCl₃ 300MHz

0.90-1.98(14H,m),2.15-2.22(1H,m),2.27(2H,t,J=7.2Hz),2.95-3.04(1H,m),
 5 3.68(3H,s),4.04(2H,s),4.85(1H,d,J=6.6Hz),5.10-5.27(2H,m),7.12-7.34(7H,m),7.76-7.82(2H,m).
 IR(CHCl₃):3384,3026,2952,1727,1595,1493,1436,1318,1155,1091,890/cm.
 [α]_D=0°
 [α]₄₃₆=+4.9±0.4 ° (CHCl₃,c=1.05,23°C)

No.1a — 116

CDCl₃ 300MHz

0.90-2.10(14H,m),2.10-2.18(1H,m),2.32(2H,t,J=7.2Hz),2.96-3.04(1H,m), 4.04(2H,s),5.14(1H,d,J=6.6Hz),5.16-
 15 5.28(2H,m),7.12-7.34(7H,m),7.76-7.82(2H,m).
 IR(CHCl₃):3260,3020,2950,1709,1407,1318,1154,1091,892/cm.
 [α]_D=+9.1±0.5 ° (CHCl₃,c=1.04,23°C)

No.1a — 117

CD₃OD 300MHz

0.96-2.18(17H,m),2.89-2.92(1H,m),4.05(2H,s),4.95-5.22(2H,m),7.15-7.42(7H,m),7.75-7.81(2H,m).
 IR(KBr):3429,3279,2951,2872,1563,1494,1453,1408,1313,1155,1093,1057/cm.
 [α]_D=-16.3±0.5 ° (CH₃OH,c=1.06,25°C)

No.1a — 118

CDCl₃ 300MHz

0.98-1.70(15H,m),1.80-2.00(5H,m),2.20-2.40(3H,m),2.98(1H,m),4.06(2H,s),4. 72(1H,d,J=6.3Hz),5.00-
 5.23(3H,m),7.16(2H,d,J=8.4Hz),7.26-7.33(5H,m),7.7 9(2H,d,J=8.1Hz).
 30 IR(CHCl₃):3376,3020,2948,2868,1716,1596,1492,1453,1407,1318,1155,1105/cm.
 [α]_D=+2.4° (CHCl₃,c=1.08,24°C).

No.1a — 119

CDCl₃ 300MHz

0.90-2.02(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.00(1H,m),3.68(3H,s),4.8 6(1H,d,J=6.9Hz),5.13-
 5.34(2H,m),7.00-7.09(4H,m),7.22(1H,m),7.37-7.45(2H, m),7.79-7.86(2H,m).
 IR(CHCl₃):3376,3018,2946,2868,1727,1582,1486,1321,1243,1151,1093 /cm.
 [α]_D= +4.5° (CHCl₃,c=1.05,23.5°C).

No.1a — 120

CD₃OD 300MHz

1.00-2.00(14H,m),2.13(2H,t,J=7.5Hz),2.16(1H,m),2.91(1H,m),5.05-5.33(2H, m),7.04-7.11(4H,m),7.18-
 45 7.25(1H,m),7.38-7.48(2H,m),7.80-7.87(2H,m).
 IR(KBr):3430,3278,3006,2952,2873,1583,1487,1410,1322,1298,1245,1152,1 095 /cm.
 [α]_D= -8.8° (CH₃OH,c=1.05,25.0°C).

No.1a — 121

CDCl₃ 300MHz

0.90-2.10(14H,m),2.15(1H,m),2.35(2H,t,J=7.2Hz),3.01(1H,m),5.20(1H,d,J=6. 9Hz),5.22-5.35(2H,m),7.00-
 50 7.09(4H,m),7.18-7.25(1H,m),7.37-7.45(2H,m),7.7 9-7.86(2H,m).
 IR(CHCl₃):3260,3020,2948,2868,1708,1582,1486,1409,1321,1296,1243,1151, 1093 /cm.
 [α]_D= +13.1° (CHCl₃,c=1.04,24.0°C).

No.1a — 122

5 CDCl_3 300MHz
 0.90-2.00(14H,m),2.23(1H,m),2.28(2H,t,J=7.5Hz),2.96(1H,m),3.67(3H,s),4.6 9(1H,d,J=6.6Hz),5.15-
 5.32(2H,m),6.22(1H,s),6.98-7.40(5H,m),7.30-7.38(2H, m),7.68-7.74(2H,m).
 IR(CHCl_3):3416,3370,3018,2946,2868,1725,1587,1508,1437,1400,1320,1149, 1094 /cm.
 $[\alpha]_D = +6.2^\circ$ (CHCl_3 ,c=1.04,25.0°C).

No.1a — 123

10 CDCl_3 300MHz
 0.90-2.04(14H,m),2.18(1H,m),2.33(2H,t,J=7.2Hz),2.96(1H,m),5.04-5.35(3H, m),6.98-7.12(3H,m),7.12-
 7.20(2H,m),7.28-7.38(2H,m)7.66-7.74(2H,m).
 IR(CHCl_3):3424,3270,3028,2952,2872,1708,1587,1508,1445,1399,1320,1148, 1092 /cm.
 $[\alpha]_D = +20.9^\circ$ (CHCl_3 ,c=1.06,23.0°C).

No.1a — 124

20 CDCl_3 300MHz
 0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),3.14(3H,s),3.6 8(3H,s),4.56(2H,s),4.84(1H,d,J=6.3Hz),5.10-5.29(2H,m),7.16-7.26(4H,m),7.2 6-7.34(2H,m),7.78-7.84(2H,m).
 IR(CHCl_3):3384,3028,2952,2874,1727,1598,1501,1435,1410,1370,1329,1172, 1148,1091 /cm.
 $[\alpha]_D = +2.7^\circ$ (CHCl_3 ,c=1.09,23.0°C).

25 No.1a — 125

25 CDCl_3 300MHz
 0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),2.29(3H,s)3.00(1H,m),3.6 8(3H,s),4.04(2H,s),4.80(1H,d,J=6.6Hz),5.11-5.29(2H,m),6.99-7.06(2H,m),7.1
 7.19(2H,m),7.31(2H,d,J=8.1Hz),7.79(2H,d,J=8.1Hz).
 IR(CHCl_3):3382,3280,3024,2950,2874,1730,1596,1504,1435,1407,1367,1318 1196,1155,1091 /cm.
 $[\alpha]_D = +2.9^\circ$ (CHCl_3 ,c=1.06,23.0°C).

No.1a — 126

35 CDCl_3 300MHz
 0.90-2.02(14H,m),2.14(1H,m),2.29(3H,s),2.32(2H,t,J=7.2Hz),3.01(1H,m),4.0 3(2H,s),5.10(1H,d,J=6.6Hz),5.15-
 5.30(2H,m)6.98-7.06(2H,m)7.11-7.18(2H, m),7.30(2H,d,J=8. 1Hz),7.79(2H,d,J=8.1Hz).
 IR(CHCl_3):3374,3260,3020,2948,2868,1749,1708,1596,1504,1407,1369,1317, 1195,1155,1091 /cm.
 $[\alpha]_D = +10.0^\circ$ (CHCl_3 ,c=1.09,23.0°C).

No.1a — 127

45 CDCl_3 300MHz
 0.87-1.95(14H,m),2.18-2.32(3H,m),2.95(1H,m),3.69(3H,s),3.96(2H,s),4.79(1 H,d,J=6.6Hz),4.97-
 5.17(2H,m),5.54(1H,s),6.75-6.82(2H,m),6.97-7.05(2H,m), 7.25-7.33(2H,m),7.75-7.81(2H,m).
 IR(CHCl_3):3382,3026,2950,2874,1722,1595,1511,1436,1407,1317,1257,1154, 1090 /cm.
 $[\alpha]_D = -2.1^\circ$ (CHCl_3 ,c=1.00,21.5°C).

50 No.1a — 128

50 CDCl_3 300MHz
 0.85-2.02(14H,m),2.18(1H,m),2.31(2H,t,J=7.2Hz),2.96(1H,m),3.95(2H,s),5.0 5-5.27(3H,m),6.73-6.82(2H,m),6.96-
 7.04(2H,m),7.25-7.32(2H,m),7.74-7.81(2 H,m).
 IR(CHCl_3):3262,3020,2948,2868,1708,1596,1511,1407,1315,1242,1154,1091 /cm.
 $[\alpha]_D = +4.8^\circ$ (CHCl_3 ,c=1.04,22°C).

No.1a — 129

5 CDCl_3 300MHz
 0.89-1.98(14H,m),2.18(1H,m),2.27(2H,t,J=7.2Hz),2.99(1H,m),3.68(3H,s),3.7
 9(3H,s),3.98(2H,s),4.81(1H,d,J=6.6Hz),5.10-5.27(2H,m),6.81-6.87(2H,m),7.0
 3-7.10(2H,m),7.25-
 7.32(2H,m),7.75-7.82(2H,m).
 IR(CHCl_3):3382,3276,3006,2950,2874,1726,1609,1509,1457,1436,1407,1315, 1244,1154,1091,1033/cm.
 $[\alpha]_D=+19.3^\circ$ (CHCl_3 ,c=1.05,23°C).

10 No.1a — 130

15 CDCl_3 300MHz
 0.90-2.00(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),2.98(1H,m),3.69(3H,s),4.8
 5.32(2H,m),5.46(1H,brs),6.84-7.01(6H,m),7.76-7.83(2 H,m)
 IR(CHCl_3):3380,3284,3024,2952,2874,1724,1588,1504,1488,1436,1321,1296, 1149,1091/cm.
 $[\alpha]_D=+28.9^\circ$ (CHCl_3 ,c=1.01,23°C).

No.1a — 131

20 CDCl_3 300MHz
 0.92-2.10(14H,m),2.18(1H,m),2.34(2H,t,J=6.9Hz),2.96(1H,m),5.18-5.35(3H,
 m),6.84-7.01(6H,m),7.75-
 7.83(2H,m).
 IR(CHCl_3):3270,3028,2952,2874,1708,1589,1505,1489,1456,1322,1297,1238, 1148,1091/cm.
 $[\alpha]_D=+7.7^\circ$ (CHCl_3 ,c=1.09,24°C).

25 No.1a — 132

30 CDCl_3 300MHz
 0.91-2.02(14H,m),2.19(1H,m),2.29(2H,t,J=7.2Hz),2.99(1H,m),3.68(3H,s),3.8
 5.33(2H,m),6.90-7.04(6H,m),7.76-7.83(2H, m).
 IR(CHCl_3):3384,3006,2952,2874,1727,1589,1502,1488,1459,1438,1321,1295, 1231,1150,1092,1033/cm.
 $[\alpha]_D=+3.1^\circ$ (CHCl_3 ,c=1.01,23°C).

No.1a — 133

35 TLC Rf=0.21 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a — 134

40 CDCl_3 300MHz
 0.97-2.10(14H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),3.04(1H,m),5.22-5.33(2H,
 m),5.41(1H,d,J=6.6Hz),7.02(1H,d,J=9.0Hz),7.09-7.13(2H,m),7.26-7.32(1H,m
 7.49(2H,m),7.93(1H,d,d,J=2.4and9.0Hz),8.46(1H,d,J=2.4Hz).
 IR(CHCl_3):3384,3270,3020,2958,1709,1610,1587,1537,1479,1352,1271,1252, 1167/cm.
 45 $[\alpha]_D=+20.9^\circ$ (CHCl_3 ,c=0.51,22°C).

No.1a — 135

50 CDCl_3 300MHz
 0.96-2.02(14H,m),2.21(1H,m),2.29(2H,t,J=7.2Hz),3.07(1H,m),3.68(3H,s),5.0
 5.33(2H,m),7.48-7.55(2H,m),7.64(1H,m),7.76-7.82(2H, m),7.88-7.94(2H,m),7.98-8.04(2H,m).
 IR(CHCl_3):3384,3282,3026,2952,2874,1727,1663,1596,1446,1396,1316,1274, 1163,1090 /cm.
 $[\alpha]_D= +3.1^\circ$ (CHCl_3 ,c=1.03,22.0°C).

55 No.1a — 136

CDCl_3 300MHz
 0.95-2.05(14H,m),2.19(1H,m),2.34(2H,t,J=7.2Hz),3.08(1H,m),5.10-5.40(2H,
 m),5.35(1H,d,J=6.8Hz),7.45-

7.58(2H,m), 7.64(1H,m), 7.74-7.84(2H,m), 7.84-7.95(2H,m), 7.95-8.06(2H,m).
 IR(CHCl₃):3260,3018,2950,2870,1708,1662,1595,1446,1395,1316,1274,1162, 1090 /cm.
 [α]_D= +12.9° (CHCl₃,c=1.05,21.5°C).

5 No.1a — 137

CDCl₃ 300MHz
 0.97-2.04(14H,m), 2.27(1H,m), 2.31(2H,t,J=7.2Hz), 3.07(1H,m), 3.70(3H,s), 5.15-5.30(3H,m), 7.48-7.68(5H,m), 7.96-8.02(2H,m).
 10 IR(CHCl₃):3382,3030,2952,2878,1725,1446,1329,1154,1098 /cm.
 [α]_D= -12.1° (CHCl₃,c=1.03,22.0°C).

No.1a — 138

15 CDCl₃ 300MHz
 0.95-2.04(14H,m), 2.25(1H,m), 2.35(2H,t,J=7.2Hz), 3.08(1H,m), 5.15-5.34(2H,m), 5.41(1H,d,J=6.6Hz), 7.48-7.68(5H,m), 7.98-8.03(2H,m).
 IR(CHCl₃):3370,3242,3022,2950,2870,1707,1445,1408,1329,1154,1099 /cm.
 [α]_D= -0.6° (CHCl₃,c=1.06,21.5°C) [α]₃₆₅= +30.7° (CHCl₃,c=1.06,21.5°C).

20 No.1a — 139

CDCl₃ 300MHz
 0.92-2.19(14H,m), 2.27-2.34(3H,m), 3.26(1H,m), 3.65(3H,s), 4.28(2H,s), 4.37(1H,d,J=7.4Hz), 5.34-5.50(2H,m), 7.37-7.62(9H,m).
 IR(CHCl₃):3389,3294,3028,3015,2954,2877,1730,1600,1488,1325,1151,1129 /cm.
 [α]_D= -24.8° (CHCl₃,c=1.01,24°C).

No.1a — 140

30 CDCl₃ 300MHz
 0.92-2.22(15H,m), 2.34(2H,t,J=7.1Hz), 3.24(1H,m), 4.29(2H,s), 4.81(1H,d,J=7.4Hz), 5.32-5.52(2H,m), 7.36-7.62(9H,m).
 IR(CHCl₃):3510,3388,3251,3031,3015,2956,2877,2668,1708,1601,1488,1318, 1151,1129 /cm.
 [α]_D= -24.6° (CHCl₃,c=1.02,25°C).

No.1a — 141

CDCl₃ 300MHz
 40 0.92-2.19(15H,m), 2.32(2H,t,J=7.2Hz), 3.26(1H,m), 3.65(3H,s), 4.31(2H,s), 4.48(1H,d,J=7.4Hz), 5.33-5.49(2H,m), 7.42-7.80(8H,m).
 IR(CHCl₃):3388,3285,3018,2955,2877,2225,1730,1597,1479,1320,1152,1129 /cm.
 [α]_D= -20.1° (CHCl₃,c=0.96,25°C).

45 No.1a — 142

CDCl₃ 300MHz
 0.92-2.22(15H,m), 2.35(2H,t,J=6.8Hz), 3.25(1H,m), 4.32(2H,s), 4.86(1H,d,J=7.4Hz), 5.33-5.53(2H,m), 7.43-7.80(8H,m).
 50 IR(CHCl₃):3512,3388,3258,3031,3023,3014,2956,2877,2225,1708,1597,1479,1319,1151,1128 /cm.
 [α]_D= -19.3° (CHCl₃,c=1.09,23°C).

No.1a — 143

55 CDCl₃ 300MHz
 1.00-1.93(14H,m), 2.17(1H,m), 2.27(2H,t,J=7.2Hz), 3.07(1H,m), 5.17-5.22(2H,m), 5.36(1H,d,J=6.9Hz), 7.77(1H,d,J=9.0Hz), 8.11-8.17(2H,m), 8.36(1H,d,d,J=2.1and9.0Hz), 8.51(1H,d,J=1.8Hz), 8.65(1H,d,J=2.1Hz).

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IR(CHCl₃):3382,3266,3026,2954,2874,1708,1632,1585,1528,1458,1419,1345, 1153/cm.
 [α]_D=+7.6° (CHCl₃,c=1.04,22°C).

No.1a — 144

5 CDCl₃ 300MHz
 0.95-1.90(14H,m),2.17(1H,m),2.25(2H,t,J=7.5Hz),3.02(1H,m),5.09(1H,d,J=6. 6Hz),5.15-
 5.21(2H,m),6.72(1H,d,J=8.4Hz),6.85(1H,s),7.54(1H,d,J=8.4Hz),7.
 72(1H,d,J=9.0Hz),7.83(1H,d,d,J=1.8and9.0Hz),8.32(1H,d,J=1.8Hz).
 10 IR(CHCl₃):3380,3260,3022,2948,2868,2352,1709,1636,1460,1425,1313,1291, 1265,1148,1130/cm.
 [α]_D=+12.9° (CHCl₃,c=1.02,22.5°C).

No.1a — 145

15 CDCl₃ 300MHz
 0.97-1.90(14H,m),2.15(1H,m),2.27(2H,t,J=6.9Hz),3.02(1H,m),3.08(6H,s),5.1 2(1H,d,J=6.3Hz),5.19-
 5.25(2H,m),6.78-6.84(2H,m),7.53(1H,d,J=8.7Hz),7.76-7.83(2H,m),8.30(1H,d,J=1.8Hz).
 IR(CHCl₃):3272,3030,2950,2874,1708,1635,1601,1511,1457,1425,1357,1328, 1151,1124/cm.
 [α]_D=+6.3° (CHCl₃,c=1.04,23°C).

20 No.1a — 146

CDCl₃ 300MHz
 0.95-2.00(14H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),3.05(1H,m),4.10(3H,s),5.1 3-
 5.28(2H,m),5.38(1H,d,J=6.9Hz),7.67-7.74(2H,m),8.08(1H,d,d,J=1.8and9.0 Hz),8.11(1H,s),8.61(1H,d,J=1.8Hz).
 IR(CHCl₃):3260,3020,2948,2868,1708,1639,1606,1528,1470,1455,1424,1349,
 1311,1238,1174,1149,1120,1079,1060,1022/cm.
 [α]_D=+7.8° (CHCl₃,c=1.00,23°C).

30 No.1a — 147

CDCl₃ 300MHz
 0.92-1.92(14H,m),2.17(1H,m),2.25(2H,t,J=7.2Hz),3.01(1H,m),3.97(3H,s),5.1 0-
 5.27(5H,m),6.92(1H,s),7.29(1H,s),7.52(1H,d,J=8.7Hz),7.82(1H,d,d,J=2.1a nd8.7Hz),8.33(1H,d,J=2.1Hz).
 35 IR(CHCl₃):3380,3264,3002,2950,2868,1708,1634,1476,1452,1426,1317,1264,
 1218,1169,1147,1115,1068,1031/cm.
 [α]_D=+5.6° (CHCl₃,c=1.02,23°C).

No.1a — 148

40 CDCl₃ 300MHz
 0.90-1.98(14H,m),2.15(1H,m),2.28(2H,t,J=6.9Hz),2.91(6Hs),3.03(1H,m),4.01 (3H,s),5.15-
 5.26(3H,m),7.18(1H,s),7.38(1H,s),7.59(1H,d,J=8.7Hz),7.87(1H,d, d,J=2.1and8.7Hz),8.40(1H,d,J=2.1Hz).
 IR(CHCl₃):3384,3266,2956,1709,1632,1602,1495,1473,1458,1430,1317,1231, 1148,1121/cm.
 45 [α]_D=+11.2° (CHCl₃,c=1.01,23°C).

No.1a — 149

CDCl₃ 300MHz
 50 0.99-1.90(14H,m),2.17(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),5.13-5.19(2H, m),5.43(1H,d,J=6.0Hz),7.02(1H,d,d,J=2.4and9.0Hz),7.38-7.41(2H,m),7.58(1 H,d,J=8.7Hz),7.96(1H,d,d,J=1.8and8.7Hz),8.45(1H,d,J=1.8Hz).
 IR(CHCl₃):3270,3020,2948,2868,1709,1601,1478,1448,1419,1315,1147,1120/cm.
 [α]_D=-11.4° (CHCl₃,c=1.01,23°C).

55 No.1a — 150

CDCl₃ 300MHz

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0.97-1.88(14H,m),2.12-2.31(3H,m),2.38(3H,s),3.01(1H,m),5.14-5.19(2H,m),5.
36(1H,d,J=6.6Hz),7.24(1H,d,d,J=2.4and9.0Hz),7.59(1H,d,J=6.3Hz),7.66(1H,
d,J=8.7Hz),7.72(1H,d,J=2.4Hz),8.01(1H,d,d,J=1.8and8.7Hz),8.49(1H,d,J=1.8Hz).
IR(CHCl₃):3470,3374,3260,3018,2950,2868,1709,1474,1444,1412,1370,1319, 1266,1162,1145,1118/cm.
[α]_D=+4.9° (CHCl₃,c=1.00,24°C).

5

No.1a — 151

CDCl₃ 300MHz
10 0.97-1.89(14H,m),2.17(1H,m),2.25(2H,t,J=7.2Hz),3.03(1H,m),3.92(3H,s),5.1
5.20(2H,m),5.32(1H,d,J=6.6Hz),7.11(1H,d,d,J=2.4and9.3Hz),7.45(1H,d,J=2.4Hz),7.50(1H,d,J=9.3Hz),7.62(1H,d,J=8.7Hz),7.97(1H,d,d,J=2.1and8.7Hz), 8.50(1H,d,J=2.1Hz).
IR(CHCl₃):3260,3018,2948,1708,1483,1454,1432,1314,1287,1268,1188,1169, 1147/cm.
[α]_D=+4.9° (CHCl₃,c=1.01,23.5°C).

15

No.1a — 152

CDCl₃ 300MHz
20 0.98-2.04(14H,m),2.15(1H,m),2.30(2H,t,J=6.6Hz),3.04(1H,m),5.17-5.29(3H,
m),7.41(1H,d,d,J=1.5and8.1Hz),7.64-7.68(2H,m),7.92(1H,d,J=8.4Hz),8.00(1
H,d,d,J=1.8and8.4Hz),8.49(1H,d,J=1.8Hz).
IR(CHCl₃):3266,3028,2952,2872,1707,1629,1591,1456,1416,1318,1275,1150/cm.
[α]_D=+3.2° (CHCl₃,c=1.04,23°C).

25

No.1a — 153

CDCl₃ 300MHz
0.97-1.88(14H,m),2.16(1H,m),2.26(2H,t,J=7.2Hz),3.03(1H,m),4.64-4.65(2H,
5.50(5H,m),6.13(1H,m),7.14(1H,d,d,J=2.7and9.0Hz),7.46-7.52(2H,
30 m),7.63(1H,d,J=8.7Hz),7.97(1H,d,d,J=1.8and8.7Hz),8.49(1H,d,J=1.8Hz).
IR(CHCl₃):3374,3260,3020,2948,2868,1708,1599,1478,1446,1414,1314,1284, 1268,1184,1148,1120/cm.
[α]_D=+5.3° (CHCl₃,c=1.00,23°C).

35

No.1a — 154

CDCl₃ 300MHz
0.99-2.00(15H,m),2.26(2H,t,J=7.2Hz),3.03(1H,m),4.07(3H,s),5.23-5.27(2H,m)
(),5.36(1H,d,J=7.2Hz),7.20(1H,s),7.36-7.48(2H,m),7.55-7.58(1H,m),7.91-7.93 (1H,m),8.52(1H,s).
IR(CHCl₃):3362,3257,3020,2948,2868,1708,1637,1602,1579,1488,1457,1437,
40 1413,1345,1318,1301,1276,1182,1104/cm.
[α]_D= +19.4° (CHCl₃,c=1.01,25°C).
mp.88-90°C

45

No.1a — 155

CDCl₃ 300MHz
0.92-2.02(14H,m),2.15(1H,m),2.31(2H,t,J=7.2Hz),3.01(1H,m),4.10(2H,s),5.1
5.35(2H,m),7.04-7.26(5H,m),7.67-7.76(2H,m).
IR(CHCl₃):3266,3028,2952,2952,2872,1708,1599,1574,1478,1457,1418,1301, 1258,1147,1124,1101,1080/cm.
50 [α]₃₆₅ +33.4° (CHCl₃,c=1.00,23°C).

No.1a — 156

CDCl₃ 300MHz
55 0.91-2.21(15H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m)5.11(1H,d,J=6.6Hz),5.27-5.
6.96(5H,m),7.35(1H,d,J=2.1Hz),7.42(1H,d,d,J=2.1and8.7Hz).
IR(CHCl₃):3384,3263,2957,1708,1587,1489,1462,1416,1290,1222,1151,1123/cm.
[α]_D=+6.4° (CHCl₃,c=1.00,23°C).

No.1a — 157

5 CDCl_3 300MHz
 0.97-1.91(14H,m),2.18(1H,m),2.26(2H,t,J=6.9Hz),3.04(1H,m),5.18-5.26(3H,
 8.00(3H,m),8.25(1H,m),8.69(1H,m).
 IR(CHCl_3):3382,3268,2952,2874,1707,1457,1425,1409,1318,1152/cm.
 $[\alpha]_D=+4.4^\circ$ (CHCl_3 ,c=1.02,22°C).

No.1a — 158

10 CDCl_3 300MHz
 1.02-1.97(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.06(1H,m),5.19-5.24(2H,
 m),5.58(1H,d,J=6.6Hz),7.62(1H,m),7.72(1H,m),7.86-7.91(2H,m),7.96(1H,d,J
 =7.8Hz),8.04(1H,d,d,J=1.5and8.1Hz),8.34(1H,d,J=1.2Hz).
 15 IR(CHCl_3):3490,3260,3020,2950,2870,1707,1456,1399,1312,1165/cm.
 $[\alpha]_D=-8.3^\circ$ (CHCl_3 ,c=1.00,23°C).

No.1a — 159

20 CDCl_3 300MHz
 0.92-1.88(14H,m),2.13(1H,m),2.24(2H,m),3.02(1H,m),3.90(3H,s),5.12-5.26(3
 H,m),7.58(4H,m),7.97(1H,d,d,J=1.8and7.5Hz),8.13(1H,d,J=7.5Hz),8.64 (1H,d,J=1.8Hz).
 IR(CHCl_3):3382,3266,3018,2956,1708,1629,1594,1476,1467,1325,1245,1227, 1158,1146/cm.
 $[\alpha]_D=+14.6^\circ$ (CHCl_3 ,c=1.00,22°C).

25 No.1a — 160

30 CDCl_3 300MHz
 0.93-1.88(14H,m),2.18-2.24(3H,m),3.00(1H,m),5.08-5.21(3H,m),7.28-7.33(1
 H,m),7.51(3H,m),7.90(1H,d,d,J=1.5and7.8Hz),8.10(1H,d,J=7.8Hz),8.63 -8.64(2H,m).
 IR(CHCl_3):3465,3380,3275,3020,2957,2876,1708,1627,1604,1495,1473,1457, 1328,1240,1222,1156,1149/cm.
 $[\alpha]_D=+8.2^\circ$ (CHCl_3 ,c=1.01,22°C).

No.1a — 161

35 CDCl_3 300MHz
 0.98-1.88(14H,m),2.17(1H,m),2.24(2H,t,J=7.2Hz),3.05(1H,m),5.16-5.20(2H,
 m),5.35(1H,d,J=6.6Hz),7.40(1H,m),7.55(1H,m),7.63(1H,d,J=8.1Hz),7.89(1H,
 d,d,J=1.5and8.1Hz),8.01(1H,m),8.06(1H,d,J=8.1Hz),8.12(1H,d,J=1.5Hz).
 40 IR(CHCl_3):3478,3266,3028,2952,2874,1708,1454,1417,1323,1196,1148/cm.
 $[\alpha]_D=+21.9^\circ$ (CHCl_3 ,c=1.01,23°C).

No.1a — 162

45 CDCl_3 300MHz
 0.96-1.98(14H,m),2.02(1H,m),2.25(2H,t,J=7.2Hz),3.05(1H,m),4.10(3H,s),5.1
 5.25(2H,m),5.41(1H,d,J=7.2Hz),7.35-7.42(1H,m),7.51-7.64(3H,m),7.94-8.0 0(1H,m),8.16(1H,s).
 IR(CHCl_3):3368,3274,3028,2952,2874,1708,1633,1583,1465,1452,1438,1413, 1315,1151,1103,1053,1024/cm.
 $[\alpha]_D= +15.1^\circ$ (CHCl_3 ,c=1.01,23°C). mp.108-110°C

No.1a — 163

50 $d_6\text{-DMSO}$ 300MHz
 0.97-1.84(14H,m),1.92(1H,m),2.04(2H,t,J=7.5Hz),2.90(1H,m),5.08-5.23(2H,
 7.61(2H,m),7.62(1H,s)7.68-7.71(1H,m),7.92(1H,s),8.14-8. 17(1H,m),10.7(1H,s),11.9(1H,s).
 IR(KBr):3350,3295,2952,2874,1707,1636,1601,1466,1431,1389,1315,1251,1 174,1146,1106/cm.
 $[\alpha]_D= -25.3^\circ$ (CH_3OH ,c=1.01,25°C). mp.159-162°C

No.1a — 164

5 CDCl_3 300MHz
 0.98-1.96(17H,m),2.05(1H,m),2.25(2H,t,J=7.2Hz)3.07(1H,m)4.32(2H,q,J=7.
 5.23(2H,m),5.31(1H,d,J=7.8Hz),7.38(1H,m)7.41-7.62(3H,m),7.95(1H,m),8.15(1H,s).
 IR(CHCl_3):3360,3018,2946,2870,1709,1633,1457,1445,1425,1394,1314,1176, 1152,1105/cm.
 $[\alpha]_D = +12.7^\circ$ (CHCl_3 ,c=1.02,25°C). mp.108-109°C
 2Hz),5.19-

10 No.1a — 165
 CDCl_3 300MHz
 0.95-1.98(15H,m),2.26(2H,t,J=7.5Hz),3.04(1H,m),4.15(3H,s)5.20-5.26(2H,m)
 7.47(1H,m),7.65-7.68(2H,m)7.89-7.92(1H,m),8.3 2(1H,s).
 IR(CHCl_3):3366,3087,3022,2957,1708,1632,1538,1463,1408,1364,1346,1308, 1227,1212,1205,1167/cm.
 15 $[\alpha]_D = +19.6^\circ$ (CHCl_3 ,c=1.01,25°C).

15 No.1a — 166
 CDCl_3 300MHz
 20 0.97-2.02(15H,m),2.27(2H,t,J=6.9Hz),3.07(1H,m),4.14(3H,s)5.21-5.27(2H,m)
),5.47(1H,d,J=6.9Hz),7.64(1H,s),7.72(1H,d,d,J=0.6and9.0Hz)8.25(1H,s)8.4
 7(1H,d,d,J=2.4and9.0Hz),8.94(1H,d,d,J=0.6and2.4Hz).
 IR(CHCl_3):3373,2957,1708,1639,1587,1528,1467,1428,1415,1345,1221,1184, 1155/cm.
 $[\alpha]_D = +14.4^\circ$ (CHCl_3 ,c=0.50,25°C)

25 No.1a — 167
 CDCl_3 300MHz
 30 0.92-2.00(14H,m),2.15(1H,m),2.27(2H,t,J=7.2Hz),3.04(1H,m),3.97(2H,s),5.1 5-5.30(3H,m),7.35-7.47(2H,m),7.55-
 7.63(1H,m),7.80-7.96(3H,m),8.05(1H,d,J =0.3Hz).
 IR(CHCl_3):3260,3020,2948,2868,1707,1451,1413,1319,1172,1144,1101,1071/cm.
 $[\alpha]_D = +18.2^\circ$ (CHCl_3 ,c=1.04,22°C).

35 No.1a — 168
 CDCl_3 300MHz
 40 0.90-1.88(14H,m),2.16(1H,m),2.25(2H,t,J=6.9Hz),3.00(1H,m),5.00-5.19(2H,
 m),5.35(1H,d,J=6.6Hz),7.25-
 7.30(1H,m),7.48-7.50(2H,m),7.73(1H,d,d,J=1.5 and8.1Hz),8.08-8.14(3H,m),8.93(1H,s).
 IR(CHCl_3):3466,3380,3276,3016,2957,1708,1630,1495,1458,1324,1241,1150/cm.
 $[\alpha]_D = +18.0^\circ$ (CHCl_3 ,c=1.00,22°C).

45 No.1a — 169
 CDCl_3 300MHz
 50 0.87-1.86(14H,m),2.15(1H,m),2.25(2H,t,J=6.9Hz),2.98(1H,m),3.89(3H,s),5.0
 5.22(2H,m),5.27(1H,d,J=6.9Hz),6.88(1H,d,d,J=2.1and8.4Hz),6.94(1H,d,J=2.1Hz),7.69(1H,d,d,J=1.5and7.8Hz),7.92-8.01(3H,m),8.83(1H,s).
 IR(CHCl_3):3465,3378,3276,3022,2957,1708,1630,1609,1569,1459,1433,1314, 1281,1229,1151/cm.
 $[\alpha]_D = +19.3^\circ$ (CHCl_3 ,c=1.01,21°C).
 55 0-

50 No.1a — 170
 CDCl_3 300MHz
 55 0.88-2.25(17H,m),3.04(1H,m),3.84(3H,s),3.95(3H,s),5.06-5.26(3H,m),6.87-6.
 93(2H,m),7.69(1H,d,d,J=1.6and8.2Hz),7.93-9.05(3H,m).
 IR(CHCl_3):3026,2957,1708,1630,1601,1460,1331,1243,1224,1152/cm.
 $[\alpha]_D = +17.2^\circ$ (CHCl_3 ,c=1.00,22°C).

No.1a — 171

5 CDCl_3 300MHz
 0.95-2.00(14H,m),2.16-2.32(3H,m),2.66(3H,s),3.14(1H,m),3.68(3H,s),5.09(1
 5.28(2H,m),7.45(1H,d,d,J=1.8&8.6Hz),7.75-7.84(2H,m).
 IR(CHCl_3):3374,3018,2946,2868,1725,1585,1513,1436,1340,1278,1153,1112 /cm.
 $[\alpha]_D = -14.7^\circ$ (CHCl_3 ,c=1.07,25.0°C).

No.1a — 172

10 CDCl_3 300MHz
 0.97-2.02(14H,m),2.23(1H,m),2.28(2H,t,J=7.2Hz),2.66(3H,s),3.14(1H,m),5.1
 5.22(2H,m),5.41(1H,d,J=7.2Hz),7.45(1H,d,d,J=2.1&8.7Hz),7.76(1H,d,J=8.7Hz),7.78(1H,d,J=2.1Hz).
 IR(CHCl_3):3372,3250,3022,2950,2868,1707,1514,1419,1336,1279,1154,1112 /cm.
 $[\alpha]_D = -4.1^\circ$ (CHCl_3 ,c=1.08,26.0°C) m.p.141-143°C

No.1a — 173

20 CDCl_3 300MHz
 1.15-2.42(17H,m),2.91(1H,m),5.15(1H,d,J=4.2Hz),5.25-5.40(2H,m),7.85(1H, t,J=7.2Hz),8.00(1H,t,J=8.1Hz),8.15-
 8.20(2H,m),8.67(1H,d,J=8.1Hz),8.73(1H, d,J=8.1Hz),8.83(1H,s),9.43(1H,s).
 IR(KBr):3422,3269,3046,2952,2871,1711,1617,1447,1333,1243,1161,1146/cm.
 $[\alpha]_D = -41.0^\circ$ (CH_3OH ,c=1.01,23°C).

25 No.1a — 174

25 $\text{CDCl}_3+\text{d}_6\text{-DMSO}$ 300MHz
 1.00-1.92(14H,m),2.20(2H,t,J=6.6Hz),2.35(1H,m),2.92(1H,m),5.05-5.22(2H,
 7.92(3H,m),8.31(1H,d,d,J=1.8and8.7Hz),8.59(1
 H,d,J=8.7Hz),8.73(1H,d,J=8.7Hz),9.01(1H,s),9.55(1H,d,J=1.8Hz).
 IR(KBr):3433,3252,2952,2871,1696,1578,1423,1335,1308,1219,1185,1160,1 106/cm.
 $[\alpha]_D = -19.3^\circ$ (DMSO,c=0.50,23°C).

35 No.1a — 175

35 CDCl_3 300MHz
 0.96-1.87(14H,m),2.20-2.25(3H,m),2.95(1H,m),3.66(3H,s),4.74(1H,d,J=6.6H
 5.12(2H,m),6.88(1H,d,J=1.2Hz),7.37-7.50(3H,m),7.56(1H,dd,J=8.7,1.
 7.77(3H,m),8.06(1H,s),9.44(1H,dd,J=1.2Hz).
 IR(CHCl_3):3462,3374,3026,3006,2952,2872,1724,1610,1580,1484,1452,1358, 1309,1147.
 $[\alpha]_D = +16.4^\circ$ (CHCl_3 ,c=1.05,26°C). mp.130-132°C.

40 No.1a — 176

45 $\text{CDCl}_3+\text{CD}_3\text{OD}$ 300MHz
 1.00-2.02(14H,m)2.22(1H,m),2.29(2H,t,J=6.9Hz),2.88(1H,m),5.16-5.26(2H,
 7.57(4H,m),7.69(1H,d,J=8.4Hz),7.75-7.78(2H,m),7.99(1H, s).
 IR(KBr):3254,2944,1704,1484,1453,1358,1305,1147.
 $[\alpha]_D = +13.0^\circ$ (CH_3OH ,c=1.02,24°C), mp.160-161°C

50 No.1a — 177

50 CDCl_3 300MHz
 0.96-1.88(14H,m),1.88-2.26(3H,m),2.94(1H,m),3.67(3H,s),3.87(3H,s),4.67(1
 5.14(2H,m),6.77(1H,d,J=1.5Hz),6.99-7.02(2H,m),7.53-7.57(1H, m),7.65-7.70(3H,m),8.00(1H,s),9.27(1H,brs).
 IR(CHCl_3):3426,3376,3006,2952,1724,1610,1495,1438,1357,1308,1282,1249, 1177,1147/cm.
 $[\alpha]_D = +18.1^\circ$ (CHCl_3 ,c=1.02,22°C).

No.1a — 178

5 $\text{CDCl}_3 + \text{CD}_3\text{OD}$ 300MHz
 0.96-1.91(14H,m), 2.19(1H,m), 2.27(2H,t,J=6.0Hz), 2.85(1H,m), 3.87(3H,s), 5.1 6-5.23(2H,m), 6.99-
 7.02(2H,m), 7.41(1H,m), 7.64-7.73(3H,m), 7.92(1H,m).
 IR(CHCl_3): 3366, 3261, 3004, 2954, 2873, 1705, 1611, 1496, 1458, 1438, 1304, 1286, 1253, 1180, 1149, 1128/cm.
 $[\alpha]_D = +14.6^\circ$ (CHCl_3 , $c=1.02, 22^\circ\text{C}$).

No.1a — 179
 10 CDCl₃+CD₃OD 300MHz
 0.96-1.87(14H,m),2.15-2.23(3H,m),2.93(1H,m),3.85(3H,s),5.10-5.16(2H,m),6. 90-6.93(2H,m),7.50(1H,m),7.60-
 7.65(3H,m),7.91(1H,d,J=0.9Hz).
 15 IR(CHCl₃):3369,3270,2950,2873,1719,1612,1498,1456,1440,1359,1306,1269, 1219,1146,1127/cm.
 [α]_D=+18.1° (CH₃OH,c=1.00,22°C).

No. 1a — 180

20 CDCl₃+CD₃OD 300MHz
 1.03-1.86(14H,m), 2.08-2.17(3H,m), 2.91(1H,m), 5.06-5.10(2H,m), 6.76(1H,m), 6.86-6.90(2H,m), 7.48(1H,m), 7.61-7.69(3H,m), 7.89(1H,m).
 IR(CHCl₃):3360,3259,2954,2873,1706,1612,1497,1457,1360,1306,1272,1230,1176,1148,1126/cm.
 [α]_D=+20.3° (CH₃OH, c=1.00, 22°C).

25 No.1a — 181

CDCl₃ 300MHz
 0.97-1.96(14H,m),2.15(1H,m),2.29(2H,t,J=6.9Hz),3.05(1H,m),3.81(3H,s)5.0
 5.25(2H,m),6.62(1H,s),7.47-7.54(5H,m),7.59(1H,m),7.70(1H,m),7.97(1H,m).
 IR(CHCl₃):3380,3260,3020,2946,2868,1708,1466,1388,1328,1149/cm.
 [α]_D=+32.9° (CHCl₃,c=1.07,22°C).

No.1a — 182

35 CDCl₃ 300MHz
 0.94-1.90(14H,m),2.25(2H,t,J=7.5Hz)2.30(1H,m),2.98(1H,m),3.70(3H,s)4.8 3(1H,d,J=6.6Hz),5.13-
 5.16(2H,m),6.95(1H,d,J=1.5Hz),7.11-7.23(2H,m),7.43(1H,d,J=8.1Hz),7.65(1H,d,J=8.1Hz),7.79-
 7.93(4H,m),9.08(1H,br).
 IR(CHCl₃):3458,3372,3020,3002,2946,2868,1719,1598,1452,1422,1321,1300, 1157/cm.
 40 [α]_D=-6.6° (CHCl₃,c=1.00), mp 150-151°C

No.1a — 183

45 CDCl₃ 300MHz
 0.95-1.94(1H,m),2.26(1H,m),2.28(2H,t,J=7.5Hz),3.00(1H,m),5.16-5.19(2H,
 m),5.32(1H,d,J=7.2Hz),6.93(1H,d,J=1.2Hz),7.13(1H,m),7.22(1H,dd,J=7.8,6.
 6Hz),7.42(1H,d,J=7.8Hz),7.63(1H,d,J=7.8Hz),7.76(2H,d,J=8.4Hz),7.90(2H,d, J=8.4Hz),8.95(1H,br).
 IR(CHCl₃):3458,3374,3260,3020,3002,2948,2868,1708,1598,1452,1422,130 1,1156/cm.
 [α]_D=+17.9° (CHCl₃,c=1.01,22°C).

No.1a — 184

CDCl₃ 200MHz
 0.92-2.00(14H,m),2.20(1H,m),2.34(2H,t,J=6.8Hz),3.05(1H,m),5.20-5.36(3H,
 m),7.39-7.44(2H,m),7.61-
 7.66(1H,m),7.80-7.84(1H,m),8.05(2H,d,J=8.6Hz),8.40(2H,d,J=8.6Hz).
 IR(CHCl₃):3384,3271,3019,2958,1709,1615,1599,1551,1453,1405,1344,1326, 1243,1163/cm.
 [α]_D=+18.5° (CHCl₃,c=1.00,21°C).

No.1a — 185

5 CDCl_3 300MHz
 0.89-2.20(15H,m),2.26(2H,d,t,J=2.1 and 7.2Hz),2.99(1H,m),5.08(1H,d,J=6.3Hz) z),5.09-
 5.24(2H,m),6.90(1H,d,J=1.2Hz),7.32-7.48(4H,m),7.64-7.72(3H,m),8.20(1H,d,J=1.2Hz),9.00(1H,s).
 IR(CHCl_3):3464,3375,3275,3022,2956,1707,1605,1490,1449,1356,1322,1219,1147,1131/cm.
 $[\alpha]_D=+21.6^\circ$ (CHCl_3 ,c=1.01,23°C).

No.1a — 186

10 CDCl_3 :300MHz
 1.36-2.24(14H,m),2.31(2H,t,J=7.4Hz),2.49(1H,brs),3.37(1H,m),3.67(3H,s),5.38-5.50(2H,m),7.40-7.68(9H,m).
 IR(CHCl_3):3375,1727,1602,1435,1362,1221,1207,1168,1045/cm.

15 No.1a — 187

20 CDCl_3 :300MHz
 1.10-2.25(14H,m),2.36(2H,t,J=7.2Hz),2.47(1H,m),3.37(1H,m),5.35-5.54(2H,m),5.62(1H,d,J=7.2Hz),7.39-
 7.70(9H,m).
 IR(CHCl_3):3674,3496,3376,3234,3012,2952,2880,2650,1725(sh),1709,1602,1485,1420,1360,1167/cm.
 $[\alpha]_D=+32^\circ$ (CHCl_3 ,c=1.69).

No.1a — 188

25 CDCl_3 200MHz
 0.86-1.92(14H,m),2.22(3H,m),2.36(3H,s),2.95(1H,m),3.67(3H,s),3.93(3H,s),4.81(1H,d,J=6.2Hz),5.04-
 5.20(2H,m),7.02-7.05(2H,m),7.31(1H,d,J=8.6Hz),7.39(1H,d,J=7.8Hz),7.79-7.89(3H,m).
 IR(CHCl_3):3385,3286,3029,3019,3015,2954,2877,1718,1617,1598,1567,1507,1311,1269,1153 /cm.
 $[\alpha]_D= -29.4^\circ$ (CHCl_3 ,c=1.01,25°C).

30 No.1a — 189

$[\alpha]_D=-7.7^\circ$ (CHCl_3 ,c=1.00,24°C).

35 No.1a — 190

$[\alpha]_D=-17.3^\circ$ (CHCl_3 ,c=1.00,24°C).

40 No.1a — 191

45 CDCl_3 300MHz
 0.95-2.20(14H,m),2.30(1H,m),2.36(2H,d,J=6.9Hz),3.21(1H,m),4.25(2H,s),5.07(1H,d,J=7.8Hz),5.35-
 5.48(2H,m),7.25(1H,dd,J=1.8 and 8.1Hz),7.32-7.35(2H,m),7.59(1H,d,J=8.1Hz),7.94(1H,s),8.14(1H,d,J=2.7Hz),8.23(1H,d,d,J=2.7 and 8.7Hz).
 IR(CHCl_3):3386,3026,3015,2957,2877,2633,1702,1617,1573,1530,1348,1123 /cm.
 $[\alpha]_D= -6.1^\circ$ (CHCl_3 ,c=1.01,25°C).

50 No.1a — 192

55 CDCl_3 300MHz
 0.92-2.20(14H,m),2.13(3H,m),3.23(1H,m),3.64(3H,s),3.94(3H,s),4.22(2H,s),4.54(2H,m),7.16-7.42(6H,m),7.53(1H,d,J=8.4Hz),7.94(1H,s).
 IR(CHCl_3):3389,3022,3013,2953,2877,1716,1616,1560,1485,1340,1326,1124 /cm.
 $[\alpha]_D= -15.2^\circ$ (CHCl_3 ,c=1.01,25°C).

55 No.1a — 193

CDCl_3 300MHz

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0.92-2.20(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.17(1H,m),4.22(2H,s),4.9
5.42(2H,m),7.13-7.43(6H,m),7.60(1H,d,J=8.1Hz),8.05(1H,s).
IR(CHCl₃):3511,3387,3029,3020,3011,2957,2877,2651,1698,1614,1560,1505, 1320,1280,1252,1126 /cm.
[α]_D= -0.9° (CHCl₃,c=1.00,25°C).

5

No.1b — 1

CDCl₃ 300MHz
0.98-1.56(15H,m),1.85-1.90(5H,m),2.23(1H,m),3.05(1H,m),3.66(3H,s),4.77(1
10 H,d,J=6.0Hz),5.08-
5.28(2H,m),7.46(3H,m),7.38-7.54(2H,d,J=7.5Hz),7.72(2H, d,J=8.4Hz),7.93(2H,d,J=8.4Hz).
IR(CHCl₃):3384,3028,2952,2876,1719,1595,1391,1322,1155/cm.
[α]_D= +4.0~+6.0(CHCl₃,c=1.00,23°C).
mp.96-98°C

15

No.1b — 2

CDCl₃ 300MHz
0.98-1.52(15H,m),1.85-1.90(5H,m),2.17(1H,m),3.00(1H,m),3.67(3H,s),4.05(2
10 H,s),4.83(1H,d,J=6.0Hz),5.05-
5.23(2H,m),7.14(2H,d,J=7.2Hz),7.17-7.32(5H, m),7.78(2H,d,J=8.4Hz).
20 IR(CHCl₃):3384,3026,2952,2874,1719,1595,1453,1407,1320,1180/cm.
[α]_D=+2.5° (CHCl₃,c=1.02,24°C).

No.1b — 3

25

CDCl₃ 300MHz
0.96-2.05(20H,m),2.07(1H,m),3.07(1H,m),4.04(2H,s),5.21-5.35(2H,m),5.55(1
H,d,J=6.9Hz),7.14(2H,d,J=6.6Hz),7.20-7.32(5H,m),7.78(2H,d,J=8.1H).
IR(CHCl₃):3250,3022,2950,1699,1596,1495,1453,1405,1318,1153/cm.
[α]_D= +17.1° (CHCl₃,c=1.01,25°C).
30 mp.129-131°C.

No.1b — 4

35

CDCl₃ 200MHz
0.90-2.10(15H,m),1.19(3H,s),1.20(3H,s),3.11(1H,m),5.24-5.32(2H,m),5.70(1 H,d,J=6.6Hz),7.38-7.68(4H,m),7.96-
8.04(2H,m),8.53(1H,d,J=1.4Hz).
IR(CHCl₃):3384,3246,2958,1701,1632,1595,1468,1445,1322,1216,1202,1190, 1155,1122/cm.
[α]_D=+10.8° (CHCl₃,c=0.51,23°C).

40

No.1b — 5

1.02-2.10(15H,m),1.16(6H,s),3.02(1H,m),4.09(3H,s),5.23-5.28(2H,m),5.76(1
7.63(4H,m),7.97(1H,d,J=7.8Hz),8.16(1H,s).
IR(CHCl₃):3369,2959,1702,1635,1585,1468,1454,1441,1415,1318,1222,1189, 1170,1154/cm.
45 [α]_D=+9.9° (CHCl₃,c=1.00,23°C).

No.1c — 1

50

CDCl₃ 300MHz
1.10-2.02(14H,m),2.27(2H,t,J=7.5Hz),2.50(1H,m),2.89(3H,s),3.31(1H,m),3.6
7.42(3H,m),7.50-7.59(2H,m),7.62-7.68(2H,m), 7.76-7.82(2H,m).
IR(CHCl₃):3020,2946,2868,2212,1727,1596,1495,1437,1339,1156,1135,1084 /cm.
[α]_D=-16.1° (CHCl₃,c=1.05,25.0°C).
55 mp.100-102°C

No.1c — 2

CDCl₃ 300MHz

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1.10-2.05(14H,m),2.23(2H,t,J=7.5Hz),2.53(1H,m),2.91(3H,s),3.35(1H,m),3.6
7.60(3H,m),7.90-8.08(6H,m).
IR(CHCl₃):3016,2946,2868,1728,1437,1398,1340,1160,1086 /cm.
[α]_D=-32.5° (CHCl₃,c=1.00,25.0°C).

5

No.1c — 3

CD₃OD 300MHz
1.15-2.05(14H,m),2.13(2H,t,J=7.2Hz),2.47(1H,m),2.91(3H,s),3.27(1H,m),4.9 0-5.30(2H,m),7.37-7.44(3H,m),7.53-
7.61(2H,m),7.71-7.77(2H,m),7.81-7.87(2 H,m).
IR(KBr):3412,2999,2951,2871,2217,1560,1399,1243,1159,1137,1103,1084.
[α]_D=-8.6° (CH₃OH,c=1.03,23°C).

10

No.1d — 1

CDCl₃ 300MHz
1.00-2.16(15H,m),2.36(2H,t,J=7.2Hz),3.17(1H,m),3.33(3H,s),5.23-5.43(3H,m)
8.10(6H,m),9.02(1H,brs).
IR(CHCl₃):3382,3268,3028,2954,2874,1715,1442,1400,1337,1162,1120,1089/cm.
[α]_D=+40.0° (CHCl₃,c=0.53,22°C).

15

No.1d — 2

CDCl₃ 300MHz
1.03-2.30(17H,m),3.03(1H,m) 4.03(2H,s),5.26(2H,m),5.84(1H,br),5.25-5.29(1
H,d,J=6.6Hz),6.03(1H,br),7.14(2H,d,J=8.1Hz),7.26-7.31(5H,m),7.80(2H,d,J= 8.1Hz).
IR(CHCl₃):3376,3002,2946,1669,1595,1492,1454,1406,1318,1154/cm.
[α]_D=+4.3° (CHCl₃,c=1.00,23°C).

20

No.1d — 3

CDCl₃ 300MHz
0.96-2.17(17H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m),4.04(2H,s),5.10(1H,d,J=6.
5.26(2H,m),7.14(2H,d,J=8.7Hz),7.16-7.32(5H,m),7.78(2H,d,J=8.4 Hz).
IR(CHCl₃):3260,3020,2946,1711,1596,1492,1457,1407,1318,1154/cm.
[α]_D=+9.3° (CHCl₃,c=1.09,25°C).

25

No.1d — 4

CDCl₃ 300MHz
0.95-2.14(15H,m),2.34(2H,t,J=7.2Hz),3.09(1H,m),3.30(3H,s),4.04(2H,s),5.19
5.39(2H,m),7.10-7.35(7H,m),7.81(2H,d,J=8.1Hz),9.10(1 H,brs).
IR(CHCl₃):3382,3260,3028,2952,2874,2670,1713,1595,1492,1450,1405,1338, 1160,1120,1092/cm.
[α]_D=+22.2° (CHCl₃,c=1.07,22°C).

30

No.1d — 5

CDCl₃ 300MHz
1.00-2.10(14H,m),2.30-2.39(3H,m),3.15(1H,m),3.35(3H,s),5.18-5.40(3H,m),7.
7.69(3H,m),7.88-8.15(2H,m),8.60(1H,d,J=1. 5Hz),9.06(1H,s).
IR(CHCl₃):3382,3268,3028,2954,2874,1714,1442,1402,1338,1188,1155,1 121,1072/cm.
[α]_D=+15.3° (CHCl₃,c=1.00,22°C).

35

No.1e — 1

40

CDCl₃ 300MHz
1.19-2.45(19H,m),2.58(1H,m),5.63(1H,d,J=3.0Hz),7.42-7.65(4H,m),7.94-8.03 (2H,m),8.49-8.50(1H,m).
IR(CHCl₃):3293,3024,1710,1595,1584,1467,1445,1410,1324,1222,1213,1206, 1190,1160/cm.

$[\alpha]_D = -41.1^\circ$ ($\text{CHCl}_3, c=1.01, 23^\circ\text{C}$).

No.1e — 2

5 CDCl_3 300MHz
 1.10-2.25(19H,m), 2.94(1H,m), 4.12(3H,s), 5.53(1H,d,J=7.2Hz), 7.39(1H,m), 7.5
 7.62(3H,m), 7.96(1H,d,J=7.5Hz), 8.13(1H,s).
 IR(CHCl_3): 3367, 3025, 2955, 1711, 1634, 1600, 1584, 1468, 1454, 1440, 1415, 1342, 1317, 1222, 1189, 1157/cm.
 $[\alpha]_D = +1.2^\circ$ ($\text{CHCl}_3, c=1.00, 25^\circ\text{C}$).
 0-

10 No.1f — 1
 CDCl_3 300MHz
 1.08-2.47(19H,m), 2.56(1H,m), 3.52(2H,t,J=6.6Hz), 5.59(1H,d,J=2.4Hz), 7.40-7.
 8.04(2H,m), 8.50(1H,d,J=1.8Hz).
 IR(CHCl_3): 3624, 3383, 3295, 2950, 2877, 1705, 1595, 1584, 1468, 1445, 1405, 1347, 1337, 1324, 1224, 1190, 1160/cm.
 $[\alpha]_D = -54.1^\circ$ ($\text{CHCl}_3, c=1.01, 23^\circ\text{C}$).
 66(4H,m), 7.95-

20 No.1f — 2
 CDCl_3 300MHz
 1.08-2.24(19H,m), 2.94(1H,m), 3.53(2H,t,J=6.3Hz), 4.13(3H,s), 5.47(1H,d,J=6.
 7.63(4H,m), 7.96(1H,d,J=6.3Hz), 8.14(1H,s).
 IR(CHCl_3): 3625, 3368, 3025, 3013, 2949, 2877, 1710, 1634, 1600, 1584, 1468, 1454,
 1440, 1415, 1342, 1317, 1232, 1220, 1189, 1157/cm.
 $[\alpha]_D = -5.6^\circ$ ($\text{CHCl}_3, c=1.00, 25^\circ\text{C}$).
 6Hz), 7.36-

30 No.1g — 1
 CDCl_3 200MHz
 1.17-2.34(15H,m), 3.22(1H,m), 5.10-5.16(2H,m), 5.45(1H,d,J=7.0Hz), 7.35-7.66
 8.01(2H,m), 8.51(1H,d,J=2.0Hz).
 IR(CHCl_3): 3383, 3275, 2959, 1707, 1595, 1584, 1468, 1445, 1425, 1319, 1269, 1248, 1190, 1149, 1123/cm.
 $[\alpha]_D = +64.3^\circ$ ($\text{CHCl}_3, c=1.01, 23^\circ\text{C}$).
 (4H,m), 7.95-

35 No.1g — 2
 CDCl_3 300MHz
 1.10-2.15(13H,m), 2.36(2H,t,J=7.2Hz), 3.21(1H,m), 4.09(3H,s), 5.10-5.22(2H,m)
 7.62(4H,m), 7.96(1H,d,J=7.8Hz), 8.12(1H,s).
 IR(CHCl_3): 3366, 2959, 1708, 1635, 1600, 1585, 1467, 1454, 1440, 1415, 1345, 1318, 1233, 1189, 1152/cm.
 $[\alpha]_D = +103.1^\circ$ ($\text{CHCl}_3, c=1.01, 23^\circ\text{C}$).
), 5.43(1H,d,J=7.8Hz), 7.36-

40 No.1h — 1
 CDCl_3 300MHz
 0.90-1.60(17H,m), 1.83(1H,m), 2.11(1H,m), 2.22(2H,t,J=7.2Hz), 3.07(1H,m), 5.
 7.47(1H,m), 7.50-7.60(1H,m), 7.60-7.72(2H,m), 7.88-8.12(2H,m), 8.54(1H,d,J=0.9Hz).
 IR(CHCl_3): 3382, 3274, 2926, 1707, 1464, 1442, 1318, 1266, 1188, 1153, 1121, 1105, 1071, 1019/cm.
 $[\alpha]_D = -2.8^\circ$ ($\text{CHCl}_3, c=1.01, 23^\circ\text{C}$).
 11(1H,d,J=7.2Hz), 7.38-

45 No.1i — 1
 $[\alpha]_{365} +50.9^\circ$ ($\text{CHCl}_3, c=1.01, 24^\circ\text{C}$).
 55 No.1i — 2
 CDCl_3 300MHz

0.98-1.70(11H,m),1.80-2.00(5H,m),2.19(1H,m),3.03(1H,m),3.64(2H,t,J=6.6Hz),4.05(2H,s),4.69(1H,d,J=6.6Hz),5.15(1H,m),5.25(1H,m),7.16(2H,d,J=7.2Hz)
7.32(5H,m),7.77(2H,d,J=8.4Hz).

),7.27-

IR(CHCl₃):3376,3004,2946,2316,1596,1492,1453,1407,1318,1154/cm.

5 [α]_D= +3.5° (CHCl₃,c=1.00,22°C).
mp.80.5-82.0°C

No.1j — 1

10 [α]₄₃₆=-7.5±0.5 ° (CHCl₃,c=1.05,22°C).

No.1j — 2

15 [α]_D=-9.7±0.5 ° (CHCl₃,c=1.06,22°C).

No.1j — 3

20 [α]_D=+15.0±0.5 ° (CH₃OH,c=1.06,24.5°C).
mp.101-108°C

No.1j — 4

25 [α]_D=-28.0±0.6 ° (CHCl₃,c=1.06,24°C).
mp.159-161°C

1j — 5

30 [α]_D=-12.5±0.5 ° (CHCl₃,c=1.04,23°C).
mp.99-101°C

No.1j — 6

35 CDCl₃ 300MHz
0.90-2.03(14H,m),2.20(1H,m),2.30(2H,t,J=7.3Hz),3.00(1H,m)3.68(3H,s),4.76 (1H,d,J=6.8Hz),5.13-
5.35(2H,m),7.01-7.08(4H,m),7.19-7.26(1H,m),7.37-7.46 (2H,m),7.80-7.84(2H,m).
IR(CHCl₃):3382,3280,3080,3016,2952,2900,1727,1582,1486,1432,1322,1150/cm.
[α]_D= -31.0° (CHCl₃,c=1.05,26°C).

No.1j — 7

40 CDCl₃ 300MHz
0.91-2.09(14H,m),2.15(1H,m),2.35(2H,t,J=7.5Hz),3.01(1H,m),5.17(1H,d,J=6. 8Hz),5.21-5.34(2H,m),7.01-
7.08(4H,m),7.15-7.27(1H,m),7.37-7.43(2H,m),7.80-7.85(2H,m).
IR(CHCl₃):3474,3386,3270,3024,2958,2900,2675,1711,1584,1488,1420,1323, 1298,1150/cm.
45 [α]_D= -13.4° (CHCl₃,c=1.01,26°C).

No.1j — 8

50 CDCl₃ 300MHz
0.95-2.14(13H,m),2.30(2H,t,J=7.5Hz),2.36(1H,m),2.84(1H,m),2.91(1J=4.8Hz),3.66(3H,s),5.33-5.52(2H,m),6.82-
6.87(1H,m),6.93-7.00(2H,m),7.09-7.15(4H, m),7.28-7.36(2H,m),7.54-7.59(1H,m).
IR(CHCl₃):3350,3010,2950,2880,1728,1603,1582,1489,1461,1438,1360,1160 /cm.
[α]_D= +75.1° (CHCl₃,c=1.13,26°C).

55 No.1j — 9

CDCl₃ 300MHz
0.95-2.03(14H,m),2.20(1H,m),2.29(2H,t,J=7.5Hz),3.06(1H,m),3.68(3H,s),4.9 8(1H,d,J=7.4Hz),5.14-

5.34(2H,m), 7.46-7.54(2H,m), 7.60-7.68(1H,m), 7.75-7.8 0(2H,m), 7.88-7.92(2H,m), 7.99-8.03(2H,m).
 IR(CHCl₃):3384,3280,3020,2960,2888,1727,1662,1600,1316,1273,1163/cm.
 [α]_D= -41.0° (CHCl₃,c=1.17,26°C).

5 No.1j — 10

CDCl₃+CD₃OD 300MHz
 0.94-2.08(14H,m), 2.21(1H,m), 2.34(2H,t,J=6.2Hz), 3.04(1H,m), 5.21-5.35(2H,
 7.58(2H,m), 7.64-7.68(1H,m), 7.79-8.06(6H,m).
 10 IR(CHCl₃):3475,3370,3250,3018,2956,2976,2650,1709,1662,1595,1445,1420, 1395,1317,1274,1163/cm.
 [α]_D= -17.1° (CHCl₃,c=1.13,25°C).

No.1j — 11

15 CDCl₃ 300MHz
 1.06-1.98(14H,m), 2.24-2.29(3H,m), 3.13(1H,m), 3.66(3H,s), 5.10-5.24(2H,m), 5.
 7.49(3H,m), 7.59-7.64(3H,m), 7.80-7.83(2H,m), 8.08-8. 11(1H,m).
 IR(CHCl₃):3302,3012,2948,2905,1727,1661,1593,1435,1332,1312,1287,1271, 1165/cm.
 [α]_D= +15.6° (CHCl₃,c=1.03,26°C).

20 No.1j — 12

25 CDCl₃ 300MHz
 1.08-1.98(14H,m), 2.23(1H,m), 2.33(2H,t,J=7.5Hz), 3.16(1H,m), 5.18-5.26(2H,
 7.49(3H,m), 7.60-7.64(3H,m), 7.80-7.83(2H,m), 8.09-8.12(1H,m).
 IR(CHCl₃):3325,3022,2956,2872,2680,1708,1662,1603,1598,1425,1340,1316, 1288,1271,1165/cm.
 [α]_D= +9.7° (CHCl₃,c=0.52,25°C).

No.1j — 13

30 CDCl₃ 300MHz
 0.95-2.00(14H,m), 2.20(1H,m), 2.27(2H,t,J=6.3Hz), 3.03(1H,m), 3.67(3H,s), 4.9
 5.31(2H,m), 7.47-7.55(2H,m), 7.60-7.69(2H,m), 7.76-7.8 1(2H,m), 7.96-8.05(1H,m), 8.08-8.14(1H,m), 8.27-
 8.28(1H,m).
 35 IR(CHCl₃):3674,3538,3376,3276,3012,2948,2860,1726,1662,1595,1440,1335, 1317,1297,1274,1166,1150/cm.
 [α]_D=+10.2° (CHCl₃,c=1.00,25°C).

No.1j — 14

40 CDCl₃ 300MHz
 0.93-2.08(14H,m), 2.21(1H,m), 2.32(2H,t,J=6.3Hz), 3.00(1H,m), 5.20-5.36(2H,
 7.55(2H,m), 7.63-7.71(2H,m), 7.77-7.81(2H,m), 7. 99-8.04(1H,m), 8.10-8.18(1H,m), 8.32-8.36(1H,m).
 IR(CHCl₃):3674,3480,3374,3258,3012,2950,2875,2650,1709,1662,1598,1418, 1335,1317,1274,1143/cm.
 [α]_D=+61.0° (CHCl₃,c=1.19,25°C).

45 No.1j — 15

CDCl₃ 300MHz
 0.90-2.00(14H,m)2.19(1H,m)2.30(2H,t,J=7.3Hz), 3.01(1H,m), 3.67(3H,s), 4.8
 5.34(2H,m), 7.36-7.39(3H,m), 7.53-7.57(2H,m), 7.62-7.6 6(2H,m), 7.83-7.88(2H,m).
 IR(CHCl₃):3376,3276,3010,2948,2868,2212,1727,1597,1500,1437,1325,1161/cm.
 [α]_D=-7.2° (CHCl₃,c=1.00,26°C).

No.1j — 16

55 CDCl₃ 300MHz
 0.93-2.03(14H,m), 2.15(1H,m), 2.36(2H,t,J=7.5Hz), 3.05(1H,m), 5.20-5.40(3H,
 7.66(4H,m), 7.84-7.88(2H,m). m), 7.36-7.39(3H,m), 7.55-

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IR(CHCl₃):3470,3376,3260,3012,2950,2868,2675,2212,1708,1596,1503,1416, 1396,1322,1160.

[α]_D=-22.4° (CHCl₃,c=1.00,26°C).

No.1j — 17

5 CDCl₃ 300MHz
1.00-1.60(9H,m)1.79-1.89(5H,m)2.17(1H,brs),2.23(2H,t,J=7.2Hz),3.03(1H,
5.23(2H,m),5.49(1H,d,J=6.6Hz),7.40(1H,t,J=7.4Hz),7.53(1H,t,J=7.2Hz),7.60-7.68(2H,m),7.98-
8.03(2H,m),8.55(1H,d,J=1.5Hz).
10 IR(CHCl₃):3516,3384,3270,2666,1708,1632,1595,1584,1467,1445,1425,1374, 1345,1321,1269,1248,1218/cm.
[α]_D= -7.8°(CHCl₃,c=1.01,22°C).

No.1j — 18

15 CDCl₃ 300MHz
0.90-2.03(14H,m),2.19(1H,m),2.30(2H,t,J=7.5Hz),3.00(1H,m),3.67(3H,s),4.8
5.35(2H,m),6.99-7.04(2H,m),7.16-7.22(2H,m),7.34-7.49(4H,m),7.57-7.61(1H,m).
IR(CHCl₃):3376,3276,3012,2948,2875,1727,1583,1488,1471,1432,1330,1311, 1150/cm.
[α]_D=+54.0° (CHCl₃,c=0.99,25°C).

20 No.1j — 19

CDCl₃ 300MHz
0.91-2.09(14H,m),2.15(1H,m),2.34(2H,t,J=7.5Hz),3.01(1H,m),5.16(1H,d,J=6.
7.08(2H,m),7.15-7.25(2H,m),7.35-7.53(4H,m),7.59-7.65(1H,m).
IR(CHCl₃):3470,3376,3260,3012,2950,2875,2640,1708,1583,1488,1471,1430, 1335,1305,1149/cm.
[α]_D= -21.0° (CHCl₃,c=1.30,25°C).

No.1j — 20

30 CDCl₃ 300MHz
1.17(1H,m),1.26-1.34(2H,m),1.54-2.24(11H,m),2.31(2H,t,J=7.4Hz),2.48(1H,
5.50(2H,m),7.39-7.68(9H,m).
IR(CHCl₃):3377,1727,1601,1435,1362,1168/cm.

35 No. 1j — 21

CDCl₃ 300MHz
1.10-2.25(14H,m),2.36(2H,t,J=7.2Hz),2.47(1H,m),2.89(1H,m),5.35-5.53(2H,
7.71(9H,m).
IR(CHCl₃):3674,3496,3374,3234,3010,2952,2870,2640,1730(sh),1710,1605,1485,1425,1360,1167/cm.
[α]_D=-43.0° (CHCl₃,c=1.01,25°C).

No.1j — 22

45 CDCl₃ 300MHz
0.98-1.95(14H,m),2.25-2.31(3H,m),2.95(1H,m),5.19-5.30(2H,m),5.33(1H,d,J
=3.9Hz),6.58(1H,d,J=7.5Hz),6.80(1H,t,J=7.5Hz),6.99-7.05(1H,m),7.44-7.53(6H,m),7.60-7.73(9H,m),7.94-
7.73(3H,m),8.23-8.26(2H,m),10.66(1H,s).
50 IR(CHCl₃):3475,3372,3260,3008,2952,2868,2722,1725,1710(sh),1663,1590,1
571,1525,1448,1437,1345,1314,1161,1112/cm.
[α]_D=+12.9° (CHCl₃,c=0.12,23°C).

No.1j — 23

55 CDCl₃ 300MHz
0.94-1.94(14H,m),2.23-2.30(3H,m),2.98(1H,m),3.68(3H,s),5.09(1H,d,J=6.2H
7.22(1H,m),7.34-7.42(2H,m),7.68-7.73(2H,m),7.89-8.03(4H,m),8.51(1H,s).
z),5.15-5.28(2H,m),7.14-

IR(CHCl₃):3372,3275,1724,1673,1599,1438,1320,1161/cm.

[α]_D= +17.0° (CHCl₃,c=1.38,25°C).

No.1j — 24

5

CDCl₃+CD₃OD 300MHz

0.96-2.05(14H,m),2.25-2.34(3H,m),2.92(1H,m),5.16-5.34(2H,m),7.14-7.22(1
7.42(2H,m),7.70(2H,d,J=7.6Hz),7.92-8.05(4H,m).

10

IR(CHCl₃):3616,3426,3375,3010,2950,2828,2645,1708,1672,1599,1439,1323, 1161/cm.

[α]_D=+21.0° (CH₃OH,c=1.00,22°C).

No.1j — 25

15

CDCl₃ 300MHz

1.03(1H,m),1.18-2.01(13H,m),2.20(1H,brs),2.27(2H,t,J=7.4Hz),3.08(1H,m),3.
66(3H,s),5.11(1H,d,J=6.6Hz),5.14-

5.34(2H,m),7.54-7.62(3H,m),8.04-8.32(6H, m).

IR(CHCl₃):3384,3278,1726,1605,1484,1448,1331,1161/cm.

No.1j — 26

20

CDCl₃+CD₃OD 300MHz

1.03-2.10(14H,m),2.22(1H,m),2.31(2H,t,J=7.5Hz),2.98(1H,m),5.23-5.38(2H,
8.08(2H,m),8.14-8.18(2H,m),8.28-8.31(2H,m).

25

IR(Nujol):3260,2720,2660,1711,1545,1460,1317,1163/cm.

[α]_D=+15.8° (CH₃OH,c=1.01,22°C).

No.1j — 27

30

[α]_D= +16.7° (CHCl₃,c=1.00,23°C).

No.1j — 28

35

CDCl₃ 300MHz

1.01(1H,m),1.14-1.29(2H,m),1.46-2.19(11H,m),2.33(2H,t,J=7.2Hz),2.41(1H,
3.21(5H,m),3.68(3H,s),3.73-3.76(4H,m),4.37(1H,d,J=7.2Hz),5.35-5. 45(2H,m).

IR(CHCl₃):3392,1727,1435,1335,1148/cm.

[α]_D= +10.7°(CHCl₃,c=1.39,26°C).

brs),3.18-

No.1j — 29

40

CDCl₃ 300MHz

1.00(1H,m),1.20-1.29(2H,m),1.48-2.25(12H,m),2.37(2H,t,J=7.2Hz),,3.17-3.2
3.79(4H,m),4.79(1H,d,J=7.8Hz),5.34-5.54(2H,m).

IR(CHCl₃):3470,3390,3270,2675,1709,1455,1420,1315,1147/cm.

45

[α]_D= +16.8°(CHCl₃,c=1.42,26°C).

2(5H,m),3.74-

No.1k — 1

50

[α]_D= -25.4° (CHCl₃,c=1.08,23°C).

No.1k — 2

55

CDCl₃ 200MHz

1.07-2.28(14H,m),2.32(2H,t,J=7.4Hz),2.63(1H,m),3.63(3H,s),3.93(1H,m),5.3
5.52(2H,m),6.35(1H,d,J=7.0Hz),7.48-7.60(3H,m),7.88-8.02(6H,m).

IR(CHCl₃):3438,3002,2946,2868,1727,1652,1514,1485,1363,1310,1245,1154 /cm.

[α]_D=-80.4° (CHCl₃,c=1.01,24.0°C).

0-

No.1k — 3

5 CDCl_3 200MHz
 1.10-2.26(14H,m),2.37(2H,t,J=7.2Hz),2.60(1H,m),3.93(1H,m),5.30-5.50(2H,
 7.58(3H,m),7.88-7.99(6H,m).
 IR(CHCl_3):3446,3004,2952,2874,1709,1652,1515,1485,1305,1153 /cm.
 $[\alpha]_D=-96.4^\circ$ (CHCl_3 ,c=1.05,23.0°C).

No.1k — 4

10 CDCl_3 300MHz
 1.05-2.17(14H,m),2.38(2H,t,J=7.2Hz),2.52(1H,m),3.81(1H,m),5.33-5.50(2H,
 7.53(3H,m),7.57-7.62(6H,m).
 IR(CHCl_3):3420,3250,3008,2948,2870,2660,2208,1735(sh),1705,1640,1500/cm.
 $[\alpha]_D=-21.9\pm0.6^\circ$ (CHCl_3 ,c=1.02,22°C).

No.1k — 5

20 CDCl_3 300MHz
 1.05-2.14(14H,m),2.38(2H,t,J=7.2Hz),2.51(1H,m),3.81(1H,m),5.34-5.46(2H,
 7.56(5H,m).
 IR(CHCl_3):3422,3250,3010,2950,2876,2664,2558,2210,1735(sh),1705,1645,1502,1441,1410,1307,1276/cm.
 $[\alpha]_D=-63.6\pm1.9^\circ$ (CHCl_3 ,c=0.56,22°C).

25 No.1k — 6

30 CDCl_3 300MHz
 1.04-2.24(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.30-5.43(2H,
 7.49(3H,m),7.73-7.77(2H,m).
 IR(CHCl_3):3447,3011,2955,1708,1653,1603,1578,1515,1486,1457,1312,1211,1164/cm.
 $[\alpha]_D=-60.3^\circ$ (CHCl_3 ,c=1.00,23°C).

No.1k — 7

35 CDCl_3 300MHz
 1.04-2.22(14H,m),2.36(2H,t,J=7.2Hz),2.57(1H,m),3.87(1H,m),5.30-5.44(2H,
 7.40(7H,m),7.73(2H,d,J=7.5Hz).
 IR(CHCl_3):3449,3013,2955,1739,1708,1651,1609,1588,1522,1487,1243,1227,1169/cm.
 $[\alpha]_D=-60.2^\circ$ (CHCl_3 ,c=0.92,23°C).

40 No.1k — 8

45 CDCl_3 300MHz
 1.04-2.25(14H,m),2.34(2H,t,J=7.5Hz),2.56(1H,m),3.87(1H,m),5.30-5.44(2H,
 6.94(6H,m),7.69(2H,d,J=8.7Hz).
 IR(CHCl_3):3599,3455,3012,2955,1711,1644,1604,1577,1524,1507,1492,1290,1236,1197,1170/cm.
 $[\alpha]_D=-47.7^\circ$ (CHCl_3 ,c=1.01,22°C).

50 No.1k — 9

55 CDCl_3 300MHz
 1.04-2.20(14H,m),2.31(3H,s),2.36(2H,t,J=7.2Hz),2.56(1H,m),3.86(1H,m),5.3
 5.43(2H,m),6.16(1H,d,J=7.2Hz),7.00-7.11(6H,m),7.74(2H,d,J=8.7Hz).
 IR(CHCl_3):3450,3010,2955,1750,1709,1651,1609,1596,1523,1489,1370,1247,1227,1183/cm.
 $[\alpha]_D=-54.7^\circ$ (CHCl_3 ,c=1.01,22°C).

0-

No.1k — 10

5 CDCl_3 300MHz
 1.04-2.22(14H,m),2.35(2H,t,J=7.2Hz),2.56(1H,m),3.82(3H,s),3.86(1H,m),5.3
 43(2H,m),6.17(1H,d,J=6.9Hz),6.89-7.01(6H,m),7.70(2H,d,J=8.7Hz).
 IR(CHCl_3):3023,2955,1742,1708,1649,1613,1602,1577,1522,1507,1490,1227, 1210,1170/cm.
 $[\alpha]_D=-58.1^\circ$ (CHCl_3 ,c=1.01,22°C).

0.5-

10 No.1m — 1
 CDCl_3 300MHz
 1.06-2.25(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.91(1H,m),5.3
 5.47(2H,m),6.24(1H,d,J=6.9Hz),7.35-7.38(3H,m),7.53-7.60(4H,m),7.75-7.7 8(2H,m).
 IR(CHCl_3):3438,3008,2946,2875,2212,1732,1650,1605,1519,1496/cm.
 $[\alpha]_D=+76^\circ$ (CHCl_3 ,c=1.39,24°C)

3-

15 No.1m — 2
 CDCl_3 300MHz
 20 1.05-2.20(14H,m),2.36(2H,t,J=6.2Hz),2.59(1H,m),3.89(1H,m),5.29-5.48(2H,
 7.38(3H,m),7.52-7.60(4H,m),7.73-7.77(2H,m).
 IR(CHCl_3):3444,3012,2952,2874,2664,2214,1718(sh),1708,1649,1605,1520,1 498/cm.
 $[\alpha]_D=+81.4^\circ$ (CHCl_3 ,c=1.01,23°C)

25 No.1m — 3
 CDCl_3 300MHz
 20 1.06-2.23(14H,m),2.32(2H,t,J=7.0Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.3
 5.50(2H,m),6.28(1H,d,J=7.0Hz),7.38-7.51(3H,m),7.58-7.67(4H,m),7.83-7.8 8(2H,m).
 IR(CHCl_3):3438,3008,2948,2875,1783(w),1727,1650,1608,1580(w),1523,150 1,1482/cm.
 $[\alpha]_D=+59^\circ$ (CHCl_3 ,c=1.49,25°C)

0-

35 No.1m — 4
 CDCl_3 300MHz
 35 1.08-2.25(14H,m),2.36(2H,t,J=7.4Hz),2.59(1H,m),3.91(1H,m),5.28-5.48(3H,
 7.50(3H,m),7.61-7.67(4H,m),7.81-7.86(2H,m).
 IR(CHCl_3):3436,3010,2948,2868,1727,1715(sh),1649,,1615(w),1524,1502,14 82,1372/cm.
 $[\alpha]_D=+72^\circ$ (CHCl_3 ,c=0.98,25°C)

-1

40 No.1m — 5
 CDCl_3 300MHz
 45 1.09-2.20(14H,m),2.32(2H,t,J=7.2Hz),2.63(1H,m),3.63(3H,s),3.92(1H,m),5.3
 5.51(2H,m),6.35(1H,d,J=7.0Hz),7.51-7.60(3H,m),7.92-7.97(6H,m).
 IR(CHCl_3):3436,3008,2946,2875,1727,1652,1608(w),1515,1484/cm.
 $[\alpha]_D=+82^\circ$ (CHCl_3 ,c=0.99,25°C)

1-

50 No.1m — 6
 CDCl_3 300MHz
 50 1.09-2.23(14H,m),2.37(2H,t,J=7.2Hz),2.60(1H,m),3.92(1H,m),5.30-5.49(2H,
 7.55(3H,m),7.85-7.98(6H,m).
 IR(CHCl_3):3436,3010,2950,2875,2670,1727,1715(sh),1650,1605(w),1515,148 4/cm.
 $[\alpha]_D=+84^\circ$ (CHCl_3 ,c=1.54,25°C)

No.1m — 7

5 CDCl_3 300MHz
 1.03-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.64(3H,s),3.89(1H,m),5.2
 5.49(2H,m),6.16(1H,d,J=7.8Hz),6.98-7.06(4H,m),7.14-7.20(1H,m),7.34-7.4 1(2H,m),7.73-7.78(2H,m).
 IR(CHCl_3):3438,3008,2946,2868,1727,1648,1610,1586,1519,1485/cm.
 $[\alpha]_D = +54^\circ$ ($\text{CHCl}_3, c=1.29, 25^\circ\text{C}$).

No. 1m — 8

10 CDCl_3 300MHz
 1.06-2.21(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.31-5.46(2H,
 m),6.17(1H,d,J=6.9Hz),6.99-
 7.05(4H,m),7.15-7.21(1H,m),7.36-7.41(2H,m),7.72-7.75(2H,m).
 IR(CHCl_3):3436,3010,2948,2868,2675,1730(sh),1709,1647,1608,1586,1520,1485/cm.
 15 $[\alpha]_D = +56^\circ$ ($\text{CHCl}_3, c=0.97, 25^\circ\text{C}$)

No.1m — 9

CDCl₃ 300MHz
 20 1.05-2.18(14H,m),2.29-2.34(5H,m),2.59(1H,m),3.64(3H,s),3.89(1H,m),5.32-5.
 46(2H,m),6.16(1H,d,J=7.5Hz),7.00-7.11(6H,m),7.74-7.77(2H,m).
 IR(CHCl₃):3440,3010,2946,2868,1729,1649,1595,1519,1488/cm.
 [α]_D= +47° (CHCl₃,c=0.82,25°C).

25 No.1m — 10

CDCl₃ 300MHz
 1.04-2.20(14H,m), 2.31-2.39(5H,m), 2.57(1H,m), 3.87(1H,m), 5.28-5.47(2H,m), 6.17(1H,d,J=7.0Hz), 6.99-7.12(6H,m), 7.72-7.76(2H,m).
 IR(CHCl₃): 3674, 3572, 3438, 3010, 2948, 2868, 2626, 1748, 1710, 1648, 1615, 1595, 1520, 1489/cm.
 [α]_D= +51° (CHCl₃, c=0.91, 25°C)

No.1m — 11

35 CDCl₃ 300MHz
 1.04-2.16(14H,m),2.31(2H,t,J=7.2Hz),2.59(1H,m),3.63(3H,s),3.89(1H,m),5.2
 5.49(2H,m),6.24(1H,d,J=7.4Hz),6.54(1H,s),6.83-6.93(6H,m),7.69-7.73(2H, m).
 IR(CHCl₃):3674,3588,3438,3296,3010,2946,2868,1725,1646,1603,1520,1504, 1489/cm.
 [α]_D= +51° (CHCl₃,c=0.91,25°C)

40 No.1m — 12
 45 CDCl_3 300MHz
 1.04-2.21(14H,m),2.33(2H,t,J=8.0Hz),2.56(1H,m),3.87(1H,m),5.28-5.48(2H,
 m),6.23(1H,d,J=8.0Hz),6.75(1H,m),6.87-6.94(6H,m),7.66-7.71(2H,m),9.63(1 H,brs).
 IR(CHCl_3):3674,3582,3436,3275,3010,2950,2868,2675,1727,1710(sh),1643,1603,1522,1504,1490/cm.
 [α]_D= +30° (CHCl_3 ,c=0.97,25°C)

No.1m — 13

50 **CDCl₃ 300MHz**
 1.01-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.63(3H,s),3.82(3H,s),3.89
 (1H,m),5.29-
 5.48(2H,m),6.14(1H,d,J=7.0Hz),6.88-7.02(6H,m),7.70-7.74(2H, m).
 IR(CHCl₃):3442,3402,3004,2946,2868,1727,1648,1600,1518,1499/cm.
 55 [α]_D=+42° (CHCl₃,c=1.82,26°C)

No.1m — 14

5 CDCl_3 300MHz
 1.05-2.21(14H,m),2.35(2H,t,J=7.2Hz),2.55(1H,m),3.82(3H,s),3.88(1H,m),5.2
 5.46(2H,m),6.16(1H,d,J=7.2Hz),6.88-7.02(6H,m),7.68-7.73(2H,m).
 IR(CHCl_3):3438,3012,2948,2870,2650,1730(sh),1709,1647,1615(sh),1601,15 19,1492/cm.
 $[\alpha]_D=+64^\circ$ (CHCl_3 ,c=0.70,25°C)

7-

10 No.1m — 15
 CDCl_3 300MHz
 1.05-2.20(14H,m),2.29-2.36(5H,m),2.62(1H,m),3.63(3H,s),3.92(1H,m),5.30-5.
 50(2H,m),6.25(1H,d,J=7.2Hz),7.16-7.21(2H,m),7.59-7.64(4H,m),7.83-7.87(2 H,m).
 IR(CHCl_3):3446,3010,2946,2868,1745(sh),1728,1650,1615,1525,1507,1486/cm.
 $[\alpha]_D=+65.0^\circ$ (CHCl_3 ,c=1.02,23°C)

15 No.1m — 16
 CDCl_3 300MHz
 20 1.08-2.21(14H,m),2.34-2.40(5H,m),2.59(1H,m),3.90(1H,m),5.29-5.48(2H,m),
 6.29(1H,d,J=7.0Hz),7.18(2H,d,J=8.6Hz),7.58-7.64(4H,m),7.83(2H,d,J=8.2Hz)
 IR(CHCl_3):3438,3012,2948,2870,2622,1749,1710,1649,1610,1526,1508,1487/cm.
 25 $[\alpha]_D=+66^\circ$ (CHCl_3 ,c=1.21,24°C)

20 No.1m — 17
 30 CDCl_3 300MHz
 1.06-2.19(14H,m),2.32(2H,t,J=7.2Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.3
 5.50(2H,m),6.32(1H,d,J=7.6Hz),6.41(1H,s),6.94(2H,d,J=9.0Hz),7.47(2H,d,
 J=9.0Hz),7.58(2H,d,J=8.6Hz),7.81(2H,d,J=8.6Hz).
 IR(CHCl_3):3580,3434,3284,3010,2946,2868,1726,1646,1606,1528,1490/cm.
 35 $[\alpha]_D=+62.4^\circ$ (CHCl_3 ,c=1.01,23°C)

0-

25 No.1m — 18
 40 $\text{CDCl}_3+\text{CD}_3\text{OD}$ 300MHz
 1.11-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.88(1H,m),5.30-5.49(2H,
 m),6.55(1H,d,J=7.0Hz),6.92(2H,d,J=8.6Hz),7.47(2H,d,J=8.6Hz),7.59(2H,d,J =8.6Hz),7.79(2H,d,J=8.2Hz).
 IR(Nujol):3398,3175,2725,1696,1635,1601,1531,1510/cm.
 $[\alpha]_D=+99.5^\circ$ (CH_3OH ,c=1.011,25°C)

45 No.1m — 19
 50 CDCl_3 300MHz
 1.05-2.20(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.86(3H,s),3.94
 5.50(2H,m),6.24(1H,d,J=7.0Hz),6.99(2H,d,J=8.6Hz),7.53-7.63(4 H,m),7.82(2H,d,J=8.6Hz).
 IR(CHCl_3):3440,3006,2946,2875,1726,1649,1606,1527,1510,1489/cm.
 $[\alpha]_D=+68^\circ$ (CHCl_3 ,c=0.88,26°C)

No.1m — 20

55 CDCl_3 300MHz
 1.09-2.20(14H,m),2.35(2H,t,J=7.3Hz),2.58(1H,m),3.85(3H,s),3.89(1H,m),5.2
 5.48(2H,m),6.35(1H,d,J=7.2Hz),6.98(2H,d,J=8.8Hz),7.51-7.61(4H,m),7.81(2H,d,J=8.4Hz),8.34(1H,brs).
 IR(CHCl_3):3446,3012,2952,2881,2640,1730(sh),1707,1647,1606,1527,1510,1 489/cm.

8-

$[\alpha]_D = +83^\circ$ ($\text{CHCl}_3, c=1.00, 25^\circ\text{C}$).

No.1m — 21

5 CDCl_3 300MHz
 1.05-2.14(14H,m), 2.37(2H,t,J=7.2Hz), 2.51(1H,m), 3.81(1H,m), 5.34-5.46(2H,
 7.48(3H,m), 7.53-7.55(2H,m).
 IR(CHCl_3): 3420, 3250, 3008, 2948, 2870, 2660, 2210, 1735(sh), 1705, 1645, 1503, 1441, 1409/cm.
 $[\alpha]_D = +59.2 \pm 1.0^\circ$ ($\text{CHCl}_3, c=1.023, 22^\circ\text{C}$).

10 No.1m — 22
 15 CDCl_3 300MHz
 1.05-2.17(14H,m), 2.37(2H,t,J=7.2Hz), 2.52(1H,m), 3.82(1H,m), 5.32-5.47(2H,
 7.53(3H,m), 7.58-7.61(6H,m), 9.11(1H,brs).
 IR(CHCl_3): 3420, 3250, 3010, 2984, 2870, 2675, 2208, 1730(sh), 1705, 1640, 1500, 1406/cm.
 $[\alpha]_D = +57.4^\circ$ ($\text{CHCl}_3, c=1.83, 23^\circ\text{C}$).

No.1m — 23

20 CDCl_3 300MHz
 1.05-2.18(14H,m), 2.31(2H,t,J=7.5Hz), 2.60(1H,m), 3.63(3H,s), 3.90(1H,m), 5.3
 5.47(2H,m), 6.22(1H,d,J=6.9Hz), 7.40-7.49(3H,m), 7.76-7.79(2H,m).
 IR(CHCl_3): 3438, 3008, 2946, 2868, 1727, 1651, 1603, 1585, 1512, 1484/cm.
 $[\alpha]_D = +52^\circ$ ($\text{CHCl}_3, c=1.49, 25^\circ\text{C}$).

No.1m — 24

30 CDCl_3 300MHz
 1.05-2.21(14H,m), 2.36(2H,t,J=7.2Hz), 2.57(1H,m), 3.89(1H,m), 5.28-5.47(2H,
 7.55(3H,m), 7.73-7.79(2H,m).
 IR(CHCl_3): 3676, 3572, 3436, 3010, 2948, 2875, 1730(sh), 1709, 1650, 1600, 1580, 1514, 1484/cm.
 $[\alpha]_D = +57^\circ$ ($\text{CHCl}_3, c=0.97, 26^\circ\text{C}$).

35 No.1m — 25

40 CDCl_3 300MHz
 1.04-2.18(14H,m), 2.28-2.35(5H,m), 2.59(1H,m), 3.62(3H,s), 3.88(1H,m), 5.29-5.
 49(2H,m), 6.20(1H,d,J=7.2Hz), 7.15(2H,d,J=9.0Hz), 7.80(2H,d,J=8.8Hz).
 IR(CHCl_3): 3436, 3010, 2946, 2868, 1752, 1727, 1653, 1602, 1519, 1491/cm.
 $[\alpha]_D = +53^\circ$ ($\text{CHCl}_3, c=1.63, 25^\circ\text{C}$).

No.1m — 26

45 CDCl_3 300MHz
 1.05-2.19(14H,m), 2.32-2.38(5H,m), 2.56(1H,m), 3.88(1H,m), 5.29-5.47(2H,m),
 6.25(1H,d,J=7.4Hz), 7.15(2H,d,J=9.0Hz), 7.78(2H,d,J=8.6Hz).
 IR(CHCl_3): 3434, 3016, 3006, 2948, 2880, 2622, 1752, 1730(sh), 1710, 1651, 1605, 1520, 1492/cm.
 $[\alpha]_D = +58^\circ$ ($\text{CHCl}_3, c=3.68, 24^\circ\text{C}$)

50 No.1m — 27

55 CDCl_3 300MHz
 1.05-2.16(14H,m), 2.30(2H,t,J=7.5Hz), 2.57(1H,m), 3.62(3H,s), 3.87(1H,m), 5.2
 5.47(2H,m), 6.32(1H,d,J=7.4Hz), 6.85(2H,d,J=8.6Hz), 7.62(2H,d,J=8.6Hz), 8.35(1H,s).
 IR(CHCl_3): 3580, 3450, 3216, 3010, 2946, 2868, 1726, 1640, 1608, 1584, 1528, 1496/cm.
 $[\alpha]_D = +56.2^\circ$ ($\text{CHCl}_3, c=0.713, 23^\circ\text{C}$)

No.1m — 28

5 CDCl_3 200MHz
 1.10-2.25(14H,m),2.32(2H,t,J=7.2Hz),2.55(1H,brs),3.82-3.93(1H,m),5.27-5.4
 7(2H,m),6.25(1H,d,J=7.4Hz),6.86(2H,d,J=8.6Hz),7.62(2H,d,J=8.6Hz).
 IR(CHCl_3):3438,3242,2675,1730(sh),1708,1639,1607,1585/cm.

No.1m — 29

10 CDCl_3 300MHz
 1.05-2.18(14H,m),2.31(2H,t,J=7.4Hz),2.58(1H,m),3.64(3H,s),3.85(3H,s),3.89
 5.48(2H,m),6.14(1H,d,J=6.6Hz),6.92(2H,d,J=9.0Hz),7.74(2H,d,J=9.0Hz).
 IR(CHCl_3):3445,3008,2946,2868,1727,1646,1606,1578,1523,1493/cm.
 $[\alpha]_D = +53^\circ$ (CHCl_3 ,c=2.03,24°C)

15 No.1m — 30
 CDCl_3 300MHz
 1.04-2.21(14H,m),2.36(2H,t,J=7.3Hz),2.56(1H,m),3.85(3H,s),3.88(1H,m),5.
 20 5.46(2H,m),6.15(1H,d,J=7.2Hz),6.92(2H,d,J=8.6Hz),7.73(2H,d,J=8.6Hz)
 IR(CHCl_3):3440,3010,2950,2870,2645,1727,1710(sh),1646,1606,1575,1524,1494/cm.
 $[\alpha]_D = +62^\circ$ (CHCl_3 ,c=1.10,24°C).

25 No.1m — 31
 $\text{CDCl}_3+\text{CD}_3\text{OD}$ 300MHz
 1.16-2.20(14H,m),2.31(2H,t,J=7.2Hz),2.59(1H,m),3.85(1H,m),5.31-5.51(2H,
 7.42(2H,m),7.68-7.93(6H,m).
 IR(Nujol):3344,3175,2715,2675,1699,1631,1566/cm.
 30 $[\alpha]_D = +67^\circ$ (CH_3OH ,c=1.01,24°C).

No.1m — 32

35 CDCl_3 200MHz
 1.09-2.23(14H,m),2.33(2H,t,J=7.1Hz),2.57(1H,brs),3.40-3.93(9H,m),4.41(1H,
 5.48(2H,m),6.44(1H,d,J=7.4Hz),7.43(2H,d,J=8.2Hz),7.80(2H,d,J=7.8Hz).
 IR(CHCl_3):3434,3354,1726,1720(sh),1660(sh),1626/cm.

40 No.1m — 33
 CDCl_3 200MHz
 1.14-2.25(14H,m),2.37(2H,t,J=7.3Hz),2.64(1H,brs),3.93-4.01(1H,m),5.30-5.51(2H,m),6.47(1H,d,J=7.4Hz),7.63-
 7.74(2H,m),7.79(2H,s),7.89-7.93(1H,m),8.00(1H,dd,J=2.3,1.0Hz),8.30(1H,d,J=1.0Hz),8.65-8.73(2H,m).
 IR(CHCl_3):3450,2675,1728,1707,1649,1528,1509/cm.
 45 $[\alpha]_D = +82.8 \pm 1.2^\circ$ (CHCl_3 ,c=1.01,23°C).

No.2a-1

50 $[\alpha]_D = +69.0^\circ$ (MeOH ,c=1.01,25°C)

55 No.2a-2
 CDCl_3 300MHz
 0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.30(1H,m),5.35-
 5.52(2H,m),6.32(1H,d,J=8.7Hz),7.36-7.49(3H,m),7.58-7.62(2H,m),7.66 and 7.80(each 2H,each d,J=8.7Hz).
 IR(CHCl_3):3116,3014,2925,2870,2663,1708,1651,1610,1524,1504,1484,1472/cm.
 $[\alpha]_D = +64.1^\circ$ (MeOH ,c=1.02,25°C).

No.2a-3

 $[\alpha]_D = +76.6^\circ$ (MeOH, c=1.18, 26°C).

5 No.2a-4

CDCl_3 300MHz
 0.99(1H,d,J=10.2Hz),1.15 and 1.25(each 3H,each s),1.64-2.51(14H,m),4.3 1(1H,m),5.36-
 5.53(2H,m),6.33(1H,d,J=8.4z),7.50-7.56(3H,m),7.85-7.98(6H, m).
 10 IR(CHCl_3):3515,3452,3014,2925,2870,1740,1708,1654,1517,1486,1470 /cm.
 $[\alpha]_D = +79.5^\circ$ (MeOH, c=1.18, 22°C).

No.2a-5

15 CD_3OD 300MHz
 0.98(1H,d,J=9.9Hz),1.18 and 1.25(each 3H,each s),1.56-1.71(3H,m),1.98-2. 40(11H,m),4.17(1H,m),5.41-
 5.52(2H,m),7.52-7.61(3H,m),7.91-8.01(6H,m).
 IR(KBr):3416,3063,2983,2921,2869,1704,1643,1566,1518,1488,1408 /cm.
 $[\alpha]_D = +62.0^\circ$ (MeOH, c=1.00, 25°C).

20 No.2a-6

 $[\alpha]_D = +64.1^\circ$ (MeOH, c=1.01, 25°C).

25 No.2a-7

 $[\alpha]_D = +65.3^\circ$ (MeOH, c=0.99, 25°C).

No.2a-8

30 $[\alpha]_D = +74.0^\circ$ (MeOH, c=1.01, 25°C).

No.2a-9

35 $[\alpha]_D = +71.0^\circ$ (MeOH, c=1.10, 25°C).

No.2a-10

40 $[\alpha]_D = +74.7^\circ$ (MeOH, c=1.00, 25°C).
 40 No.2a-11

 $[\alpha]_D = +72.1^\circ$ (MeOH, c=1.00, 25°C).

45 No.2a-12

$[\alpha]_D = +53.1^\circ$ (CHCl_3 , c=1.01, 26°C).
 m.p. 155.0-156.0°C

50 No.2a-13

CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.18 and 1.25(each 3H,each s),1.63-2.40(14H,m),4.3 0(1H,m),5.46-
 5.58(2H,m),6.44(1H,d,J=8.4Hz),7.49 and 7.77(each 2H,each d,J=8.7Hz),7.54(1H,s).
 55 IR(CHCl_3):3689,3378,3028,3014,2924,1713,1652,1602,1522,1496 /cm.
 $[\alpha]_D = +78.3^\circ$ (MeOH, c=0.84, 25°C).
 m.p. 205.0-206.0°C

No.2a-14

$[\alpha]_D = +72.5^\circ$ (MeOH, c=1.07, 25°C).

5 No.2a-15

CDCl₃ 300MHz
 0.99(1H,d,J=9.9Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),4.27(1H,m),5.30-
 5.50(2H,m),6.29(1H,d,J=9.0Hz),7.11 and 7.20(each 1H,each d, J=16.2Hz),7.29-7.55(5H,m),7.57 and 7.72(each
 10 2H,each d,J=8.7Hz).
 IR(CHCl₃):3453,3083,3022,3013,2925,2870,1708,1650,1607,1560,1522,1496 /cm.
 [α]_D= +72.3° (MeOH, c=1.00, 27°C).
 m.p.115.0-117.0°C

15 No.2a-16

CDCl₃ 300MHz
 0.92(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.50-2.48(14H,m),3.6 2(3H,s),4.29(1H,m),5.30-
 5.50(2H,m),6.20(1H,d,J=8.7Hz),6.59 and 6.68 (each 1H,each d,J=12.3Hz),7.23(5H,s),7.29 and 7.59(each
 20 2H,each d,J=8. 1Hz).
 IR(CHCl₃):3453,3024,3016,2924,2870,1730,1651,1607,1520,1495 /cm.
 [α]_D= +56.8° (MeOH, c=1.04, 24°C).

No.2a-17

25 CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.50-2.38(14H,m),4.2 6(1H,m),5.30-
 5.50(2H,m),6.23(1H,d,J=8.4Hz),6.59 and 6.70(each 1H,each d,J=12.3Hz),7.23(5H,s),7.30 and 7.57(each 2H,each
 d,J=8.7Hz).
 30 IR(CHCl₃):3452,3081,3019,3014,2925,2870,2665,1708,1650,1607,1521,1495 /cm.
 [α]_D= +61.6° (MeOH, c=1.00, 27°C).

No.2a-18

35 CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each,s),1.50-2.50(14H,m),3.61 (3H,s),4.31(1H,m),5.35-
 5.51(2H,m),6.33(1H,d,J=8.4Hz),7.48-7.64(4H,m),7.7 9-7.83(2H,m),7.91(1H,dt,J=1.5 and 7.8Hz),8.01(1H,dt,J=1.5
 and 7.8Hz),8. 13(1H,t,J=1.5Hz).
 IR(CHCl₃):3450,3026,3013,2925,2870,1730,1659,1600,1510 /cm.
 40 [α]_D= +56.0° (MeOH, c=1.01, 25°C).

No.2a-19

45 CDCl₃ 300MHz
 0.95(1H,d,J=9.9Hz),1.14 and 1.21(each 3H,each s),1.53-2.60(14H,m),4.25(1H,m),5.35-
 5.64(2H,m),7.21(1H,d,J=7.8Hz),7.49-7.68(4H,m),7.76-7.84(3H,m) ,8.25(1H,m),8.43(1H,m).
 IR(CHCl₃):3382,3196,3025,3015,2925,2870,1725,1652,1599,1577,1521 /cm.
 [α]_D= +55.9° (MeOH, c=1.00, 25°C).

50 No.2a-20

CDCl₃ 300MHz
 0.98(1H,d,J=10.2Hz),1.13 and 1.24(each 3H,each s),1.50-2.50(14H,m),3.6 2(3H,s),4.31(1H,m),5.35-
 5.51(2H,m),6.24(1H,d,J=8.4Hz),7.40-7.52(3H,m),7. 71-7.76(2H,m).
 55 IR(CHCl₃):3453,3025,3013,2925,2870,1730,1753,1579,1514,1486 /cm.
 [α]_D= +61.2° (MeOH, c=1.04, 25°C).

No.2a-21

5 CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2 8(1H,m),5.34-
 5.51(2H,m),6.27(1H,d,J=8.7Hz),7.41-7.53(3H,m),7.71-7.74(2H, m).
 IR(CHCl₃):3452,3063,3027,3014,2925,2871,1708,1652,1578,1515,1486 /cm.
 $[\alpha]_D = +62.0^\circ$ (MeOH,c=1.01,27°C).

No.2a-22

10 $d_6\text{-DMSO}$ 300MHz
 0.86(1H,d,J=9.9Hz),1.10 and 1.16(each 3H,each s),1.42-1.52(3H,m),1.85-2. 46(11H,m),3.98(1H,m),5.32-
 5.43(2H,m),7.41(3H,m),7.88(2H,d,J=6.6Hz),8.19 (1H,d,J=6.6Hz).
 IR(KBr):3367,3060,2984,2922,2868,1634,1563,1529,1487/cm.
 $[\alpha]_D = +47.7^\circ$ (MeOH,c=1.00,25°C).

No.2a-23

20 $[\alpha]_D = +62.7^\circ$ (MeOH,c=1.01,27°C).

No.2a-24

25 CDCl_3 300MHz
 0.99(1H,d,J=10.2Hz),1.14 and 1.25(each 3H,each s),1.52-2.50(14H,m),4.3 1(1H,m),5.36-
 5.52(2H,m),6.34(1H,d,J=8.4Hz),7.47-7.52(2H,m),7.59-7.64(1H, m),7.78-7.83(6H,m).
 IR(CHCl₃):3449,3027,3013,2925,2869,1708,1656,1599,1518,1493 /cm.
 $[\alpha]_D = +63.1^\circ$ (MeOH,c=1.00,25°C).

No.2a-25

30 $[\alpha]_D = +35.1^\circ$ (MeOH,c=1.00,25°C).

No.2a-26

35 $[\alpha]_D = +35.5^\circ$ (MeOH,c=1.02,25°C).

No.2a-27

40 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.50(14H,m),3.6 3(3H,s),4.29(1H,m),5.36-
 5.51(2H,m),6.18(1H,d,J=8.4Hz),7.01 and 7.71 (each 2H,each d,J=8.7Hz,),6.98-
 7.05(2H,m),7.16(1H,t,J=7.5Hz),7.34-7.41(2 H,m).
 IR(CHCl₃):3455,3024,3016,2924,2870,1730,1651,1588,1520,1487 /cm.
 $[\alpha]_D = +56.4^\circ$ (MeOH,c=1.01,25°C).

45 No.2a-28

50 CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2 6(1H,m),5.34-
 5.51(2H,m),6.20(1H,d,J=9.0Hz),7.01 and 7.70(each 2H,each d,J=9.0Hz,),6.98-
 7.15(2H,m),7.17(1H,t,J=7.5Hz),7.34-7.40(2H,m).
 IR(CHCl₃):3454,3031,3018,2925,2870,1708,1650,1588,1523,1487/cm.
 $[\alpha]_D = +56.2^\circ$ (MeOH,c=1.00,25°C).

55 No.2a-29

$[\alpha]_D = +53.0^\circ$ (MeOH,c=1.03,25°C).

No.2a-30

5 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2 5(1H,m),5.30-
 5.50(2H,m),6.23(1H,d,J=8.7Hz),6.36(1H,s),7.26-7.39(10H,m),7.60 and 7.68(each 2H,each d,J=8.4Hz,).
 IR(CHCl_3):3451,3088,3064,3029,3014,2925,2869,1707,1652,1522,1495 /cm.
 $[\alpha]_D=+54.2^\circ$ (MeOH,c=1.00,25°C).

No.2a-31

10 CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.50-2.50(14H,m),3.6 3(3H,s),4.31(1H,m),5.30-
 5.50(2H,m),6.26(1H,d,J=8.4Hz),6.90(1H,t,J=7.4Hz), 7.13(1H,d,J=8.7Hz),7.29(2H,t,J=8.0Hz),7.67-
 7.75(5H,m),7.82(1H,s).
 15 IR(Nujol):3380,3244,1723,1638,1601,1578,1535,1495 /cm.
 $[\alpha]_D=+73.6^\circ$ (MeOH,c=0.50,26°C).
 m.p.133.0-134.0°C

No.2a-32

20 $[\alpha]_D=+56.1^\circ$ (MeOH,c=1.02,26°C).

No.2a-33

25 CDCl_3 300MHz
 0.95(1H,d,J=10.2Hz),1.10 and 1.21(each,3H,each s),1.50-2.50(14H,m),4.25 (1H,m),5.13(2H,s),5.30-
 5.70(3H,m),6.41(1H,d,J=8.2Hz),6.89(1H,s),7.09(1H, s),7.17 and 7.72(each 2H,each d,J=8.2Hz),7.62(1H,s).
 IR(CHCl_3):3450,3125,3031,3013,2925,2870,2467,1917,1708,1654,1615,1575, 1523,1497 /cm.
 $[\alpha]_D=+55.2^\circ$ (MeOH,c=1.01,26°C).

30 No.2a-34
 $[\alpha]_D=+72.9^\circ$ (MeOH,c=1.03,25°C).

No.2a-35

35 CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.13 and 1.24(each 3H,each s),1.52-2.48(14H,m),4.2 8(1H,m),5.35-
 5.51(2H,m),6.28(1H,d,J=8.7Hz),7.34-7.37(3H,m),7.52-7.55(2H, m),7.58 and 7.71(each 2H,each d,J=8.7Hz).
 40 IR(CHCl_3):3515,3452,3030,3012,2925,2870,1739,1708,1652,1607,1555,1521, 1497 /cm.
 $[\alpha]_D=+74.3^\circ$ (MeOH,c=1.01,25°C).

No.2a-36

45 $[\alpha]_D=+23.4^\circ$ (MeOH,c=1.07,25°C).

No.2a-37

50 CDCl_3 300MHz
 0.83(1H,d,J=10.5Hz),0.95 and 1.18(each 3H,each s),1.44-2.46(14H,m),3.9 2(1H,m),5.34-5.52(3H,m),7.26-
 7.54(9H,m),7.62(1H,s).
 IR(CHCl_3):3432,3310,3189,3023,3014,2924,2870,1704,1610,1594,1523,1487 /cm.
 $[\alpha]_D=+25.3^\circ$ (MeOH,c=1.00,26°C).

No.2a-38

55 $[\alpha]_D=+70.9^\circ$ (MeOH,c=1.02,25°C).

No.2a-39

 $[\alpha]_D = +70.6^\circ$ (MeOH, c=1.01, 25°C).

5 No.2a-40

 $[\alpha]_D = +74.7^\circ$ (MeOH, c=1.00, 25°C).

No.2a-41

10 $[\alpha]_D = +72.1^\circ$ (MeOH, c=1.01, 24°C).

No.2a-42

15 $[\alpha]_D = +69.2^\circ$ (MeOH, c=1.00, 25°C).

No.2a-43

20 $[\alpha]_D = +70.8^\circ$ (MeOH, c=1.00, 25°C).

No.2a-44

 $[\alpha]_D = +60.4^\circ$ (MeOH, c=1.00, 26°C).

25 No.2a-45

CDCl_3 300MHz
 0.97(1H,d,J=9.9Hz), 1.13 and 1.23(each 3H,each s), 1.55-2.52(14H,m), 4.29(1H,m), 5.34-
 5.54(2H,m), 6.33(1H,d,J=9.0Hz), 7.10(1H,t,J=7.4Hz), 7.34(2H,t,J=7.4Hz), 7.52(2H,m), 7.68 and 7.75(each 2H,each
 d,J=8.4Hz), 7.80(1H,s), 8.10(1H,s), 10.09(1H,s).
 IR(CHCl_3): 3393, 3195, 3093, 3033, 3013, 2925, 2870, 1698, 1656, 1598, 1537, 1498 /cm.
 $[\alpha]_D = +59.4^\circ$ (MeOH, c=1.01, 24°C).

No.2a-46

35 $[\alpha]_D = +63.5^\circ$ (MeOH, c=1.00, 25°C).

No.2a-47

40 CDCl_3 300MHz
 0.97(1H,d,J=9.9Hz), 1.12 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 4.29(1H,m), 5.35-
 5.52(2H,m), 6.32(1H,d,J=8.7Hz), 7.26(1H,m), 7.41(2H,t,J=7.8Hz), 7.64(2H,d,J=7.5Hz), 7.73 and 7.77(each 2H,each
 d,J=8.4Hz), 7.95(1H,s), 9.20(1H,s), 10.38(1H,s).
 IR(CHCl_3): 3450, 3339, 3003, 2992, 2925, 2870, 1706, 1653, 1596, 1523, 1495/cm.
 45 $[\alpha]_D = +63.3^\circ$ (MeOH, c=1.00, 25°C).

No.2a-48

 $[\alpha]_D = +63.8^\circ$ (MeOH, c=1.00, 24°C).

50 No.2a-49

CDCl_3 300MHz
 1.00(1H,d,J=10.5Hz), 1.17 and 1.26(each 3H,each s), 1.55-2.52(14H,m), 4.3-4(1H,m), 5.36-
 5.54(2H,m), 6.35(1H,d,J=9.0Hz), 7.50-7.62(3H,m), 7.90 and 8.33 (each 2H,each d,J=8.4Hz), 8.21(2H,m).
 IR(CHCl_3): 3451, 3029, 3022, 3016, 2925, 2870, 1708, 1655, 1542, 1508, 1498, 1471, 1459 /cm.
 $[\alpha]_D = +63.5^\circ$ (MeOH, c=1.02, 25°C);
 m.p. 135.0-137.0°C

No.2a-50

$[\alpha]_D = +68.9^\circ$ (MeOH, c=1.01, 24°C).

5 No.2a-51

d_6 -DMSO 300MHz

0.87(1H,d,J=9.9Hz), 1.10 and 1.17(each 3H, each s), 1.40-1.60(3H,m), 1.90-2.40(11H,m), 3.98(1H,m), 5.35-

5.46(2H,m), 7.64(1H,s), 7.65 and 7.91(each 2H, each d,J=8.7Hz), 8.06(1H,d,J=6.0Hz), 9.32(1H,brs).

10 IR(KBr): 3385, 2962, 1734, 1707, 1632, 1529, 1498 /cm.

$[\alpha]_D = +68.4^\circ$ (MeOH, c=1.01, 24°C).

No.2a-52

15 $[\alpha]_D = +76.2^\circ$ (MeOH, c=1.01, 24°C).

No.2a-53

$[\alpha]_D = +73.9^\circ$ (MeOH, c=1.02, 24°C).

20

No.2a-54

$[\alpha]_D = +68.1^\circ$ (MeOH, c=1.00, 24°C).

25 No.2a-55

$[\alpha]_D = +67.8^\circ$ (MeOH, c=1.00, 24°C).

No.2a-56

30

$[\alpha]_D = +65.4^\circ$ (MeOH, c=1.03, 25°C).

No.2a-57

35 $[\alpha]_D = +63.4^\circ$ (MeOH, c=1.01, 24°C).

No.2a-58

$[\alpha]_D = +66.6^\circ$ (MeOH, c=1.01, 24°C).

40

No.2a-59

$[\alpha]_D = +65.5^\circ$ (MeOH, c=1.00, 24°C).

45 No.2a-60

$[\alpha]_D = +60.9^\circ$ (MeOH, c=1.02, 25°C).

No.2a-61

50

$CDCl_3$ 300MHz

0.97(1H,d,J=10.0Hz), 1.10 and 1.22(each 3H, each s), 1.50-2.50(14H,m), 4.2-6(1H,m), 5.30-5.54(2H,m), 6.28(1H,d,J=8.6Hz), 6.60 and 6.82(each 1H, each d,J=12.4Hz,), 7.12(2H,d,J=6.0Hz), 7.25 and 7.62(each 2H, each d,J=8.6Hz), 8.47(2H,d,J=6.0Hz).

55

IR($CHCl_3$): 3452, 3027, 3019, 3013, 2925, 2870, 2480, 1708, 1651, 1606, 1520, 1494 /cm.

$[\alpha]_D = +61.6^\circ$ (MeOH, c=1.01, 25°C).

No.2a-62

 $[\alpha]_D = +72.0^\circ$ (MeOH, c=0.93, 25°C).

5 No.2a-63

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.2 9(1H,m),5.36-
 5.55(2H,m),6.35(1H,d,J=9.1Hz),7.04 and 7.27(each 1H,each d,J=16.5Hz),7.37(2H,d,J=6.6Hz),7.56 and
 7.76(each 2H,each d,J=8.4Hz), 8.57(2H,d,J=6.6Hz).

10 IR(CHCl₃):3452,3024,3018,3014,2925,2870,2470,1933,1708,1652,1605,1521, 1496 /cm. $[\alpha]_D = +69.2^\circ$ (MeOH, c=1.01, 25°C).

No.2a-64

15

 $[\alpha]_D = +56.9^\circ$ (MeOH, c=1.24, 25°C).

No.2a-65

20 CDCl₃ 300MHz

0.98(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.54-2.46(14H,m),4.2 7(1H,m),5.23(2H,s),5.34-
 5.52(2H,m),6.26(1H,d,J=8.4Hz),7.32-7.45(5H,m),7. 64 and 7.71 (each 2H,each d,J=8.4Hz),8.15(1H,s).

IR(CHCl₃):3452,3088,3065,3032,3013,2925,2870,1708,1653,1611,1559,1522, 1496 /cm. $[\alpha]_D = +61.0^\circ$ (MeOH, c=0.91, 25°C).

25

No.2a-66

 $[\alpha]_D = +76.0^\circ$ (MeOH, c=1.01, 25°C).

30 No.2a-67

CDCl₃ 300MHz

0.98(1H,d,J=10.4Hz),1.14 and 1.24(each 3H,each s),1.54-2.46(14H,m),4.2 8(1H,m),5.32-
 5.53(2H,m),6.27(1H,d,J=8.6Hz),6.92-7.31(each 1H,each d,J= 16.4Hz),7.02(1H,dd,J=5.8 and
 3.6Hz),7.12(1H,d,J=3.6Hz),7.24(1H,d,J=5.8 Hz),7.51 and 7.70(each 2H,each d,J=8.4Hz).

35 IR(CHCl₃):3453,3029,3013,2925,2870,1739,1650,1604,1524,1515,1494 /cm. $[\alpha]_D = +76.2^\circ$ (MeOH, c=1.00, 24°C).

m.p.104.0-106.0°C

40 No.2a-68

 $[\alpha]_D = +57.7^\circ$ (MeOH, c=1.01, 25°C).

No.2a-69

45

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.54-2.48(14H,m),4.2 8(1H,m),5.34-
 5.53(2H,m),6.29(1H,d,J=9.0Hz),6.54-6.74(each 1H,each d,J= 12.0Hz),7.02(1H,dd,J=4.8 and
 3.3Hz),6.97(1H,dd,J=3.3 and 1.2Hz),7.13(1 H,dd,J=4.8 and 1.2Hz),7.44 and 7.70(each 2H,each d,J=8.7Hz).

50 IR(CHCl₃):3453,3025,3010,2925,2870,1708,1650,1607,1559,1523,1493 /cm. $[\alpha]_D = +58.4^\circ$ (MeOH, c=1.00, 25°C).

No.2a-70

55

 $[\alpha]_D = +48.6^\circ$ (MeOH, c= 1.00, 25°C).

No.2a-71

5 CDCl₃ 300MHz
 0.98(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.3 1(3H,s),4.26(1H,m),5.33-
 5.52(2H,m),6.20(1H,d,J=9.3Hz),7.02-7.11(6H,m),7.70(2H,d,J=9.0Hz).
 IR(CHCl₃):3460,3031,3022,3011,2925,2870,1750,1708,1650,1608,1597,1523, 1490 /cm.
 [α]_D=+48.9° (MeOH,c=1.01,25°C).

No.2a-72

10 [α]_D=+51.2° (MeOH,c=1.02,25°C).

No.2a-73

15 CDCl₃ 300MHz
 0.97(1H,d,J=9.9Hz), 1.11 and 1.23(each 3H,each s), 1.54-2.48(14H,m),4.27(1H,m),5.32-
 5.52(2H,m),6.24(1H,d,J=9.0Hz),6.83-6.94(6H,m),7.65(2H,d,J=9. 0Hz).
 IR(CHCl₃):3598,3451,3199,3033,3012,2925,2870,1708,1642,1604,1524,1507, 1491 /cm.
 [α]_D=+52.2° (MeOH,c=1.01,25°C).

20 No.2a-74
 [α]_D=+51.5° (MeOH,c=0.92,25°C).

No.2a-75

25 CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.55-2.46(14H,m),3.8 2(3H,s),4.25(1H,m),5.32-
 5.52(2H,m),6.19(1H,d,J=8.7Hz),6.89-7.01(6H,m),7.65-7.68(2H,m).
 30 IR(CHCl₃):3450,3025,3008,2925,2870,2837,1741,1649,1612,1521,1505,1490 /cm.
 [α]_D=+51.1° (MeOH,c=1.00,25°C).

No.2a-76

35 [α]_D=+60.4° (MeOH,c=0.98,25°C).

No.2a-77

40 CDCl₃ 300MHz
 0.99(1H,d,J=10.5Hz),1.15 and 1.24(each 3H,each s),1.54-2.48(14H,m),2.3 4(3H,s),4.29(1H,m),5.32-
 5.54(2H,m),6.32(1H,d,J=8.4Hz),7.19 and 7.60 (each 2H,each d,J=8.4Hz),7.63 and 7.79(each 2H,each
 d,J=8.4Hz).
 IR(CHCl₃):3452,3027,3012,2925,2870,1751,1709,1651,1611,1560,1527,1509, 1489 /cm.
 [α]_D=+61.2° (MeOH,c=1.00,25°C).

45 No.2a-78
 [α]_D=+67.4° (MeOH,c=1.01,25°C).

No.2a-79

50 CDCl₃ 300MHz
 0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.54-2.54(14H,m),4.3 1(1H,m),5.32-
 5.54(2H,m),6.36(1H,d,J=8.2Hz),6.93 and 7.48(each 2H,each d,J=8.6Hz),7.59 and 7.75(each 2H,each d,J=8.4Hz).
 55 IR(CHCl₃):3593,3448,3192,3030,3010,2925,2870,1708,1644,1608,1591,1559, 1530,1516,1491 /cm.
 [α]_D=+65.8° (MeOH,c=1.01,25°C).

No.2a-80

 $[\alpha]_D = +66.9^\circ$ (MeOH, c=1.01, 25°C).

5 No.2a-81

CDCl₃ 300MHz0.99(1H,d,J=10.5Hz), 1.15 and 1.24(each 3H,each s), 1.54-2.48(14H,m), 3.8 6(3H,s), 4.29(1H,m), 5.34-
5.52(2H,m), 6.20(1H,d,J=8.7Hz), 6.99 and 7.55 (each 2H,each d,J=9.0Hz), 7.61 and 7.77(each 2H,each
d,J=8.7Hz).10 IR(CHCl₃): 3450, 3009, 2925, 2870, 2838, 1740, 1708, 1650, 1608, 1557, 1528, 1512, 1491 /cm. $[\alpha]_D = +66.2^\circ$ (MeOH, c=1.01, 25°C).

No.2a-82

15

 $[\alpha]_D = +57.7^\circ$ (MeOH, c=1.02, 24°C).

No.2a-83

20 CDCl₃ 300MHz0.97(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 2.3 3(3H,s), 4.26(1H,m), 5.32-
5.52(2H,m), 6.25(1H,d,J=8.7Hz), 7.16 and 7.75 (each 2H,each d,J=8.7Hz).IR(CHCl₃): 3452, 3030, 3022, 3012, 2925, 2870, 1754, 1709, 1654, 1604, 1585, 1522, 1493 /cm. $[\alpha]_D = +57.4^\circ$ (MeOH, c=1.01, 24°C).

25

No.2a-84

 $[\alpha]_D = +57.8^\circ$ (MeOH, c=1.01, 24°C).

30 No.2a-85

CDCl₃ 300MHz0.95(1H,d,J=10.2Hz), 1.12 and 1.22(each 3H,each s), 1.54-2.48(14H,m), 4.2 5(1H,m), 5.32-
5.52(2H,m), 6.28(1H,d,J=8.7Hz), 6.87 and 7.57(each 2H,each d,J=9.0Hz).35 IR(CHCl₃): 3590, 3450, 3166, 3019, 3012, 2925, 2871, 1708, 1637, 1608, 1583, 1531, 1498 /cm. $[\alpha]_D = +56.0^\circ$ (MeOH, c=1.01, 24°C).

No.2a-86

40

 $[\alpha]_D = +59.3^\circ$ (MeOH, c=1.01, 22°C).

No.2a-87

CDCl₃ 300MHz45 0.98(1H,d,J=10.0Hz), 1.13 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 3.8 5(3H,s), 4.25(1H,m), 5.32-
5.53(2H,m), 6.19(1H,d,J=8.8Hz), 6.93 and 7.69 (each 2H,each d,J=9.0Hz).IR(CHCl₃): 3450, 3030, 3017, 3012, 2925, 2870, 2840, 1740, 1708, 1647, 1606, 1575, 1525, 1496 /cm. $[\alpha]_D = +58.2^\circ$ (MeOH, c=0.99, 22°C).

50 No.2a-88

 $[\alpha]_D = +50.9^\circ$ (MeOH, c=1.02, 25°C).

No.2a-89

55

CDCl₃ 300MHz0.99(1H,d,J=10.2Hz), 1.18 and 1.26(each 3H,each s), 1.56-2.48(14H,m), 4.2 9(1H,m), 5.36-
5.54(2H,m), 7.03(1H,d,J=8.7Hz), 7.21(1H,s), 7.43(2H,m), 7.74(1 H,ddd,J=1.8,6.9 and 8.7Hz), 8.22(1H,dd,J=1.8 and

8.1Hz).

IR(CHCl₃):3443,3087,3023,3014,2925,2870,1708,1685,1658,1630,1517,1466 /cm.
 $[\alpha]_D=+57.1^\circ$ (MeOH,c=1.01,22°C).
 m.p.117.0-118.0°C

5

No.2a-90

$[\alpha]_D=+54.1^\circ$ (MeOH,c=1.01,22°C).

10 No.2a-91

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 4(1H,m),5.34-5.52(2H,m),6.49-6.53(2H,m),7.11(1H,dd,J=0.9 and 3.6Hz),7.4 4(1H,dd,J=0.9 and 1.8Hz).
 IR(CHCl₃):3437,3033,3022,3014,2925,2870,1739,1708,1655,1595,1520,1472 /cm.
 $[\alpha]_D=+55.0^\circ$ (MeOH,c=1.00,22°C).

No.2a-92

20 $[\alpha]_D=+50.3^\circ$ (MeOH,c=1.00,22°C).

No.2a-93

CDCl₃ 300MHz
 0.95(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 5(1H,m),5.34-5.52(2H,m),6.12(1H,d,J=8.7Hz),7.07(1H,dd,J=3.9 and 5.1Hz),7.45-7.48(2H,m).
 IR(CHCl₃):3450,3023,3011,2925,2870,1739,1708,1645,1531,1501,1471 /cm.
 $[\alpha]_D=+49.1^\circ$ (MeOH,c=1.02,24°C).

30 No.2a-94

$[\alpha]_D=+51.5^\circ$ (MeOH,c=1.00,24°C).

No.2a-95

35 CDCl₃ 300MHz
 0.96(1H,d,J=10.5Hz),1.11 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.2 5(1H,m),5.34-5.56(2H,m),6.14(1H,d,J=8.7Hz),7.34(2H,d,J=2.0Hz),7.85(1H,t, J=2.0Hz).
 IR(CHCl₃):3452,3114,3030,3013,2925,2870,1708,1649,1535,1498,1471/cm.
 40 $[\alpha]_D=+55.5^\circ$ (MeOH,c=1.00,25°C).
 m.p.87.0-88.0°C

No.2a-96

45 CD₃OD 300MHz
 0.94(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.50-1.76(3H,m),1.94-2.39(11H,m),4.11(1H,m),5.39-5.49(2H,m),7.43-7.51(2H,m),8.05(1H,m).
 IR(KBr):3369,3084,2985,2921,2868,1630,1566,1538,1503 /cm.
 $[\alpha]_D=+38.8^\circ$ (MeOH,c=1.01,22°C).

50

No.2a-97

CD₃OD 300MHz
 0.93(1H,d,J=9.9Hz),1.13 and 1.22(each 3H,each s),1.48-1.58(3H,m),1.96-2. 36(11H,m),4.10(1H,m),5.35-5.50(2H,m),7.42-7.51(2H,m),8.06(1H,m).
 IR(KBr):3447,3087,2987,2922,2868,1629,1545,1501 /cm.
 $[\alpha]_D=+52.9^\circ$ (MeOH,c=1.01,24°C).

No.2a-98

 $[\alpha]_D = +53.2^\circ$ (MeOH, c=1.02, 23°C).

5 No.2a-99

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.26-2.45(24H,m),4.2 5(2H,m),5.34-

5.52(2H,m),6.18(1H,d,J=8.7Hz),6.91 and 7.66(each 2H,each d,J=9.0Hz).

10 IR(CHCl₃):3455,3029,3019,2939,2862,1738,1709,1645,1605,1523,1494 /cm. $[\alpha]_D = +51.4^\circ$ (MeOH, c=1.00, 23°C).

No.2a-100

15 $[\alpha]_D = +49.3^\circ$ (MeOH, c=1.00, 24°C).

No.2a-101

 $[\alpha]_D = +51.3^\circ$ (MeOH, c=1.00, 24°C).

20 No.2a-102

 $[\alpha]_D = +48.8^\circ$ (MeOH, c=1.01, 23°C).

25 No.2a-103

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.52-2.46(14H,m),2.4 8(3H,d,J=0.3Hz),4.20(1H,m),5.32-

5.54(2H,m),6.46(1H,brs),7.12(1H,d,J=9.0 Hz).

30 IR(CHCl₃):3415,3144,3029,3011,2926,2871,1708,1671,1598,1538,14564 /cm $[\alpha]_D = +49.6^\circ$ (MeOH, c=1.01, 23°C).

No.2a-104

35 $[\alpha]_D = +77.0^\circ$ (MeOH, c=1.02, 23°C).

No.2a-105

CDCl₃ 300MHz

40 9.3(1H,d,J=9.9Hz),1.09 and 1.21(each 3H,each s),1.51-2.44(14H,m),3.90(6 H,s),4.20(1H,m),5.38-

5.50(2H,m),5.87(1H,d,J=9.0Hz),6.25 and 7.54 (each 1H,each d,J=15.6Hz),6.84(1H,d,J=8.1Hz),7.03(1H,d,J=1.8Hz),7.09(1 H,dd,J=1.8 and 8.1Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 /cm. $[\alpha]_D = +77.3^\circ$ (MeOH, c=1.01, 23°C).

45 No.2a-106

 $[\alpha]_D = +67.0^\circ$ (MeOH, c=1.00, 25°C).

50 No.2a-107

 $[\alpha]_D = +66.6^\circ$ (MeOH, c=1.01, 24°C).

m.p. 168.0-170.0°C

55 No.2a-108

 $[\alpha]_D = +61.8^\circ$ (MeOH, c=1.00, 22°C).

No.2a-109

5 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.10 and 1.22(each 3H,each s),1.51-2.45(14H,m),4.2 5(1H,m),5.33-
 5.49(2H,m),6.21(1H,d,J=8.7Hz),7.25 and 7.60(each 2H,each d,J=8.7Hz),7.33-7.41(5H,s).
 IR(CHCl_3):3453,3062,3028,3014,2925,2870,1739,1708,1651,1594,1557,1515, 1481 /cm.
 $[\alpha]_D=+61.0^\circ$ (MeOH,c=1.01,22°C).

No.2a-110

10 CD_3OD 300MHz
 0.94(1H,d,J=9.9Hz),1.13 and 1.22(each 3H,each s),1.54-2.37(14H,m),4.12(1H,m),5.38-5.49(2H,m),7.25 and
 7.68(each 2H,each d,J=8.7Hz),7.41(5H,s)
 15 IR(KBr):3435,3058,2986,2920,2866,1635,1595,1562,1521,1482,1439,1411 /cm.
 $[\alpha]_D=+47.3^\circ$ (MeOH,c=1.01,23°C).

20 No.2a-111

$[\alpha]_D=+65.6^\circ$ (MeOH,c=1.01,24°C).

No.2a-112

25 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.2 7(1H,m),5.35-
 5.50(2H,m),6.22(1H,d,J=8.4Hz),7.40 and 7.66(each 2H,each d,J=9.0Hz).
 IR(CHCl_3):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 /cm.
 30 $[\alpha]_D=+65.6^\circ$ (MeOH,c=1.01,22°C).

No.2a-113

35 $[\alpha]_D=+59.6^\circ$ (MeOH,c=1.00,24°C).
 No.2a-114
 CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.12 and 1.24(each 3H,each s),1.52-2.46(14H,m),4.2 9(1H,m),5.35-
 40 5.51(2H,m),6.28(1H,d,J=8.4Hz),7.70 and 7.83(each 2H,each d,J=8.4Hz).
 IR(CHCl_3):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513 /cm.
 $[\alpha]_D=+60.6^\circ$ (MeOH,c=1.01,22°C).

No.2a-115

45 $[\alpha]_D=+59.7^\circ$ (MeOH,c=0.99,24°C).
 No.2a-116

50 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.3 9(3H,s),4.27(1H,m),5.33-
 5.51(2H,m),6.24(1H,d,J=9.0Hz),7.23 and 7.62 (each 2H,each d,J=8.4Hz).
 IR(CHCl_3):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513/cm.
 55 $[\alpha]_D=+59.7^\circ$ (MeOH,c=0.99,24°C).

No.2a-117

$[\alpha]_D=+56.7^\circ$ (MeOH,c=1.00,23°C).

No.2a-118

5 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.44(14H,m),4.2 3(1H,m),5.34-
 5.51(2H,m),6.02(2H,s),6.13(1H,d,J=8.7Hz),6.83(1H,dd,J=1.2 and 7.8Hz),7.22-7.25(2H,m).
 IR(CHCl_3):3453,3031,3020,3012,2924,2870,1740,1708,1650,1619,1605,1519, 1504,1480 /cm.
 $[\alpha]_D=+57.2^\circ$ (MeOH,c=1.02,23°C).

No.2a-119

10 CDCl_3 300MHz
 0.96(1H,d,J=10.5Hz),1.07 and 1.23(each 3H,each s),1.51-2.44(14H,m),2.3 2(3H,s),4.26(1H,m),5.37-
 5.52(2H,m),6.40(1H,d,J=9.0Hz),7.09(1H,m),7.30(1 H,m),7.46(1H,m),7.66(1H,m).
 IR(CHCl_3):3443,3028,3012,2925,2870,1766,1747,1709,1657,1607,1516,1479 /cm.
 $[\alpha]_D=+53.2^\circ$ (MeOH,c=0.99,21°C).

No.2a-120

20 CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.53-2.44(14H,m),4.3 0(1H,m),5.35-
 5.52(2H,m),6.42(1H,d,J=8.7Hz),6.85(1H,m),6.99(1H,dd,J=1.2 and 8.4Hz),7.27(1H,m),7.39(1H,m).
 IR(CHCl_3):3463,3033,3021,3014,2992,2924,2870,1708,1643,1597,1523,1488 /cm.
 $[\alpha]_D=+46.3^\circ$ (MeOH,c=1.01,21°C).

25 No.2a-121

30 CDCl_3 300MHz
 0.98(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.47-2.47(14H,m),3.9 5(3H,s),4.31(1H,m),5.32-
 5.50(2H,m),6.98(1H,ddd,J=0.9 and 8.4Hz),7.09(1H, ddd,J=0.9,7.7 and 8.4Hz),7.45(1H,m),8.19(1H,dd,J=2.1 and
 8.1Hz),8.32(1 H,d,J=9.0Hz).
 IR(CHCl_3):3400,3078,3028,3020,3007,2924,2870,2842,1736,1708,1640,1600, 1536,1483,1470 /cm.
 $[\alpha]_D=+38.1^\circ$ (MeOH,c=1.02,23°C).

No.2a-122

35 $[\alpha]_D=+42.3^\circ$ (MeOH,c=0.99,23°C).

No.2a-123

40 $[\alpha]_D=+38.7^\circ$ (MeOH,c=1.00,21°C).

No.2a-124

45 $[\alpha]_D=+45.0^\circ$ (MeOH,c=1.01,21°C).
 m.p.119.0-120.0°C

No.2a-125

50 $[\alpha]_D=+49.8^\circ$ (MeOH,c=1.01,22°C).

No.2a-126

55 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.47(14H,m),4.2 6(1H,m),5.34-
 5.50(2H,m),6.22(1H,d,J=8.7Hz),7.55-7.61(4H,m).
 IR(CHCl_3):3400,3078,3028,3020,3007,2924,2870,2842,1736,1708,1640,1600, 1536,1483,1470 /cm.
 $[\alpha]_D=+63.0^\circ$ (MeOH,c=1.01,23°C).

No.2a-127

5 CDCl_3 300MHz
 0.91(1H,d,J=10.2Hz),1.10 and 1.20(each 3H,each s),1.50-2.42(14H,m),4.2 3(1H,m),5.31-
 5.51(2H,m),6.45(1H,d,J=8.4Hz),7.01(1H,t,J=7.4Hz),7.22-7.27(2H,m),7.33-7.40(4H,m),7.53(2H,d,J=9.0Hz),8.30
 and 8.48(each 1H,each s)
 IR(CHCl_3):3452,3028,3015,2925,2870,1708,1654,1590,1514,1478 /cm.
 $[\alpha]_D=+59.5^\circ$ (MeOH,c=1.01,23°C).

10 No.2a-128

10 $d_6\text{-DMSO}$ 300MHz
 0.84(1H,d,J=9.9Hz),1.06 and 1.19(each 3H,each s),1.37-2.37(14H,m),3.79(1H,m),5.35-
 5.51(2H,m),6.08(1H,d,J=8.7Hz),6.85-6.90(1H,m),7.18-7.23(2H,m),7.35-7.38(2H,m),8.42(1H,s),12.00(1H,s).
 15 IR(Nujol):3395,3345,2925,2866,2623,2506,1697,1658,1638,1597,1557 /cm.
 $[\alpha]_D=+26.0^\circ$ (MeOH,c=1.01,23°C).
 m.p.164.0-166.0°C

No.2a-129

20 CDCl_3 300MHz
 1.01(1H,d,J=10.0Hz),1.17 and 1.25(each 3H,each s),1.54-2.52(14H,m),4.3 4(1H,m),5.36-
 5.57(2H,m),6.42(1H,d,J=8.6Hz),7.51-7.60(2H,m)7.77(1H,dd,J =1.8 and 8.6Hz),7.85-7.96(3H,m),8.24(1H,brs).
 IR(CHCl_3):3451,3060,3028,3010,2925,2870,1708,1652,1629,1600,1517,1502 /cm.
 25 $[\alpha]_D=+68.6^\circ$ (MeOH,c=1.00,22°C).

No.2a-130

30 CDCl_3 300MHz
 1.02(1H,d,J=10.2Hz),1.04 and 1.26(each 3H,each s),1.54-2.52(14H,m),4.4 1(1H,m),5.41-
 5.58(2H,m),6.14(1H,d,J=9.0Hz),7.43-7.59(4H,m),7.85-7.92(2H, m),8.27(1H,dd,J=1.8 and 7.2Hz).
 IR(CHCl_3):3436,3032,3010,2924,2870,2664,1708,1652,1512,1498 /cm.
 $[\alpha]_D=+93.9^\circ$ (MeOH,c=1.00,22°C)
 m.p.94.0-96.0°C

35 No.2a-131

$[\alpha]_D=+50.2^\circ$ (MeOH,c=0.95,21°C).

40 No.2a-132

$[\alpha]_D=+10.9^\circ$ (MeOH,c=0.92,21°C).

No.2a-133

45 $[\alpha]_D=+60.4^\circ$ (MeOH,c=1.00,21°C).

No.2a-134

50 $[\alpha]_D=+38.5^\circ$ (MeOH,c=1.01,23°C).

No.2a-135

55 $[\alpha]_D=+52.5^\circ$ (MeOH,c=1.01,23°C).
 m.p.180.0-182.0°C

No.2a-136

[α]_D=+35.3° (MeOH,c=1.02,23°C).
m.p.79.0-80.0°C

5

No.2a-137

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.43(3H,t,J=6.9Hz),1. 52-
 10 2.44(14H,m),4.03(2H,q,J=6.9Hz),4.26(1H,m),5.33-5.50(2H,m),6.19(1H,d, J=8.7Hz),6.88-7.00(6H,m),7.65-
 7.68(2H,m).
 IR(CHCl₃):3455,3031,3024,3014,2988,2925,2870,1741,1708,1649,1602,1521, 1504,1490 /cm.
 [α]_D=+52.0° (MeOH,c=1.01,23°C).

15 No.2a-138

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.35(6H,d,J=6.0Hz),1. 53-
 2.46(14H,m),4.25(1H,m),4.51(1H,m),5.33-5.50(2H,m),6.12(1H,d,J=9.0Hz),6.87-6.99(6H,m),7.65-7.68(2H,m).
 20 IR(CHCl₃):3454,3031,3014,2980,2925,2870,1741,1708,1649,1602,1522,1490 /cm.
 [α]_D=+50.0° (MeOH,c=1.05,22°C).

No.2a-139

25 CDCl₃ 300MHz
 1.00(1H,d,J=10.2Hz),1.16 and 1.24(each 3H,each s),1.59-2.52(14H,m),4.3 1(1H,m),5.40-
 5.53(2H,m),6.36(1H,d,J=8.7Hz),6.70(1H,d,J=1.5Hz),7.12(1H, m),7.30(1H,m),7.47(1H,dd,J=0.6 and
 8.1Hz),7.61(1H,d,J=8.4Hz).
 IR(CHCl₃):3449,3243,3029,3022,3013,2925,2871,1707,1631,1542,1505 /cm.
 30 [α]_D=+63.4° (MeOH,c=1.00,23°C).
 m.p.178.0-179.0°C

No.2a-140

35 CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.18 and 1.23(each 3H,each s),1.57-2.50(14H,m),4.3 5(1H,m),5.32-
 5.55(2H,m),6.42(1H,d,J=8.7Hz),6.70(1H,d,J=1.5Hz),7.21-7.24(2H m),7.46(1H,m),7.76(1H,m),7.86(1H,d,J=3.0Hz),10.20(1H,s).
 IR(CHCl₃):3465,3010,2924,1739,1604,1546,1504 /cm.
 40 [α]_D=+39.4° (MeOH,c=1.01,22°C).
 m.p.167.0-168.0°C

No.2a-141

45 CDCl₃ 300MHz
 0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),3.8 4(3H,s),4.27(1H,m),5.34-
 5.52(2H,m),6.28(1H,d,J=9.0Hz),6.91 and 7.47 (each 2H,each d,J=9.0Hz),6.98 and 7.14(each 1H,each
 d,J=16.5Hz),7.54 and 7.70(each 2H,eachd,J=8.7Hz).
 IR(CHCl₃):3453,3025,3015,2925,2870,2839,1740,1708,1649,1602,1510,1493, 1470 /cm.
 50 [α]_D=+73.4° (MeOH,c=1.02,22°C).
 m.p.155.0-157.0°C

No.2a-142

55 CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.7 9(3H,s),4.27(1H,m),5.34-
 5.50(2H,m),6.24(1H,d,J=9.0Hz),6.49 and 6.62 (each 1H each d,J=12.3Hz),6.77 and 7.16(each 2H,each
 d,J=8.7Hz),7.32 and 7.59(each 2H,eachd,J=8.1Hz).

IR(CHCl₃):3453,3025,3014,2925,2870,2839,1739,1708,1649,1606,1510, 1494 /cm.
 $[\alpha]_D=+60.7^\circ$ (MeOH,c=0.99,22°C).

No.2a-143

5

$[\alpha]_D=+57.3^\circ$ (MeOH,c=1.01,23°C).

No.2a-144

10

$[\alpha]_D=+12.2^\circ$ (MeOH,c=1.00,23°C).
 m.p.114.0-116.0°C

No.2a-145

15

CDCl₃ 300MHz
 0.95(1H,d,J=10.2Hz),1.10 and 1.21(each 3H,each s),1.52-2.44(14H,m),4.2 5(1H,m),5.33-5.49(2H,m),6.37(1H,d,J=8.7Hz),7.45-7.47(3H,m),7.62-7.66(2H, m),7.69 and 7.80(each 2H,each d,J=7.5Hz,).
 IR(CHCl₃):3449,3058,3027,3012,2925,2870,1708,1655,1513,1481,1043 /cm.
 $[\alpha]_D=+61.0^\circ$ (MeOH,c=1.01,23°C).

20

No.2a-146

25

CDCl₃ 300MHz
 0.95(1H,d,J=10.5Hz),1.09 and 1.21(each 3H,each s),1.50-2.41(14H,m),4.2 5(1H,m),5.33-5.49(2H,m),6.33(1H,d,J=8.4Hz),7.49-7.61(3H,m),7.91-7.92(2H, m),7.82 and 7.97(each 2H,each d,J=8.7Hz,).
 IR(CHCl₃):3447,3029,3023,3015,2925,2870,1708,1660,1514,1484,1321,1161 /cm.
 $[\alpha]_D=+62.0^\circ$ (MeOH,c=1.00,22°C).

No.2a-147

30

CDCl₃ 300MHz
 0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.5 1(3H,s),4.26(1H,m),5.34-5.51(2H,m),6.23(1H,d,J=8.4Hz),7.26 and 7.64 (each 2H,each d,J=8.4Hz).
 IR(CHCl₃):3453,3027,3015,2925,2870,2665,1708,1648,1596,1516,1484 /cm.
 $[\alpha]_D=+67.7^\circ$ (MeOH,c=0.82,22°C).

No.2a-148

40

$[\alpha]_D=+72.5^\circ$ (MeOH,c=1.01,25°C).

No.2a-149

$[\alpha]_D=+67.8^\circ$ (MeOH,c=0.98,25°C).

45

No.2a-150

CDCl₃ 300MHz
 0.94(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2 2(1H,m),5.36-5.55(2H,m),6.48(1H,d,J=8.4Hz),8.35(1H,s),8.90(1H,s).
 IR(CHCl₃):3443,3374,3091,3024,3012,2925,2871,1709,1652,1525,1494 /cm.
 $[\alpha]_D=+58.1^\circ$ (MeOH,c=1.01,23°C).
 m.p.120.0-122.0°C

No.2a-151

55

$[\alpha]_D=+40.6^\circ$ (MeOH,c=1.01,23°C).

No.2a-152

5 CDCl_3 300MHz
 0.96(1H,d,J=10.5Hz),1.10 and 1.24(each 3H,each s),1.50-2.50(14H,m),2.7 1(3H,s),4.26(1H,m),5.37-
 5.51(2H,m),6.02(1H,d,J=9.0Hz),8.731(1H,s).
 IR(CHCl_3):3463,3435,3087,3025,3014,2925,2870,1708,1649,1523,1503 /cm.
 $[\alpha]_D=+54.1^\circ$ (MeOH,c=1.02,22°C).

No.2a-153

10 CDCl_3 300MHz
 0.95(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.50-2.50(14H,m),2.50(3H,s),4.26(1H,m),5.36-
 5.51(2H,m),6.01(1H,d,J=8.4Hz),6.88(1H,d,J=5.1Hz), 7.26(1H,d,J=5.1Hz).
 IR(CHCl_3):3469,3431,3025,3013,2925,2871,2664,1708,1639,1544,1505 /cm.
 15 $[\alpha]_D=+35.8^\circ$ (MeOH,c=1.03,22°C).

No.2a-154

20 CDCl_3 300MHz
 0.95(1H,d,J=9.9Hz),1.10 and 1.22(each 3H,each s),1.52-2.46(14H,m),2.51(3H,d,J=1.2Hz),4.26(1H,m),5.34-
 5.50(2H,m),6.00(1H,d,J=8.4Hz),6.73(1H,dd, J=5.1 and 3.6Hz),7.29(1H,d,J=3.6Hz).
 IR(CHCl_3):3450,3431,3026,3011,2925,2869,1739,1708,1639,1547,1508 /cm.
 $[\alpha]_D=+50.5^\circ$ (MeOH,c=1.01,22°C).

No.2a-155

25 CDCl_3 300MHz
 0.99(1H,d,J=10.2Hz),1.19 and 1.25(each 3H,each s),1.53-2.48(14H,m),4.3 1(1H,m),5.36-
 5.51(2H,m),6.79(1H,d,J=9.3Hz),7.29(1H,m),7.41(1H,m),7.48(1 H,s),7.51(1H,m),7.66(1H,d,J=8.1Hz).
 30 IR(CHCl_3):3436,3029,3024,3015,2925,2871,2670,1708,1659,1598,1510 /cm.
 $[\alpha]_D=+69.1^\circ$ (MeOH,c=1.01,22°C).

No.2a-156

35 $\text{CDCl}_3:\text{CD}_3\text{O}_D=10.1$ 300MHz
 0.99(1H,d,J=9.9Hz),1.11 and 1.21(each 3H,each s),1.56-2.58(14H,m),4.22(1H,m),5.35-
 5.59(2H,m),6.83(1H,d,J=8.4Hz),7.48(1H,d,J=8.4Hz),7.61(1H,dd,
 8.4Hz),8.09(1H,d,J=1.5Hz),8.12(1H,s).
 IR(KBr):3422,3115,2985,2922,2869,2609,1708,1636,1578,1529,1470 /cm.
 40 $[\alpha]_D=+62.8^\circ$ (MeOH,c=1.01,22°C).

No.2a-157

45 $[\alpha]_D=+40.0^\circ$ (MeOH,c=0.95,22°C).

No.2a-158

50 CDCl_3 300MHz
 1.00(1H,d,J=10.5Hz),1.17 and 1.24(each 3H,each s),1.54-2.50(14H,m),4.3 4(1H,m),5.36-
 5.52(2H,m),7.80(1H,d,J=9.0Hz),9.30(1H,s).
 IR(CHCl_3):3410,3122,3030,3012,2925,2871,2668,1709,1667,1538,1466 /cm.
 $[\alpha]_D=+44.9^\circ$ (MeOH,c=0.99,22°C).

No.2a-159

55 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.55-2.43(14H,m),3.0 3(6H,s),4.23(1H,m),5.32-
 5.51(2H,m),6.16(1H,d,J=8.7Hz),6.87 and 7.63 (each 2H,each d,J=8.7Hz).

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IR(CHCl₃):3457,3028,3006,2924,2870,2654,1739,1709,1637,1608,1608,1534, 1501 /cm.
[α]_D=+64.8° (MeOH,c=1.01,22°C).

No.2a-160

5 d₆-DMSO 300MHz
0.83(1H,d,J=9.9Hz),1.02 and 1.19(each 3H,each s),1.38-1.61(3H,m),1.90-2. 32(11H,m),3.90(1H,m),5.41-
5.44(2H,m),7.32(1H,dd,J=0.9 and 7.2Hz),7.45-7.60(2H,m),7.77(1H,dd,J=0.9 and
7.8Hz),8.03(1H,d,J=6.9Hz),12.40(1H,s).
10 IR(Nujol):3315,2924,2856,2656,2535,1737,1703,1637,1598,1581,1541 /cm.
[α]_D=+78.5° (MeOH,c=1.01,24°C).
m.p.161.0-162.0°C

No.2a-161

15 [α]_D=+65.3° (MeOH,c=1.00,22°C).

No.2a-162

20 CDCl₃ 300MHz
0.99(1H,d,J=10.2Hz),1.13 and 1.25(each 3H,each s),1.53-2.45(14H,m),4.3 0(1H,m),5.36-
5.51(2H,m),6.32(1H,d,J=8.4Hz),7.88 and 8.28(each 2H,each d,J=9.0Hz).
IR(CHCl₃):3448,3029,3016,2925,2870,1708,1664,1602,1527,1484,1347 /cm.
[α]_D=+72.7° (MeOH,c=1.02,22°C).

25 No.2a-163

CDCl₃ 300MHz
0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.55-2.51(14H,m),4.2 6(1H,m),5.36-
5.57(2H,m),6.68(1H,d,J=7.8Hz),7.41(1H,dd,J=4.8 and 8.1Hz),
8.20(1H,d,J=8.1Hz),8.66(1H,d,J=4.8Hz),9.00(1H,s).
IR(CHCl₃):3448,3026,3013,2925,2870,2534,1709,1658,1590,1515,1471 /cm.
[α]_D=+71.3° (MeOH,c=1.01,22°C).

35 No.2a-164

[α]_D=+40.8° (MeOH,c=0.98,22°C).

No.2a-165

40 CDCl₃ 300MHz
0.96(1H,d,J=10.5Hz),1.11 and 1.24(each 3H,each s),1.55-2.52(14H,m),4.2 4(1H,m),5.37-
5.57(2H,m),6.63(1H,d,J=7.8Hz),7.59 and 8.63(each 2H each d,J=6.0Hz).
IR(CHCl₃):3447,3346,3028,3016,2925,2870,2538,1941,1708,1662,1556,1516 /cm.
[α]_D=+75.4° (MeOH,c=1.01,22°C).

No.2a-166

50 CDCl₃ 300MHz
0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.44(14H,m),2.9 5(6H,s),4.25(1H,m),5.33-
5.50(2H,m),6.19(1H,d,J=8.7Hz),6.77 and 6.97 (each 2H,each d,J=8.4Hz),6.94 and 7.65(each 2H,each
d,J=9.0Hz).
IR(CHCl₃):3453,3024,3016,2924,2871,2806,1739,1708,1647,1612,1604,1515, 1490 /cm.
[α]_D=+53.1° (MeOH,c=1.02,23°C).
55 m.p.104.0-105.5°C

No.2a-167

5 CDCl₃ 300MHz
 1.01(1H,d,J=9.9Hz),1.19 and 1.26(each 3H,each s),1.56-2.53(14H,m),4.37(1H,m),5.35-
 5.55(2H,m),6.47(1H,d,J=8.4Hz),7.61-7.71(2H,m),7.79(2H,s),7.89 -7.97(2H,m),8.27(1H,d,J=2.1Hz),8.66-
 8.73(2H,m).
 IR(CHCl₃):3450,3024,3014,2925,2870,2667,1707,1650,1531,1509 /cm.
 [α]_D=+70.5° (MeOH,c=1.00,22°C).

10 No.2a-168

10 CDCl₃ 300MHz
 1.02(1H,d,J=10.2Hz),1.20 and 1.26(each 3H,each s),1.56-2.50(14H,m),4.3 8(1H,m),5.36-
 5.56(2H,m),6.51(1H,d,J=8.4Hz),7.61-7.93(7H,m),8.74(1H,d,J= 8.4Hz),9.15(1H,s).
 15 IR(CHCl₃):3517,3451,3060,3028,3011,2925,2870,2664,1709,1651,1519,1498/cm.
 [α]_D=+54.4° (MeOH,c=1.00,23°C).

No.2a-169

20 CDCl₃ 300MHz
 0.96(1H,d,J=10.5Hz),1.09 and 1.21(each 3H,each s),1.50-2.44(14H,m),3.8 5(3H,s),4.24(1H,m),5.32-
 5.48(2H,m),6.19(1H,d,J=8.4Hz),6.94 and 7.45 (each 2H,each d,J=9.0Hz),7.11 and 7.45(each 2H,each
 d,J=8.7Hz).
 IR(CHCl₃):3516,3453,3029,3009,2925,2870,2840,2665,1708,1650,1593,1515, 1493,1482 /cm.
 25 [α]_D=+57.8° (MeOH,c= 1.00,23°C).

No.2a-170

30 CDCl₃ 300MHz 0.98(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.52-2.50(14H,m),4.2 8(1H,m),5.33-
 5.54(2H,m),6.25(1H,d,J=8.2Hz),7.38-7.44(2H,m),7.74(1H,s),7. 81-7.86(2H,m).
 IR(CHCl₃):3517,3448,3427,3024,3013,2925,2870,2669,1708,1650,1562,1535, 1500 /cm.
 [α]_D=+61.6° (MeOH,c=1.00,23°C).

No.2a-171

35 CDCl₃ 300MHz
 0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.52-2.42(14H,m),2.48 (3H,s),4.21(1H,m),5.31-
 5.52(2H,m),6.06(1H,d,J=8.2Hz),6.97 and 7.59 (e ach 1H,each d,J=1.2Hz).
 IR(CHCl₃):3452,3113,3028,3007,2925,2870,2669,1708,1645,1554,1509 /cm.
 40 [α]_D=+52.4° (MeOH,c=1.00,23°C).

No.2a-172

45 CDCl₃ 300MHz
 0.96(1H,d,J=10.2Hz),1.09 and 1.28(each 3H,each s),1.50-2.40(14H,m),2.6 9(3H,s),4.24(1H,m),5.35-
 5.51(2H,m),5.96(1H,d,J=8.7Hz),7.03 and 7.07 (each 1H,each d,J=5.4Hz).
 IR(CHCl₃):3451,3031,3013,2925,2870,2666,1708,1647,1542,1497 /cm.
 [α]_D=+51.2° (MeOH,c=1.00,23°C).

50 No.2a-173

CDCl₃ 300MHz
 0.95(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.50-2.45(14H,m),4.2 2(1H,m),5.35-
 5.49(2H,m),6.05(1H,d,J=8.4Hz),7.26 and 7.75(each 1H,each d,J=1.5Hz).
 55 IR(CHCl₃):3451,3011,3029,3011,2925,2870,1708,1652,1538,1500 /cm.
 [α]_D=+50.6° (MeOH,c=1.01,23°C).

No.2a-174

5 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2 9(1H,m),5.35-
 5.51(2H,m),7.02(1H,d,J=8.4Hz),7.32 and 8.16(each 1H,each d,J=3.9Hz).
 IR(CHCl_3):3417,3115,3023,3014,2925,2870,1708,1645,1530 /cm.
 $[\alpha]_D=+48.8^\circ$ (MeOH,c=1.02,23°C).

No.2a-175

10 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.50-2.52(14H,m),2.5 2(3H,s),4.29(1H,m),5.34-
 5.51(2H,m),7.78(1H,d,J=9.0Hz),7.24 and 7.52 (each 1H,each d,J=5.4Hz).
 IR(CHCl_3):3329,3093,3023,3015,2924,2871,1708,1640,1526 /cm.
 $[\alpha]_D=+45.0^\circ$ (MeOH,c=1.01,23°C).

No.2a-176

20 CDCl_3 300MHz
 0.95(1H,d,J=10.5Hz),1.09 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.4 0(3H,d,J=0.9Hz),4.24(1H,m),5.35-
 5.51(2H,m),6.05(1H,d,J=8.7Hz),6.95(1H, m),7.57(1H,d,J=3.3Hz).
 IR(CHCl_3):3517,3444,3103,3024,3013,2926,2870,1739,1708,1649,1636,1507/cm.
 $[\alpha]_D=+54.8^\circ$ (MeOH,c=1.01,23°C).
 m.p.97.0-99.0°C

25 No.2a-177

30 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.9 3(3H,s),4.27(1H,m),5.34-
 5.50(2H,m),6.35(1H,d,J=3.3Hz),7.80(1H,d,J=8.7Hz),8.10(1H,d,J=3.3Hz).
 IR(CHCl_3):3395,3121,3031,3019,3012,2925,2871,1739,1709,1640,1557,1533 /cm.
 $[\alpha]_D=+22.8^\circ$ (MeOH,c=1.01,23°C).
 m.p.109.0-112.0°C

35 No.2a-178

35 CDCl_3 300MHz
 0.96(1H,d,J=10.5Hz),1.10 and 1.23(each 3H,each s),1.51-2.45(14H,m),4.2 4(1H,m),5.35-
 5.50(2H,m),6.09(1H,d,J=8.4Hz),7.17-7.31(6H,m),7.95(1H,d,J= 1.5Hz).
 IR(CHCl_3):3510,3451,3062,3031,3022,3011,2925,2870,2662,1708,1651,1582, 1535,1497,1477/cm.
 $[\alpha]_D=+47.9^\circ$ (MeOH,c=1.01,25°C).

No.2a-179

45 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.52-2.48(14H,m),4.3 0(1H,m),5.36-
 5.52(2H,m),6.73(1H,d,J=9.0Hz),6.26 and 7.37(each 1H,each d,J=6.0Hz).
 IR(CHCl_3):3509,3429,3115,3094,3025,3014,2925,2871,2666,1708,1649,1529, 1510 /cm.
 $[\alpha]_D=+51.0^\circ$ (MeOH,c=1.02,25°C).

50 No.2a-180

55 CDCl_3 300MHz
 0.95(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.52-2.46(14H,m),3.8 9(3H,s),4.21(1H,m),5.35-
 5.50(2H,m),6.05(1H,d,J=8.4Hz),6.46 and 7.04 (each 1H,each d,J=1.8Hz).
 IR(CHCl_3):3516,3450,3114,3031,3010,2925,2871,1708,1648,1546,1511,1477 /cm.
 $[\alpha]_D=+49.1^\circ$ (MeOH,c=1.01,25°C).

No.2a-181

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.52-2.48(14H,m),2.4 2(3H,s),4.31(1H,m),5.34-5.52(2H,m),8.07(1H,d,J=9.3Hz),7.27 and 8.17 (each 1H,each d,J=3.3Hz).

IR(CHCl₃):3510,3301,3112,3023,3007,2924,2871,2663,1708,1636,1534 /cm.[α]_D=+41.0° (MeOH,c=0.96,25°C).

No.2a-182

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.46(14H,m),2.5 1(3H,s),4.21(1H,m),5.35-5.51(2H,m),6.05(1H,d,J=8.1Hz),7.26 and 7.78 (each 1H,each d,J=1.8Hz).

IR(CHCl₃):3509,3450,3109,3024,3012,2925,2870,2666,1708,1650,1535,1498,1471 /cm.[α]_D=+52.9° (MeOH,c=0.95,25°C).

No.2a-183

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.12 and 1.22(each 3H,each s),1.52-2.46(14H,m),4.2 5(1H,m),5.33-5.51(2H,m),6.17(1H,d,J=8.7Hz),7.01-7.05(3H,m),7.14 and 7.6 2(each 2H,each d,J=8.7Hz),7.27-7.34(2H,m).

IR(CHCl₃):3428,3026,3015,2925,2870,2666,1739,1708,1643,1613,1594,1526, 1499 /cm.[α]_D=+64.8° (MeOH,c=1.02,23°C).

No.2a-184

CDCl₃ 300MHz

1.01(1H,d,J=10.2Hz),1.18 and 1.26(each 3H,each s),1.55-2.50(14H,m),4.3 5(1H,m),5.35-5.55(2H,m),6.42(1H,d,J=8.7Hz),7.46-7.52(2H,m),7.73(1H,dd,J =1.8 and 8.4Hz),7.83-

7.89(2H,m),8.21(1H,m),8.59(1H,d,J=1.5Hz).

IR(CHCl₃):3451,3031,3014,2925,2870,2660,1739,1708,1650,1604,1513,1463 /cm.[α]_D=+58.3° (MeOH,c=1.00,23°C).

No.2a-185

CDCl₃ 300MHz

1.00(1H,d,J=10.2Hz),1.18 and 1.25(each 3H,each s),1.55-2.50(14H,m),4.3 4(1H,m),5.35-5.54(2H,m),6.36(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.50(1H,m),7.57-7.59(2H,m),7.79(1H,dd,J=1.8 and 8.1Hz),7.99(1H,d,J=7.8Hz),8.39(1 H,d,J=1.8Hz).

IR(CHCl₃):3451,3030,3020,2870,2665,1708,1652,1632,1603,1586,1514,1469, 1448 /cm.[α]_D=+59.4° (MeOH,c=1.01,24°C).

No.2a-186

CDCl₃ 300MHz

1.00(1H,d,J=10.5Hz),1.17 and 1.25(each 3H,each s),1.54-2.50(14H,m),4.3 3(1H,m),5.35-5.54(2H,m),6.37(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.51(1H,t, J=7.8Hz),7.56(1H,m), 7.70(1H,dd,J=1.2 and 8.4Hz),7.97(3H,m).

IR(CHCl₃):3451,3030,3014,2924,2870,2671,1739,1708,1652,1577,1517,1488, 1471 /cm.[α]_D=+72.2° (MeOH,c=1.00,24°C).

No.2a-187

CDCl₃ 300MHz

1.00(1H,d,J=9.8Hz),1.18 and 1.25(each 3H,each s),1.54-2.53(14H,m),4.07(3H,s),4.37(1H,m),5.30-5.54(2H,m),7.34(1H,m),7.47(1H,s),7.47-7.60(2H,m),7. 93(1H,d,J=7.8Hz),8.43(1H,s),8.49(1H,d,J=9.0Hz).

IR(CHCl₃):3397,3074,3027,3020,3009,2924,1738,1708,1647,1633,1534,1465, 1453 /cm.[α]_D=+43.7° (MeOH,c=1.01,25°C).

No.2a-188

5 CDCl_3 300MHz
 0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.50(14H,m),4.2 3(1H,m),5.37-
 5.50(2H,m),6.10(1H,d,J=9.0Hz),6.20(1H,m),6.51(1H,m),6.97(1H,m),10.81(1H,brs).
 IR(CHCl_3):3450,3236,3112,3029,3015,2925,2871,2645,1701,1616,1558,1516 /cm.
 $[\alpha]_D=+50.6^\circ$ (MeOH,c=1.01,24°C).

No.2a-189

10 CDCl_3 300MHz
 0.94(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.50-2.46(14H,m),3.93(3H,s),4.18(1H,m),5.35-
 5.52(2H,m),6.03(1H,d,J=9.3Hz),6.09(1H,m),6.48(1H, m),6.73(1H,m).
 IR(CHCl_3):3452,3102,3028,3007,2925,2871,2666,1739,1708,1650,1536,1499, 1471 /cm.
 $[\alpha]_D=+49.8^\circ$ (MeOH,c=1.01,23°C).
 m.p.101.5-103.5°C

No.2a-190

20 CDCl_3 300MHz
 0.94(1H,d,J=10.2Hz),1.11 and 1.21(each 3H,each s),1.54-2.47(14H,m),4.2 3(1H,m),5.33-
 5.52(2H,m),6.06(1H,d,J=9.0Hz),6.34(1H,m),6.75(1H,m),6.36(1 H,m),9.71(1H,brs).
 IR(CHCl_3):3470,3215,3030,3020,3010,2925,2871,2664,1709,1613,1564,1510 /cm.
 $[\alpha]_D=+43.3^\circ$ (MeOH,c=1.01,24°C).

25 No.2a-191

30 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.55-2.44(14H,m),3.6 6(3H,s),4.20(1H,m),5.35-
 5.51(2H,m),5.93(1H,d,J=8.4Hz),6.27(1H,dd,J=1.8 and 2.7Hz),6.56(1H,t,J=2.7Hz),7.19(1H,t,J=1.8Hz).
 IR(CHCl_3):3452,3031,3018,3006,2925,2871,2662,1736,1710,1634,1609,1556, 1498 /cm.
 $[\alpha]_D=+43.1^\circ$ (MeOH,c=1.01,23°C).

No.2a-192

35 CDCl_3 300MHz
 0.96(1H,d,J=10.5Hz),1.11 and 1.21(each 3H,each s),1.43(3H,t,J=7.5Hz),1. 54-
 2.44(14H,m),3.93(2H,q,J=7.5Hz),4.21(1H,m),5.33-5.51(2H,m),5.94(1H,d, J=8.4Hz),6.27(1H,dd,J=1.8 and
 2.7Hz),6.62(1H,t,J=2.7Hz),7.26(1H,t,J=1.8 Hz).
 IR(CHCl_3):3630,3452,3032,3018,3006,2925,2871,2661,1735,1710,1633,1610, 1555,1497 /cm.
 $[\alpha]_D=+40.1^\circ$ (MeOH,c=1.00,23°C).

No.2a-193

45 CDCl_3 300MHz
 0.95(1H,d,J=10.2Hz),1.10 and 1.22(each 3H,each s),1.53-2.49(14H,m),2.5 8(3H,s),4.21(1H,m),5.35-
 5.54(2H,m),6.15(1H,d,J=8.1Hz),6.52(1H,dd,J=1.8 and 3.6Hz),7.29(1H,t,J=3.6Hz),7.94(1H,t,J=1.8Hz).
 IR(CHCl_3):3516,3450,3410,3152,3027,3015,2925,2871,2670,1732,1648,1574, 1509 /cm.
 $[\alpha]_D=+45.0^\circ$ (MeOH,c=1.01,25°C).

50 No.2a-194

55 CDCl_3 300MHz
 0.99(1H,d,J=10.2Hz),1.11 and 1.24(each 3H,each s),1.52-2.53(14H,m),4.3 4(1H,m),5.33-
 5.57(2H,m),6.21(1H,d,J=8.6Hz),7.35-7.50(2H,m),7.83(1H,s),7. 86(1H,m),8.31(1H,m).
 IR(CHCl_3):3443,3067,3013,2925,2870,2665,1708,1651,1515,1493 /cm.
 $[\alpha]_D=+55.7^\circ$ (MeOH,c=1.01,23°C).

No.2a-195

5 CDCl_3 300MHz
 1.01(1H,d,J=10.0Hz),1.06 and 1.26(each 3H,each s),1.50-2.64(14H,m),2.6 8(3H,s),4.40(1H,m),5.36-
 5.61(2H,m),6.02(1H,d,J=9.4Hz),7.30-7.42(2H,m),7. 73-7.86(2H,m).
 IR(CHCl_3):3510,3434,3062,3029,3014,2924,2871,2669,1708,1650,1563,1539, 1500 /cm.
 $[\alpha]_D=+72.4^\circ$ (MeOH,c=1.00,23°C).
 m.p.111.0-112.0°C

10 No.2a-196

10 CDCl_3 300MHz
 0.42 and 1.04(each 3H,each s),0.80(1H,d,J=10.0Hz),1.11-2.48(14H,m),2.2 4(3H,s),4.02(1H,m),5.23-
 5.44(2H,m),5.53(1H,d,J=8.8Hz),7.27-7.31(2H,m),7. 42-7.48(3H,m),7.93(1H,s).
 15 IR(CHCl_3):3419,3114,3025,3006,2924,2871,2662,1737,1709,1636,1540,1519 /cm.
 $[\alpha]_D=+43.7^\circ$ (MeOH,c=1.01,23°C).

No.2a-197

20 CDCl_3 300MHz
 0.95(1H,d,J=10.0Hz),1.09 and 1.23(each 3H,each s),1.54-2.46(18H,m),2.7 7(4H,brs),4.21(1H,m),5.32-
 5.54(2H,m),6.02(1H,d,J=8.6Hz),7.43(1H,s).
 IR(CHCl_3):3445,3101,3024,3014,2928,2865,2661,1739,1708,1646,1550,1507 /cm.
 $[\alpha]_D=+51.9^\circ$ (MeOH,c=1.01,23°C).

25 No.2a-198

30 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.50-2.44(14H,m),4.2 4(1H,m),4.42(2H,s),5.35-
 5.49(2H,m),6.25(1H,d,J=8.1Hz),7.33(1H,m),7.43(1 H,dd,J=1.5 and 7.5Hz),7.49(1H,d,J=8.1Hz),7.60-
 7.63(1H,m),7.68(1H,dd,J=1. 8 and 7.8Hz),8.02(1H,d,J=1.8Hz),8.19(1H,dd,J=1.5 and 8.1Hz).
 IR(CHCl_3):3448,3030,3012,2925,2870,1739,1708,1671,1588,1559,1514,1472 /cm.
 $[\alpha]_D=+56.9^\circ$ (MeOH,c=1.01,24°C).

35 No.2a-199

35 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.46(14H,m),3.4 0(1H,m),3.76(1H,m),4.24(1H,m),5.33-
 5.51(3H,m),6.25(1H,m),7.16(1H,m),7.2 4-7.33(2H,m),7.46(1H,d,J=7.5Hz),7.52-7.60(2H,m),7.85(1H,dd,J=1.8 and
 4. 5Hz).
 IR(CHCl_3):3583,3447,3062,3028,3013,2924,2871,2663,1708,1651,1600,1557, 1514,1471 /cm.
 $[\alpha]_D=+54.8^\circ$ (MeOH,c=1.00,23°C).

40 No.2a-200

45 CDCl_3 300MHz
 0.96(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.2 5(1H,m),5.34-
 5.51(2H,m),6.25(1H,d,J=8.4Hz),7.02 and 7.10(each,1H,each d,J=12.3Hz),7.23-
 7.33(4H,m),7.50(1H,m),7.64(1H,dd,J=1.8 and 7.8Hz),7.8 2(1H,d,J=1.8Hz).
 50 IR(CHCl_3):3450,3060,3025,3014,2925,2871,2662,1708,1653,1596,1542,1513, 1473 /cm.
 $[\alpha]_D=+62.5^\circ$ (MeOH,c=1.00,24°C).

No.2a-201

55 CDCl_3 300MHz
 0.95(1H,d,J=9.9Hz),1.15 and 1.22(each 3H,each s),1.55-2.60(14H,m),4.26(1H,m),5.35-
 5.63(2H,m),7.14(1H,d,J=9.9Hz),7.34 and 7.40(each,1H,each d, J=12.9Hz),7.62-7.73(4H,m),8.25-
 8.30(2H,m),8.72(1H,d,J=1.5Hz).

IR(CHCl₃):3443,3389,3297,3061,3030,3016,2925,2870,1726,1708,1652,160 3,1521,1483,1472,1309 /cm.
 $[\alpha]_D=+61.1^\circ$ (MeOH,c=1.01,23°C).

No.2a-202

5 CDCl₃ 300MHz
 0.96(1H,d,J=10.2Hz),1.09 and 1.22(each 3H,each s),1.52-2.43(14H,m),2.6 3(3H,s),4.25(1H,m),5.33-
 5.49(2H,m),6.19(1H,d,J=8.4Hz),7.10 and 7.58 (each,2H,each d,J=9.0Hz),7.21(1H,m),7.30-
 7.32(2H,m),7.46(1H,d,J=7.5Hz)
 10 IR(CHCl₃):3511,3453,3062,3032,3014,2925 2870,1739,1708,1650,1595,1556, 1516,1482,1471 /cm.
 $[\alpha]_D=+60.2^\circ$ (MeOH,c=1.01,25°C).

No.2a-203

15 CDCl₃ 300MHz
 0.96(1H,d,J=10.5Hz),1.09 and 1.23(each 3H,each s),1.52-2.43(14H,m),4.2 3(1H,m),5.35-
 5.51(2H,m),5.93(1H,d,J=8.7Hz),6.56(1H,dd,J=0.9 and 1.8Hz), 7.43(1H,t,J=1.8Hz),7.92(1H,dd,J=0.9 and 1.8Hz).
 IR(CHCl₃):3517,3450,3134,3031,3008,2925,2870,2667,1708,1656,1588,1570, 1514 /cm.
 $[\alpha]_D=+46.7^\circ$ (MeOH,c=0.92,25°C).

20 No.2b-1
 $[\alpha]_D= +25.6^\circ$ (MeOH,c=1.01,23°C).

25 No.2b-2
 $[\alpha]_D= +38.9^\circ$ (MeOH,c=1.01,24°C).

No2c-1
 30 [math>[\alpha]_D= +60.5^\circ (MeOH,c=1.01,22°C).

No.2c-2
 35 [math>[\alpha]_D= +55.8^\circ (MeOH,c=0.92,22°C).

No.2c-3
 40 [math>[\alpha]_D= +54.7^\circ (MeOH,c=1.01,22°C).

No.2d-1
 45 [math>[\alpha]_D= -6.2^\circ (MeOH,c=1.00,21°C).

No.2d-2
 50 [math>[\alpha]_D=+15.8^\circ (MeOH,c=0.34,22°C).

No.2d-3
 55 [math>[\alpha]_D=+31.6^\circ (MeOH,c=1.01,22°C).

No.2e-1
 55 [math>[\alpha]_D= -9.4^\circ (MeOH,c=1.00,22°C).

No.2e-2

 $[\alpha]_D = -1.8^\circ$ (MeOH, c=1.02, 23°C).

5 No.2e-3

 $[\alpha]_D = -6.7^\circ$ (MeOH, c=1.01, 23°C).

No.2f-1

10

 $[\alpha]_D = +6.8^\circ$ (MeOH, c=1.01, 23°C).

No.2f-2

15

 $[\alpha]_D = -2.6^\circ$ (MeOH, c=1.00, 22°C).

No.2f-3

20

 $[\alpha]_D = -3.5^\circ$ (MeOH, c=1.01, 22°C).

No.2g-1

 $[\alpha]_D = +54.6^\circ$ (MeOH, c=1.01, 24°C).

25 No.3a-2

CDCl_3 300MHz
 0.98-2.15(14H,m), 2.31(2H,t,J=7.2Hz), 2.35-2.40(1H,m), 3.10-3.20(1H,m), 5.00(1H,d,J=6.9Hz), 5.30-5.48(2H,m), 6.75(1H,d,J=10.2Hz), 7.38-7.52(6H,m).
 IR(CDCl_3): 3266, 3028, 2954, 2874, 1709, 1620, 1448, 1412, 1318, 1141, 970, 892/cm.
 $[\alpha]_D = +20.3 \pm 0.6^\circ$ (CHCl_3 , c=1.05, 24°C).

No.3a-3

35 CDCl_3 300MHz
 0.95-2.00(14H,m), 2.20-2.29(3H,m), 3.00-3.08(1H,m), 3.66(3H,s), 5.00(1H,d,J=6.6Hz), 5.13-5.29(2H,m), 7.38-7.52(3H,m), 7.59-7.65(2H,m), 7.69-7.75(2H,m), 7.92-7.98(2H,m).
 IR(CHCl_3): 3376, 3018, 2946, 2868, 1727, 1594, 1436, 1395, 1322, 1157, 1095, 890 /cm.
 $[\alpha]_D = +2.3 \pm 0.4^\circ$ (CHCl_3 , c=1.03, 22°C).
 40 mp.65-66.5°C

No.3a-4

45 CDCl_3 300MHz
 0.93-2.05(14H,m), 2.15-2.22(1H,m), 2.31(2H,t,J=7.2Hz), 3.01-3.10(1H,m), 5.18-5.31(3H,m), 7.38-7.52(3H,m), 7.58-7.66(2H,m), 7.69-7.76(2H,m), 7.92-7.98(2H,m).
 IR(CHCl_3): 3374, 3260, 3020, 2948, 2868, 1708, 1594, 1479, 1396, 1319, 1156, 1095, 1052, 891/cm.
 $[\alpha]_D = +13.1 \pm 0.5^\circ$ (CHCl_3 , c=1.16, 24°C).

50 No.3a-6

55 CD_3OD 300MHz
 1.04-1.95(14H,m), 2.07(2H,t,J=7.8Hz), 2.14-2.22(1H,m), 2.94-3.00(1H,m), 5.04-5.25(2H,m), 7.36-7.52(3H,m), 7.66-7.71(2H,m), 7.78-7.85(2H,m), 7.91-7.97(2H,m).
 IR(KBr): 3421, 3278, 2951, 2872, 1562, 1481, 1409, 1317, 1156, 1097, 1057, 895/cm.
 $[\alpha]_D = -15.3 \pm 0.5^\circ$ (CHCl_3 , c=1.06, 23°C).
 mp.105-112°C

No.3a-11

5 CDCl_3 300MHz
 0.90-2.04(14H,m),2.08-2.19(1H,m),2.35(2H,t,J=7.2Hz),2.95-3.04(1H,m), 5.17-5.32(3H,m),7.56-7.63(2H,m),7.83-
 7.95(2H,m).
 IR(CHCl_3):3260,3020,2948,2868,1707,1569,1456,1383,1325,1268,1160,1088, 1053,1006,892/cm.
 $[\alpha]_D=+8.3\pm 0.5^\circ$ (CHCl_3 ,c=1.00,22°C).

No.3a-16

10 CDCl_3 300MHz
 0.80-1.90(14H,m),1.98-2.04(1H,m),2.27(2H,t,J=7.2Hz),2.88(6H,s),2.90-2.98(1H,m),4.88-
 5.00(2H,m),5.13(1H,d,J=7.2Hz),7.18(1H,d,J=7.5Hz),7.48-7.60(2H,m),8.25-8.33(2H,m),8.53(1H,d,J=8.7Hz).
 IR(CHCl_3):3272,3020,2946,2866,2782,1708,1573,1455,1407,1311,1229,1160, 1142,1070,942,891/cm.
 $[\alpha]_D=-19.7\pm 0.6^\circ$ (CHCl_3 ,c=1.08,23.5°C).

No.3a-31

20 CDCl_3 300MHz
 0.80-1.85(14H,m),2.02-2.08(1H,m),2.20(2H,t,J=7.2Hz),2.85-2.95(1H,m), 3.68(3H,s),4.80-
 4.92(2H,m),4.96(1H,d,J=6.9Hz),7.50-7.70(3H,m),7.92-
 7.98(1H,m),8.07(1H,d,J=8.4Hz),8.29(1H,dd,J=1.5&7.5Hz),8.65(1H,LI);3374,3016,2946,2868,1727,1506,1435,13
 18,1160,1133,1105,1051, 984,890/cm.
 $[\alpha]_D=-39.3\pm 0.8^\circ$ (CHCl_3 ,c=1.07,22°C).

No.3a-32

25 CDCl_3 300MHz
 0.80-1.90(14H,m),1.95-2.05(1H,m),2.27(2H,t,J=7.2Hz),2.90-2.96(1H,m), 4.85-
 5.00(2H,m),5.23(1H,d,J=6.6Hz),7.50-7.72(3H,m),7.95(1H,d,J=8.1Hz),
 8.07(1H,d,J=8.4Hz),8.29(1H,dd,J=1.2&7.5Hz),8.66(1H,d,J=9.0Hz).
 IR(CHCl_3):3270,3020,2948,2868,1708,1455,1412,1317,1159,1132,1104,1079, 1051,983,891/cm.
 $[\alpha]_D=-29.2\pm 0.6^\circ$ (CHCl_3 ,c=1.08,22°C).

No.3a-33

35 CD_3OD 300MHz
 0.94-1.84(14H,m),1.96-2.08(3H,m),2.77-2.84(1H,m),4.67-4.84(2H,m),7.55-7.75(3H,m),8.02(1H,d,J=7.8Hz),8.12-
 8.26(2H,m),8.74(1H,d,J=8.7Hz).
 IR(KBr):3432,3298,2951,2872,1564,1412,1315,1159,1134,1107,1082,1058, 986/cm.
 $[\alpha]_D=-79.9\pm 1.2^\circ$ (CH_3OH ,c=1.00,23°C).

No.3a-34

45 CDCl_3 300MHz
 0.97-1.91(14H,m),2.13-2.20(1H,m),2.42(2H,t,J=7.2Hz),3.00-3.07(1H,m), 5.06-
 5.24(2H,m),5.33(1H,d,J=6.9Hz),7.57-7.68(2H,m),7.82-8.00(4H,m), 8.45(1H,d,J=1.2Hz)
 IR(CHCl_3):3260,3020,2948,1708,1408,1319,1154,1129,1073,953,893/cm.
 $[\alpha]_D=+20.7\pm 0.6^\circ$ (CHCl_3 ,c=1.07,22°C).

No.3a-35

50 CD_3OD 300MHz
 1.03-2.20(m,17H),2.97(m,1H),5.02(m,2H),7.64(m,2H),8.00(m,4H),8.43 (S,1H).
 IR(KBr):3360,3285,1562,1407,1316,1153,1130,1075/cm.
 $[\alpha]_D=0$
 $[\alpha]_{365}=+20.9\pm 0.6^\circ$ (CH_3OH ,c=1.04,23°C).

No.3d-1

CDCl₃ 300MHz
 0.93-2.55(m,17H),3.02(m,1H),5.24(m,2H),6.48(m,1H),7.35-7.60(m,3H),7.85-8.00(m,2H)
 5 IR(Nujol): 3275,1548,1160,1094,758,719,689,591,557/cm.
 [α]_D=+19.0±0.6° (CH₃OH,c=1.010,26.5°C).

Elemental analysis (C ₂₀ H ₂₆ NO ₄ S 1/2Ca 1.0 H ₂ O)						
Calcd.:	C, 57.94;	H, 6.82;	N, 3.38;	Ca, 4.83;	H ₂ O, 4.35	
Found:	C, 57.80;	H, 6.68;	N, 3.68;	Ca, 5.06;	H ₂ O, 4.50	

15 No.3d-6

[α]_D=-20.7±0.6 ° (CHCl₃,c=1.00,24°C).

No.3d-7

20 [α]_D=-3.2±0.4 ° (CHCl₃,c=1.03,22°C).
 mp.65-67°C

No.3d-8

25 [α]_D=-14.5±0.5 ° (CHCl₃,c=1.07,24°C).

No.3d-9

30 [α]_D=+12.2±0.5 ° (CH₃OH,c=1.00,23°C).
 mp.119-125°C

No.3d-10

35 [α]_D=+39.7±0.8 ° (CHCl₃,c=1.07,22°C).

No.3d-11

40 [α]_D=+29.2±0.7 ° (CHCl₃,c=1.06,22°C).

No.3d-12

[α]_D=+76.4±1.1 ° (CH₃OH,c=1.03,24°C).

45 No.3d-14

[α]_D=-20.6±0.6 ° (CHCl₃,c=1.07,22°C).

No.3d-15

50 [α]₃₆₅=-28.0±0.7 ° (CH₃OH,c=1.03,24.5°C).

No.3d-16

55 [α]_D=-8.7±0.5 ° (CHCl₃,c=1.06,22°C).

No.3d-17

CDCl₃ 300MHz
 5 0.80-2.15(m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),2.15
 (m,24H),2.32(t,J=7Hz,2H),2.68(t,J=7Hz,2H),3.02(m,1H),5.22(m,2H),5.38(d,
 Apart,J=8Hz,2H),7.81(A2B2qBpart,J=8Hz,2H), 9.86 (brs,1H).
 [α]_D=0
 [α]₃₆₅=-9.7±0.5° (CHCl₃,c=1.03,22°C).

10 No.3d-24

[α]_D=+19.2±0.6 ° (CHCl₃,c=1.05,23°C).

No.3d-26

15 CD₃OD 300MHz
 0.90-2.20(20H,m),2.88(1H,m),3.07(2H,q,J=7.0Hz),5.00-5.40(2H,m),7.20-7.60(4H,m),7.95(1H,m).
 IR(KBr):3415,3254,1698,1564,1314,1154/cm.

20 No.3d-28

CD₃OD 300MHz
 0.90-2.20(20H,m),2.73(2H,q,J=7.0Hz),2.93(1H,m),5.00-5.30(2H,m),7.40-7.50(2H,m),7.60-7.77(2H,m).
 IR(KBr):3435,3280,1562,1323,1304,1151/cm.

25 No.3d-30

Elemental analysis (C ₂₀ H ₂₅ BrNO ₄ Na)						
Calcd.:	C50.21;	H5.27;	Br16.70;	N2.93;	S6.70;	Na4.81
Found:	C50.22;	H5.40;	Br15.57;	N2.88;	S6.41;	Na5.10

35 IR(KBr):3425,3280,3085,1697,1570,1410,1321,1165,1155/cm.

No.3e-1

CD₃OD 300MHz
 40 0.71(1H,d,J=10.2Hz),1.04(3H,s),1.12(3H,s),1.35-2.28(14H,m),
 5.39(2H,m),7.37(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz).
 IR(CHCl₃):3400,3289,2986,2924,2870,1559,1424,1322,1305,1160,1095,1075, 1030/cm.
 [α]_D=+25.9±0.7 ° (CH₃OH,c=1.00,23°C).

45 Compounds prepared in Examples above were tested for in vivo and in vitro activity according to the method shown in Experimental examples below.

Experiment 1 Binding to PGD₂ Receptor

50 Material and Method

(1) Preparation of Human Platelet Membrane Fraction

A Blood sample was obtained using a plastic syringe containing 3.8 % sodium citrate from veins of healthy volunteers (adult male and female), put into a plastic test tube and mixed gently by inversion. The sample was then centrifuged at 1800 rpm, 10 min at room temperature, and supernatant containing PRP (platelet rich plasma) was collected. The PRP was re-centrifuged at 2300 rpm, 22 min at room temperature to obtain platelets. The platelets were homogenized using a homogenizer (Ultra-Turrax) followed by centrifugation 3 times at 20,000 rpm, 10 min at 4°C to obtain a

platelet membrane fraction. After protein determination, the membrane fraction was adjusted to 2 mg/ml and preserved in a refrigerator at -80°C until use.

5 (2) Binding to PGD₂ Receptor

To a binding-reaction solution (50 mM Tris/HCl, pH 7.4, 5 mM MgCl₂) (0.2 ml) were added human platelet membrane fraction (0.1 mg) and 5 nM [³H]PGD₂ (115Ci/mmol), and reacted at 4°C for 90 min. After the reaction finished, the reaction mixture was filtered through a glass fiber filter paper, washed several times with cooled saline, and measurement made of radioactivity retained on the filter paper. The specific binding was calculated by subtracting the non-specific binding (the binding in the presence of 10 μM PGD₂) from the total binding. The binding-inhibitory activity of each compound was expressed as concentration required for 50 % inhibition (IC₅₀), which was determined by depicting a substitution curve by plotting the binding ratio (%) in the presence of each compound, where the binding ratio in the absence of a test compound is 100 %. The results are shown in Table below.

15

Compound number	Activity (μM)	compound number	activity (μM)
3a-4	0.6	2a-4	0.54
1a-115	8.6	2a-17	0.12
1a-28	0.045	2a-21	5.2
1a-47	0.0086	2a-28	0.046
1a-100	0.56	2a-95	1.6
1a-176	0.047	2a-109	0.003
1a-2	0.13	1a-162	0.027

20 Experiment 2 Evaluation of Antagonistic Activity Against PGD₂ Receptor Using Human Platelet

25 Peripheral blood was obtained from a healthy volunteer using a syringe in which 1/9 volume of citric acid/dextrose solution had been previously added. The syringe was subjected to centrifugation at 180 g for 10 min to obtain the supernatant (PRP: platelet rich plasma). The resultant RRP was washed 3 times with a washing buffer and the number of platelets was counted with a micro cell counter. A suspension adjusted to contain platelets at a final concentration of 5 x 10⁸/ml was warmed at 37°C, and then subjected to the pretreatment with 3-isobutyl-1-methylxanthine (0.5mM) for 5 min. To the suspension was added a test compound diluted at various concentrations. Ten-minutes later, the reaction was induced by the addition of 0.1-2.0 μM PGD₂ and, 15-minutes later, stopped by the addition of HCl. The platelets were destroyed with an ultrasonic homogenizer. After centrifugation, the cAMP in the supernatant was determined by radioassay. PGD₂ receptor antagonism of a drug was evaluated as follows. The inhibition rate regarding cAMP increased by the addition of PGD₂ was determined at individual concentration, and then the concentration of the drug required for 50 % inhibition (IC₅₀) was calculated. The results are shown in the Table below.

30

Compound number	Inhibition of Increase of Human Platelet cAMP (IC ₅₀) (μM)
3a-16	0.37
1a-12	12.11
1a-28	0.30
1a-47	2.09
2a-2	0.77
2a-4	0.94
2a-35	1.52
2a-75	0.71

Experiment 3 Experiment Using Nasal Occlusion Model

The method used for measuring the nasal cavity resistance and evaluating the anti-nasal occlusion using a guinea pig are described below.

5 A 1% ovalbumin (OVA) solution was treated with an ultrasonic nebulizer to obtain an aerosol. A Hartley male guinea pig was sensitized by inhaling twice the aerosol for 10 min at one-week intervals. Seven-days after the sensitization, the guinea pig was exposed to an antigen to initiate the reaction. Then the trachea was incised under anesthesia with pentobarbital (30 mg/kg, i.p.) and cannulas were inserted into the trachea at the pulmonary and nasal cavity sides. The canal inserted at the pulmonary side was connected with an artificial respirator that provides 4 ml air 60 times/min. After 10 arresting the spontaneous respiration of a guinea pig with Garamin (2 mg/kg, i.v.), air was supplied to the snout side with an artificial respirator at the frequency of 70 times/min, and the flow rate of 4 ml air/time, and the atmospheric pressure required for the aeration was measured by the use of a transducer fitted at the branch. The measurement was used as a parameter of the nasal cavity resistance. The exposure of an antigen was carried out by generating aerosol of 3 % OVA solution for 3 min between the respirator and nasal cavity cannula. The test drug was injected intravenously 15 10 min before the antigen exposure. The nasal resistance between 0 to 30 min was measured continuously and the effect was expressed as inhibition rate to that obtained for vehicle using the AUC for 30 min (on the vertical axis, nasal cavity resistance (cm H₂O), and on the horizontal axis, time (0 - 30 min)) as an indication. The result is shown below.

20	Compound number	Inhibition Rate (%) 1 mg/kg (i.v.)	Remarks
25	1a-28	44	
	1a-98	69	
	1a-100	50	
	1a-115	66	
30	1a-116	48	
	1a-120	58	3mg/kg (i.v.)
	1a-2	82	
	1a-162	80	
35	1a-176	60	
	1a-267	62	
	2a-4	60	
40	2a-21	52	
	2a-28	54	
	2a-95	77	
	2a-96	77	10mg/kg(p.o.)
45	2a-109	73	
	2a-110	66	10mg/kg(p.o.)
	22a-194	79	

50 Formulation 1 Preparation of Tablets

Tablets each containing 40 mg of active ingredient were prepared in a conventional manner. The ingredients for 40 mg tablet are as follows:

5	Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-5-heptenoate dihydrate	40.0 mg
10	Hydroxypropyl cellulose	3.6 mg
	Magnesium stearate	0.4mg
	Cornstarch	18.0 mg
	Lactose	58.0 mg
		Total 120.0 mg

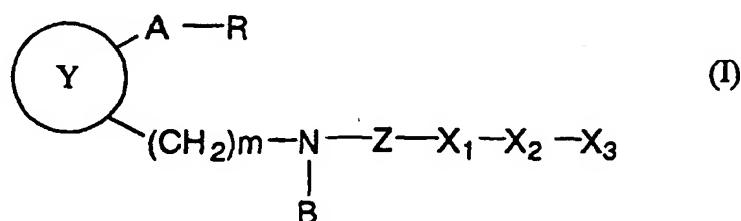
Formulation 2 Preparation of Granules

15 Ingredients:

20	Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-5-heptenoate dihydrate	100.0 mg
	Hydroxypropyl cellulose	30.0 mg
	Carmellose Calcium	30.0 mg
25	Talc	10.0 mg
	Poloxamer 188	20.0 mg
	Crystalline cellulose	70.0 mg
	Cornstarch	300.0 mg
30	Lactose	440.0 mg
		Total 1000.0 mg

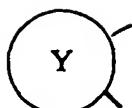
Claims

35 1. A PGD₂ antagonist comprising a compound of the general formula (I) below or a salt or a hydrate thereof as an active ingredient:



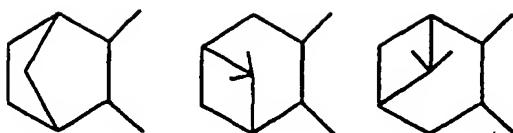
50 wherein

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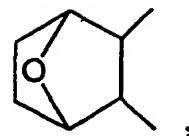


is

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or



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A is alkylene which optionally is intervened by a hetero atom or phenylene, contains oxo group, and/or has an unsaturated bond;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR₁, CH₂OR₂ or CON(R₃)R₄;

R₁ is hydrogen or alkyl;

R₂ is hydrogen or alkyl;

R₃ and R₄ each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

X₁ is a single bond, phenylene, naphthylene, thiophenediyl, indolediyl, or oxazolediyl;

X₂ is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-, -C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -(CH₂)_n-, ethynylene, -N(R₅)-, -N(R₅₁)CO-, -N(R₅₂)SO₂-, -N(R₅₃)CON(R₅₄)-, -CON(R₅₅)-, -SO₂N(R₅₆)-, -O-, -S-, -SO-, -SO₂-, -CO-, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X₃ is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl, -CH=NR₆ or -N=C(R₇)R₈;

R₅, R₅₁, R₅₂, R₅₃, R₅₄, R₅₅ and R₅₆ each are hydrogen or alkyl;

R₆ is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocabamoyloxy, ureido or thioureido;

R₇ and R₈ each are independently alkyl, alkoxy, or aryl;

n is 1 or 2;

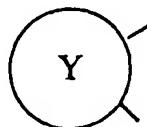
Z is -SO₂- or -CO-; and

m is 0 or 1;

wherein a cyclic substituent may have one to three substituents selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxycarbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxylalkyl, trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo, hydroximino, alkoxyimino, phenyl and alkylenedioxy.

45 2. The PGD₂ antagonist of claim 1 wherein the active ingredient is a compound of the formula (I) wherein

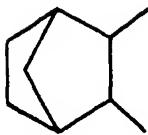
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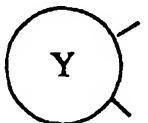
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m is 0; and when Z is SO_2 , both X_1 and X_2 are a single bond; X_3 is alkyl, phenyl, naphthyl, styryl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from the group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or a salt or hydrate thereof.

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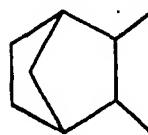
3. The PGD_2 antagonist of claim 1 wherein the active ingredient is a compound of the formula (I) wherein

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is

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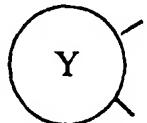
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when m is 1, both X_1 and X_2 are a single bond; and X_3 is phenyl optionally substituted with halogen, or a salt or hydrate thereof.

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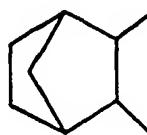
4. The PGD_2 antagonist of claim 1 wherein the active ingredient is a compound of the formula (I) wherein

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is

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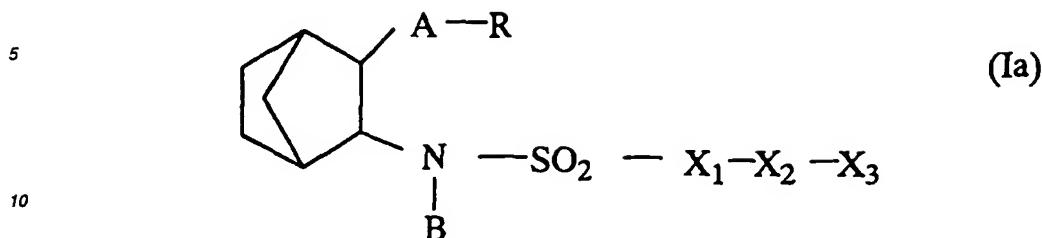
;

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when m is 1, X_1 is phenyl, X_2 is $-\text{CH}_2-$ or $-\text{N}=\text{N}-$ and X_3 is phenyl, or a salt or hydrate thereof.

5. The PGD_2 antagonist of claim 1 which is a drug for treating nasal occlusion.

6. A compound of the formula (Ia):



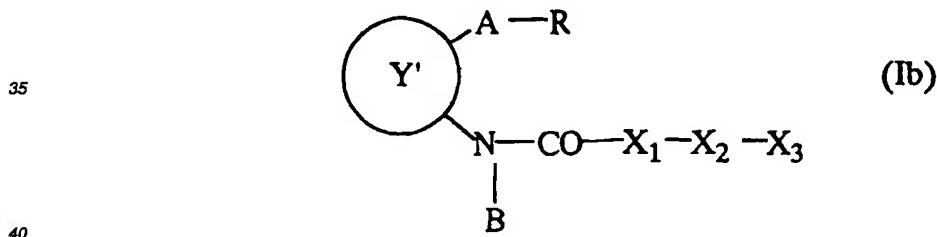
15 wherein A, B, R, X₁, X₂ and X₃ are as defined above, or a salt or hydrate thereof, provided that those wherein (1) X₁ and X₂ are a single bond, and X₃ is substituted- or unsubstituted-phenyl, or naphthyl; and (2) A is 5-heptenylene, R is COOR₁ (R₁ is hydrogen or methyl), X₁ is 1,4-phenylene, X₂ is a single bond, and X₃ is phenyl are excluded.

20 7. The compound of claim 6, a salt or hydrate thereof, wherein X₁ and X₂ are a single bond, X₃ is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxinyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoxepinyl, dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl or dihydrobenzothiepinyl, and A, B and R are as defined above.

25 8. The compound of claim 6, a salt or hydrate thereof, wherein X₁ is a single bond, X₂ is phenylene, X₃ is alkenyl, alkynyl, -CH=NR₆ or -N=C(R₇)R₈, and A, B, R, R₆, R₇, and R₈ are as defined above.

9. The compound of claim 6, a salt or hydrate thereof, wherein R is COOR₁, X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=N-, -CH=CH-, -CONH-, -NHCO- or ethynylene and X₃ is phenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl or thienyl, and A, B, R₁, R₆, R₇, and R₈ are as defined above.

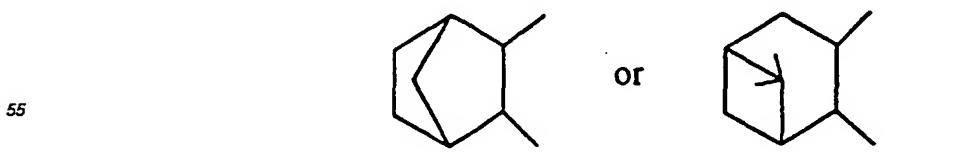
30 10. A compound of the formula (Ib):



wherein



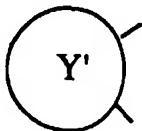
50 is



A, B, R, X₁, X₂ and X₃ are as defined above, or a salt or hydrate thereof, provided that those wherein X₁ and X₂ are a single bond, and X₃ is phenyl, and wherein X₁ is a single bond, X₂ is -O-, and X₃ is benzyl are excluded.

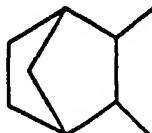
11. The compound of claim 10, a salt or hydrate thereof, wherein

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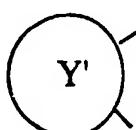
and A, B, R, X₁, X₂ and X₃ are as defined above.

12. The compound of claim 11, a salt or hydrate thereof, wherein R is COOR₁ (R₁ is as defined above).

25 13. The compound of claim 11, a salt or hydrate thereof, wherein X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=H-, -CH=CH-, ethynylene, -O-, -S-, -CO-, -CON(R₅₅)- (R₅₅ is as defined above), -N(R₅₁)CO- (R₅₁ is as defined above) and X₃ is phenyl or thiienyl.

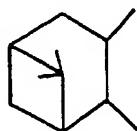
14. The compound of claim 10, a salt or hydrate thereof, wherein

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and A, B, R, X₁, X₂, X₃ and Z are as defined above.

15. The compound of claim 14, a salt or hydrate thereof, wherein B is hydrogen, both X₁ and X₂ are a single bond, X₃ is thiienyl, thiazolyl, thiadiazolyl, isothiazolyl, pyrrolyl, pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl.

50 16. The compound of claim 15, a salt or hydrate thereof, wherein X₁ is phenylene, thiophenediyl, indolediyl or oxazolediyl, X₂ is a single bond, -N=H-, -CH=CH-, ethynylene, -S- or -O-, and X₃ is aryl or heterocyclic group.

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP96/01685

A. CLASSIFICATION OF SUBJECT MATTER Int. Cl⁶ C07C233/52, 233/84, 271/24, 311/06, 311/11, 311/13, 311/19, C07D493/08, 495/08, A61K31/16, 31/18, 31/27, 31/33, 31/34, 31/35, 31/38
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) Int. Cl⁶ C07C233/52, 233/84, 271/24, 311/06, 311/11, 311/13, 311/19, C07D493/08, 495/08, A61K31/16, 31/18, 31/27, 31/33, 31/34, 31/35, 31/38

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CAS ONLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP, 6-279395, A (Ono Pharmaceutical Co., Ltd.), October 4, 1994 (04. 10. 94) & EP, 608847, A	1 - 16
X	JP, 2-180862, A (Ono Pharmaceutical Co., Ltd.), July 13, 1990 (13. 07. 90) & EP, 312906, A & US, 5168101, A	1 - 16
X	JP, 63-139161, A (Shionogi & Co., Ltd.), June 10, 1988 (10. 06. 88) & EP, 226346, A & US, 4861913, A & US, 4960909, A & US, 4976891, A & US, 5041635, A & US, 5043451, A & US, 5043456, A	1 - 16
X	JP, 60-178876, A (E.R. Squibb & Sons, Inc.), September 12, 1985 (12. 09. 85) & EP, 150709, A & US, 4526901, A	1 - 16

Further documents are listed in the continuation of Box C. See patent family annex.

* Special categories of cited documents:	
"A"	document defining the general state of the art which is not considered to be of particular relevance
"E"	earlier document but published on or after the international filing date
"L"	document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reasons (as specified)
"O"	document referring to an oral disclosure, use, exhibition or other means
"P"	document published prior to the international filing date but later than the priority date claimed
"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"A"	document member of the same patent family

Date of the actual completion of the international search September 13, 1996 (13. 09. 96)	Date of mailing of the international search report September 24, 1996 (24. 09. 96)
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Name and mailing address of the ISA/ Japanese Patent Office Facsimile No.	Authorized officer Telephone No.
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